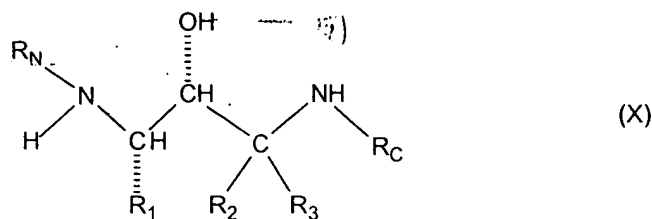


WE CLAIM:

1. A substituted amine of formula (X)

where  $\text{R}_1$  is:

(I)  $\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $\text{C}_1\text{-C}_7$  alkyl (optionally substituted with  $\text{C}_1\text{-C}_3$  alkyl and  $\text{C}_1\text{-C}_3$  alkoxy),  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy,  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl, and  $-\text{OC}=\text{O NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(II)  $-\text{CH}_2\text{-S(O)}_{0-2}\text{-(C}_1\text{-C}_6\text{ alkyl)}$ ,(III)  $-\text{CH}_2\text{-CH}_2\text{-S(O)}_{0-2}\text{-(C}_1\text{-C}_6\text{ alkyl)}$ ,

(IV)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,

(V)  $\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,

(VI)  $-(\text{CH}_2)_{n_1}\text{-(R}_{1\text{-aryl}})$  where  $n_1$  is zero or one and where  $\text{R}_{1\text{-aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A)  $\text{C}_1\text{-C}_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ , and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy,

(B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

(I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

Sub  
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0000.1	0012.5	0025.0	0037.5	0050.0	0062.5	0075.0	0087.5	0100.0	0112.5	0125.0	0137.5	0150.0	0162.5	0175.0	0187.5	0200.0
0000.1	0012.5	0025.0	0037.5	0050.0	0062.5	0075.0	0087.5	0100.0	0112.5	0125.0	0137.5	0150.0	0162.5	0175.0	0187.5	0200.0

	quinazolinyl,
	quinoxalinyl,
	phthalazinyl,
	imidazolyl,
5	isoxazolyl,
	pyrazolyl,
	oxazolyl,
	thiazolyl,
	indolizinyI,
10	indazolyl,
	benzothiazolyl,
	benzimidazolyl,
	benzofuranyl,
	furanyl,
15	thienyl,
	pyrrolyl,
	oxadiazolyl,
	thiadiazolyl,
	triazolyl,
20	tetrazolyl,
	oxazolopyridinyl,
	imidazopyridinyl,
	isothiazolyl,
	naphthyridinyl,
25	cinnolinyl,
	carbazolyl,
	beta-carbolinyl,
	isochromanyl,
	chromanyl,
30	tetrahydroisoquinolinyl,
	isoindolinyl,
	isobenzotetrahydrofuranyl,
	isobenzotetrahydrothienyl,
	isobenzothienyl,

Sub  
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[illegible]

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benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,



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pyrimidinyl N-oxide,  
 pyridazinyl N-oxide,  
 pyrazinyl N-oxide,  
 quinolinyl N-oxide,  
 indolyl N-oxide,  
 indolinyl N-oxide,  
 isoquinolyl N-oxide,  
 quinazolinyl N-oxide,  
 quinoxalinyl N-oxide,  
 phthalazinyl N-oxide,  
 imidazolyl N-oxide,  
 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 indoliziny N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide,  
 benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero

R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and

R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

piperidinyl,  
 tetrahydrofuranyl,  
 tetrahydrothienyl,  
 homopiperidinyl,  
 homomorpholinyl,  
 homothiomorpholinyl,  
 homothiomorpholinyl S,S-dioxide, and  
 oxazolidinonyl,  
 dihydropyrazolyl  
 dihydropyrrolyl  
 dihydropyrazinyl  
 dihydropyridinyl  
 dihydropyrimidinyl  
 dihydrofuryl  
 dihydropyranyl  
 tetrahydrothienyl S-oxide  
 tetrahydrothienyl S,S-dioxide  
 homothiomorpholinyl S-oxide

where the  $R_{1-\text{heterocycle}}$  group is bonded by any atom of the  
 parent  $R_{1-\text{heterocycle}}$  group substituted by hydrogen such that the new bond to the  
 $R_{1-\text{heterocycle}}$  group replaces the hydrogen atom and its bond, where heterocycle is  
 optionally substituted with one, two, three, or four:

(1)  $C_1-C_6$  alkyl optionally substituted with one, two or  
 three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I,  
 -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as  
 defined above,

(2)  $C_2-C_6$  alkenyl with one or two double bonds,  
 optionally substituted with one, two or three substituents selected from the group  
 consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  
 $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(3)  $C_2-C_6$  alkynyl with one or two triple bonds,  
 optionally substituted with one, two or three substituents selected from the group  
 consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  
 $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

or three of -F,  
 (4) -F, Cl, -Br or -I,  
 (5) C<sub>1</sub>-C<sub>6</sub> alkoxy,  
 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two,

5 below,  
 (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined

(8) -OH,

(9) -C≡N,

10 two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  
 -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined

above,

15 (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined

above,

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or

(15) =O, with the proviso that when n<sub>1</sub> is zero

R<sub>1-heterocycle</sub> is not bonded to the carbon chain by nitrogen;

20 where R<sub>2</sub> is:

(I) -H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three  
 substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined

25 above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and  
 R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of  
 30 -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -  
 H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
 R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

5 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,  
10 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and  
15 R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
20 and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is as defined below;

25 where R<sub>N</sub> is:

(I) R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:

(A) -CO-,

(B) -SO<sub>2</sub>-,

(C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and  
30 are -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

(D) -CO-(CR'R'')<sub>1-6</sub>-X<sub>N-1</sub> where X<sub>N-1</sub> is selected from the group consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and

(E) a single bond;

where  $R_{N-1}$  is selected from the group consisting of:

(A)  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2) -OH,

(3)  $-NO_2$ ,

(4) -F, -Cl, -Br, or -I,

(5)  $-CO-OH$ ,

(6)  $-C\equiv N$ ,

(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii)  $-NH_2$ ,

(c)  $-C_1-C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d)  $-C_3-C_7$  cycloalkyl,

(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,

(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

(g)  $-C_2-C_6$  alkenyl with one or two double bonds,

(h)  $-C_2-C_6$  alkynyl with one or two triple bonds,

(i)  $-C_1-C_6$  alkyl chain with one double bond and one triple bond,

(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,

- (8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,  
 (9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$ ,  
 (10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$ ,  
 (11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,  
 (12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,  
 (13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,  
 (14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as defined above,  
 (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of:  $C_1-C_6$  alkyl,  
 (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:  
 (a)  $C_1-C_6$  alkyl,  
 (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined above,  
 (c)  $C_2-C_6$  alkenyl containing one or two double bonds,  
 (d)  $C_2-C_6$  alkynyl containing one or two triple bonds,  
 (e)  $C_3-C_7$  cycloalkyl, and  
 (f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as defined above,  
 (17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,  
 (18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,  
 (19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,  
 (20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ .

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

5 (23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

10 (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is -H or  $C_1-C_4$  alkyl,

15 (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

20 (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five -F})$ ,

25 (35)  $C_3-C_7$  cycloalkyl,

(36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or

(39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

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(B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group

consisting of:

- 5 pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
pyridazinyl,  
10 pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
15 phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
20 thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
25 benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
30 thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,

isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothieryl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,

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(k)  $-R_{1\text{-heteroaryl}}$  where  $R_{1\text{-heteroaryl}}$  is as defined

above,

(8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,

(9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three$

5 double bonds),

(10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or$

three triple bonds),

(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,

(12)  $-(CH_2)_{0-4}-CO-R_{1\text{-aryl}}$  where  $R_{1\text{-aryl}}$  is as defined

10 above,

(13)  $-(CH_2)_{0-4}-CO-R_{1\text{-heteroaryl}}$  where  $R_{1\text{-heteroaryl}}$  is as

defined above,

(14)  $-(CH_2)_{0-4}-CO-R_{1\text{-heterocycle}}$  where  $R_{1\text{-heterocycle}}$  is as

defined above,

15 (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of:  $C_1-C_6$  alkyl,

20 the group consisting of:

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from

(a)  $C_1-C_6$  alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1\text{-aryl}})$  where  $R_{1\text{-aryl}}$  is as defined

above,

25

bonds,

(c)  $C_2-C_6$  alkenyl containing one or two double

(d)  $C_2-C_6$  alkynyl containing one or two triple

bonds,

(e)  $C_3-C_7$  cycloalkyl,

30

(f)  $-(CH_2)_{0-2}-(R_{1\text{-heteroaryl}})$  where  $R_{1\text{-heteroaryl}}$  is as

defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are

as defined above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

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(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

5 (22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

10 (24)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

15 (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is -H or  $C_1-C_4$  alkyl,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

20 (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

25 (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of: -F, -Cl, -Br, -I, -OH, -SH, -C}\equiv\text{N, -CF}_3, C_1-C_3$

30 alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(37)  $C_2-C_6$  alkynyl with one or two triple bonds

optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, or

(38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or

(39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(C)  $R_{N-aryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  is defined as above,

(D)  $R_{N-aryl}-W-R_{N-heteroaryl}$ , where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as defined above,

(E)  $R_{N-aryl}-W-R_{N-1-heterocycle}$ , where  $R_{N-heterocycle}$  is defined as  $R_{1-heterocycle}$ , is defined above,

(F)  $R_{N-heteroaryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as defined above,

(G)  $R_{N-heteroaryl}-W-R_{N-heteroaryl}$ , where  $R_{N-heteroaryl}$  is as defined above,

(H)  $R_{N-heteroaryl}-W-R_{N-1-heterocycle}$ , where  $R_{N-1-heterocycle}$  is as defined as  $R_{1-heterocycle}$  is as defined above, and where  $R_{N-heteroaryl}$  is as defined above,

(I)  $R_{N-heterocycle}-W-R_{N-aryl}$ , where  $R_{N-heterocycle}$  is as defined as  $R_{1-heterocycle}$  is defined and where  $R_{N-aryl}$  are as defined above,

(J)  $R_{N-heterocycle}-W-R_{N-heteroaryl}$ , where  $R_{N-heterocycle}$  is as defined as  $R_{1-heterocycle}$  as defined above and  $R_{N-heteroaryl}$  are as defined above, and

(K)  $R_{N-heterocycle}-W-R_{N-1-heterocycle}$ , where  $R_{N-heterocycle}$  and  $R_{N-heteroaryl}$  are as defined above,

where W is

(1)  $-(CH_2)_{0-4}-$ ,

(2)  $-O-$ ,

(3)  $-S(O)_{0-2}-$ ,

(4)  $-N(R_{N-5})-$  where  $R_{N-5}$  is as defined above, or

(5)  $-CO-$

(II)  $-CO-(C_1-C_{10} \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A)  $-OH$ ,

(B)  $-C_1-C_6$  alkoxy,

(C)  $-C_1-C_6$  thioalkoxy,

(D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H$ ,  $C_1-C_6$  alkyl or  $-phenyl$ ,

(E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

(H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

5 (I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

10 (M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  are the same or different and are as defined above,

(O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

15 (P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of:  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ,

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ , and

(R)  $-\text{F}$ , or  $-\text{Cl}$

(III)  $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

20 (A)  $-\text{OH}$ ,

(B)  $-\text{C}_1-\text{C}_6 \text{ alkoxy}$ ,

(C)  $-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$ ,

(D)  $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is  $-\text{H}$ ,  $\text{C}_1-\text{C}_6 \text{ alkyl}$  or  $-\text{phenyl}$ ,

25 (E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

(H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

30 (I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

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(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where the R<sub>N-8</sub>s are the same or different and are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

5 (P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(R) -F, -Cl,

(IV) -CO-(C<sub>1</sub>-C<sub>6</sub> alkyl)-S-(C<sub>1</sub>-C<sub>6</sub> alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) -OH,

(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,

15 (E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,

(F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),

(H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,

(I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,

(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different

and are as defined above,

25 (L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

30 (P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(R) -F, or -Cl,

(V)  $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N}-10})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-aryl}}$

and  $\text{R}_{\text{N-heteroaryl}}$  are as defined above, where  $\text{R}_{\text{N}-10}$  is selected from the group consisting of:

- (A)  $-\text{H}$ ,
- (B)  $\text{C}_1-\text{C}_6$  alkyl,
- (C)  $\text{C}_3-\text{C}_7$  cycloalkyl,
- (D)  $\text{C}_2-\text{C}_6$  alkenyl with one double bond,
- (E)  $\text{C}_2-\text{C}_6$  alkynyl with one triple bond,
- (F)  $\text{R}_{1-\text{aryl}}$  where  $\text{R}_{1-\text{aryl}}$  is as defined above, and
- (G)  $\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-heteroaryl}}$  is as defined above, or

(VI)  $-\text{CO}-(\text{C}_3-\text{C}_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one or two substituents selected from the group consisting of:

- (A)  $-(\text{CH}_2)_{0-4}-\text{OH}$ ,
- (B)  $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6$  alkoxy,
- (C)  $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6$  thioalkoxy,
- (D)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is  $-\text{H}$ ,  $\text{C}_1-\text{C}_6$  alkyl or phenyl,
- (E)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,
- (F)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,
- (G)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,
- (H)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,
- (I)  $-(\text{CH}_2)_{0-4}-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,
- (J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is as defined above,
- (K)  $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,
- (L)  $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,
- (M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,
- (N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N}-8}\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  are the same or different and are as defined above,
- (O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,



(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and

(R) -F, or -Cl,

5 where R<sub>C</sub> is:

(I) -C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC(=O)NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub>R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C(=O)NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C(=O)NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub>NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are

-H,

C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,,

20 C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of:  
-F,

-(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

25 phenyl-,

and where R<sub>C-x</sub> and R<sub>C-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>C-aryl</sub> is the same as R<sub>N-aryl</sub>;

30 (IV) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub> is the same as R<sub>N-heteroaryl</sub> and R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(V) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(VI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$  where  $R_{C-aryl}$ ,  $R_{C-heteroaryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(VII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$  where  $R_{C-heteroaryl}$ ,  $R_{C-aryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

5 (VIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(IX)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$  where  $R_{C-aryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above, and  $R_{C-heterocycle}$  is the same as  $R_{N-heterocycle}$ ,

(X)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$  where  $R_{C-heteroaryl}$ ,  $R_{C-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$  where  $R_{C-heterocycle}$ ,  $R_{C-aryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$  where  $R_{C-heterocycle}$ ,  $R_{C-heteroaryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

15 (XIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$  where  $R_{C-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XIV)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$  where  $R_{C-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XV)  $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$  where  $R_{C-1}$  and  $R_{C-2}$  are the same or different and are selected from the group consisting of:

(A) -H,

(B)  $-C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

30 (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(E)  $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6 \text{ alkyl})$ ,

(F)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5 (G)  $-(C_1-C_4 \text{ alkyl})-R_{C'-aryl}$  where  $R_{C'-aryl}$  is as defined for  $R_{1-aryl}$ ,

(H)  $-(C_1-C_4 \text{ alkyl})-R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{C-heterocycle}$  where  $R_{C-heterocycle}$  is as defined above,

10 (J)  $-R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$  is as defined above,

(K)  $-R_{C-heterocycle}$  where  $R_{C-heterocycle}$  is as defined above,

(M)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'-aryl}$  where  $R_{C-4}$  is -O-, -S- or  $-NR_{C-5}-$  where  $R_{C-5}$  is  $C_1-C_6$  alkyl, and where  $R_{C'-aryl}$  is as defined above,

15 (N)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C-heteroaryl}$  where  $R_{C-4}$  and  $R_{C-heteroaryl}$  are as defined above, and

(O)  $-R_{C'-aryl}$  where  $R_{C'-aryl}$  is as defined above, and where  $R_{C-3}$  is the same or different and is:

(A) -H,

20 (B)  $-C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

25 (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

30 (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(F) -R<sub>C'-aryl</sub> where R<sub>C'-aryl</sub> is as defined above,

(G) -R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub> is as defined above,

(H) -R<sub>C-heterocycle</sub> where R<sub>C-heterocycle</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C'-aryl</sub> where R<sub>C'-aryl</sub> is as defined above,

(J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub> is as defined

above, or

(K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heterocycle</sub> where R<sub>C-heterocycle</sub> is as defined

above,

(XVI) -CH(R<sub>C-aryl</sub>)<sub>2</sub> where R<sub>C-aryl</sub> are the same or different and are as defined above,

(XVII) -CH(R<sub>C-heteroaryl</sub>)<sub>2</sub> where R<sub>C-heteroaryl</sub> are the same or different and are as defined above,

(XVIII) -CH(R<sub>C-aryl</sub>)(R<sub>C-heteroaryl</sub>) where R<sub>C-aryl</sub> and R<sub>C-heteroaryl</sub> are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub> where R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub> are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XX) C<sub>2</sub>-C<sub>10</sub> alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XXI) C<sub>2</sub>-C<sub>10</sub> alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XXI) -(CH<sub>2</sub>)<sub>0-1</sub>-CHR<sub>C-6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub> is as defined above and R<sub>C-6</sub> is -(CH<sub>2</sub>)<sub>0-6</sub>-OH,

(XXII)  $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}-R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$  and  $R_{C-6}$  is as defined above,

(XXIII)  $-CH(-R_{C-aryl} \text{ or } R_{C-heteroaryl})-CO-O(C_1-C_4 \text{ alkyl})$  where  $R_{C-aryl}$  and  $R_{C-heteroaryl}$  are as defined above,

5 (XXIV)  $-CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$ ,

(XXVII)  $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$ ,

(XXVIII)  $-H$ , or

10 (XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above;

or a pharmaceutically acceptable salt thereof.

2. A substituted amine of formula (X) according to claim 1 ✓

where  $R_1$  is:

15  $-(CH_2)_{0-1}-(R_{1-aryl})$

$-(CH_2)_{n1}-(R_{1-heteroaryl})$

where  $R_N$  is:

$R_{N-1}-X_N$  where  $X_N$  is selected from the group consisting of:

$-CO-$ , and

20  $-SO_2-$ ,

where  $R_{N-1}$  is selected from the group consisting of:

$-R_{N-aryl}$ , and

$-R_{N-heteroaryl}$ , or

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aryl}/R_{N-heteroaryl}$ ;

25 where  $R_C$  is:

$-C_1-C_8 \text{ alkyl}$ ,

$-(CH_2)_{0-3}-(C_3-C_7) \text{ cycloalkyl}$ ,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$ ,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$ ,

30  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$ , or

$-cyclopentyl$  or  $-cyclohexyl$  ring fused to  $R_{C-aryl}$  or  $R_{C-heteroaryl}$  or  $R_{C-heterocycle}$ .

3. A substituted amine of formula (X) according to claim 2 ✓

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where  $R_1$  is:

$-(CH_2)-(R_{1-aryl})$ , or

$-(CH_2)-(R_{1-heteroaryl})$ ;

where  $R_2$  is  $-H$ ;

where  $R_3$  is  $-H$ ;

where  $R_N$  is:

$R_{N-1}-X_N$  where  $X_N$  is:

$-CO-$ ,

where  $R_{N-1}$  is selected from the group consisting of:

$-R_{N-aryl}$ ,

$-R_{N-heteroaryl}$ ,

where  $R_C$  is:

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$ ,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$ ,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$ , or

$-cyclopentyl$  or  $-cyclohexyl$  ring fused to a  $R_{C-aryl}$  or  $R_{C-heteroaryl}$  or

$R_{C-heterocycle}$ .

4. A substituted amine of formula (X) according to claim 3 where  $R_C$  is:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$ ,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$ ,

$-cyclopentyl$  or  $-cyclohexyl$  ring fused to a  $R_{C-aryl}$  or  $R_{C-heteroaryl}$  or

$R_{C-heterocycle}$ .

5. A substituted amine of formula (X) according to claim 1 where  $R_1$  is

$-(CH_2)-(R_{1-aryl})$  where  $R_{1-aryl}$  is phenyl.

6. A substituted amine of formula (X) according to claim 1 where  $R_1$  is

$-(CH_2)-(R_{1-aryl})$  where  $R_{1-aryl}$  is phenyl substituted with two  $-F$ .

7. A substituted amine of formula (X) according to claim 6 where the  $-F$  substitution is 3,5-difluorobenzyl.

8. A substituted amine of formula (X) according to claim 1 where  $R_2$  is -H.
9. A substituted amine of formula (X) according to claim 1 where  $R_3$  is -H.
- 5 10. A substituted amine of formula (X) according to claim 1 where  $R_N$  is  
 $R_{N-1}-X_N-$  where  $X_N$  is -CO-, where  $R_{N-1}$  is  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl  
substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on phenyl is 1,3-.
- 10 11. A substituted amine of formula (X) according to claim 10 where  $R_{N-2}$  and  $R_{N-3}$   
are the same and are C<sub>3</sub> alkyl.
12. A substituted amine of formula (X) according to claim 1 where  $R_N$  is  
 $R_{N-1}-X_N-$  where  $X_N$  is -CO-, where  $R_{N-1}$  is  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl  
substituted with one C<sub>1</sub> alkyl and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on  
15 the phenyl is 1,3,5-.
13. A substituted amine of formula (X) according to claim 12 where  $R_{N-2}$  and  $R_{N-3}$   
are the same and are C<sub>3</sub> alkyl.
- 20 14. A substituted amine of formula (X) according to claim 1 where  $R_N$  is  
 $R_{N-1}-X_N-$  where  $X_N$  is -CO-, where  $R_{N-1}$  is  $R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is  
substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub>.
- 25 15. A substituted amine of formula (X) according to claim 14 where  $R_{N-2}$  and  $R_{N-3}$   
are the same and are -C<sub>3</sub> alkyl.
16. A substituted amine of formula (X) according to claim 1 where  $R_C$  is:  
-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub> is phenyl,  
-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>,  
30 -cyclopentyl or -cyclohexyl ring fused to a R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub>.
17. A substituted amine of formula (X) according to claim 16 where  $R_C$  is:  
-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub> is phenyl.

18. A substituted amine of formula (X) according to claim 17 where phenyl is substituted in the 3-position or 3,5-positions.

19. A substituted amine of formula (X) according to claim 16 where  $R_C$  is:

5  $-(CH_2)-R_C\text{-heteroaryl-}$

20. A substituted amine of formula (X) according to claim 16 where  $R_C$  is:

$-(CH_2)-R_C\text{-heterocycle-}$

10 21. A substituted amine of formula (X) according to claim 16 where  $R_C$  is:

$\text{-cyclohexyl ring fused to a phenyl ring.}$

22. A substituted amine of formula (X) according to claim 1 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camrylic, carbonic, chlorobenzoic, citric, edetic, edisyllic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycolylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

23. A substituted amine of formula (X) according to claim 1 which is selected from the group consisting of:

$N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-}3\text{-}[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$

$N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(2\text{-furylmethyl})\text{amino}]\text{-}2\text{-hydroxypropyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$

$N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl-}3\text{-}(ethylamino)\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$



$N^1$ -[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl]- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- $N^3,N^3$ -dipropylisophthalamide,

ethyl {[ (3S)-3-{[3-{(dipropylamino)carbonyl}benzoyl]amino}-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

$N^1$ -[(1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl]- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -[(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl]- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl}- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(2-pyridinyl)ethyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{4-pyridinylmethyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

5 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(1-methyl-2-pyrrolidinyl)ethyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{2,3-dimethylbenzyl}amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

10 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(trifluoromethoxy)benzyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{2-chloro-6-phenoxybenzyl}amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{4-(trifluoromethyl)benzyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

15 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{2,3-dichlorobenzyl}amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{3,5-dichlorobenzyl}amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

20 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{3,5-difluorobenzyl}amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{4-(trifluoromethoxy)benzyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-3-{{2-[4-(aminosulfonyl)phenyl]ethyl}amino}-1-benzyl-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

25 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{4-methoxybenzyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{4-methylbenzyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

30 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{3,4,5-trimethoxybenzyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{3-(trifluoromethoxy)benzyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{3,5-dimethoxybenzyl}amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl)-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-([(1,1'-biphenyl]-3-ylmethyl)amino]-2-  
hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

5 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl)-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-  
dipropylisophthalamide,~~

10 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{3-(trifluoromethyl)benzyl}amino}  
propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-  
dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{(1R)-1-phenylethyl}amino}propyl)-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{(1S)-1-phenylethyl}amino}propyl)-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{3,5-bis(trifluoromethyl)benzyl}amino}-2-  
hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

20 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{2-(trifluoromethyl)benzyl}amino}  
propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{(1S)-1-(1-  
naphthyl)ethyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{(1R)-1-(1-  
naphthyl)ethyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

25 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-  
methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl)-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

30 ~~N<sup>1</sup>-((1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-  
dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{(1S)-2-hydroxy-1-  
methylethyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{(1R)-2-hydroxy-1-  
methylethyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

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~~N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-3-[[2-(2-fluorophenyl)ethyl]amino]-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5 N<sup>1</sup>-[(1S,2R)-1-benzyl-3-[[2-(3-fluorophenyl)ethyl]amino]-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-3-[[2-(4-fluorophenyl)ethyl]amino]-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-3-[[2-(4-bromophenyl)ethyl]amino]-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-[(1S)-1-benzyl-2-hydroxy-3-[[2-(3-methoxyphenyl)ethyl]amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-3-[[2-(2,4-dichlorophenyl)ethyl]amino]-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-[(1S,2R)-1-benzyl-3-[[2-(3-chlorophenyl)ethyl]amino]-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S)-1-benzyl-3-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[[2-(4-methylphenyl)ethyl]amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N<sup>1</sup>-[(1S,2R)-1-benzyl-3-[[2-(4-methylphenyl)ethyl]amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-3-[[2-(4-methylphenyl)ethyl]amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[[3-(4-morpholinyl)propyl]amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
25 N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[[2-(4-morpholinyl)ethyl]amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxybutyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
30 N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[[2-(2-thienyl)ethyl]amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{[(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl]}- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{[(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl]}- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -{[(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl]}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{[(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl]}- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

20  $N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

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 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(isobutylamino)-2-methyl-3-oxopropyl}amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{{4-(dimethylamino)benzyl}amino}-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl)amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{{2-(dimethylamino)ethyl}amino}-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl)amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]butyl)amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(1S)-1-phenylpropyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10  $N^1$ -{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
dimethyl (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,  
20 (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,  
 $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
25  $N^1$ -[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
N-{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(2-propylpentyl)sulfonyl]benzamide,  
 $N^1$ -[(1S,2R)-3-[(1,1'-biphenyl)-3-ylmethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30  $N^1$ -{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,



- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(trifluoromethoxy)benzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro- $N^3,N^3$ -dipropylisophthalamide,  
 $N^3$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-  
10  $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro- $N^3,N^3$ -dipropylisophthalamide,  
 $N^2$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-  
 $N^5,N^5$ -dipropyl-2,5-thiophenedicarboxamide,  
15  $N^4$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^2,N^2$ -dipropyl-2,4-pyridinedicarboxamide,  
 $N^4$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^6,N^6$ -dipropyl-4,6-pyrimidinedicarboxamide,  
 $N$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-morpholinylcarbonyl)benzamide,  
20  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,  
25  $N^1$ -[(1S,2R)-3-{[(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}- $N^5$ , $N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 3-benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,  
 5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^3$ -(2-methoxyethyl)- $N^3$ -propylisophthalamide,  
 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide,  
 10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
 15  $N^1$ -[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)- $N^3$ , $N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-  
 20  $N^3$ , $N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-3-{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)- $N^3$ , $N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl)- $N^3$ , $N^3$ -dipropylisophthalamide,  
 25  $N^1$ -{(1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)- $N^3$ , $N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl)- $N^3$ , $N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)- $N^3$ , $N^3$ -dipropylisophthalamide,  
 30  $N^1$ -{(1S,2R)-1-benzyl-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)- $N^3$ , $N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)- $N^3$ , $N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl]- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2R)-1-benzyl-3-{3-(difluoromethoxy)benzyl}amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-pyrazinyl)methyl]amino}propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^3$ -methyl- $N^3$ -propylisophthalamide,

25  $N^2$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^5,N^5$ -dipropyl-2,5-furandicarboxamide,

$N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{3-(trifluoromethyl)benzyl}amino}propyl]- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

30  $N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide, isomer A,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide, isomer B,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(dimethylamino)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide,

3-(aminosulfonyl)-N-[(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-chlorobenzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-cyanobenzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-chloro-3-nitrobenzamide,

methyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-nitrobenzoate,

tert-butyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]phenylcarbamate,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthracenylcarboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-indole-5-carboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-fluoro-5-(trifluoromethyl)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(trifluoromethyl)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(butylamino)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-(trifluoromethoxy)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dimethoxybenzamide,

5 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dimethylbenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-difluorobenzamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dichlorobenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-(benzyloxy)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1,3-benzodioxole-5-carboxamide,

15 3-(acetylamino)-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)benzamide,

4-(acetylamino)-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)benzamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[3,5-dimethyl-4-isoxazolyl)methyl]amino)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

*Sub A'*

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-(3-methylphenyl)ethyl]amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

5 ~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-3-[[2-chloro-5-(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

10 ~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

15 ~~5-chloro-N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

20 ~~N<sup>1</sup>-[(1S,2R)-3-[(1R)-1-(3-bromophenyl)ethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[butyl(butyryl)amino]-5-methylbenzamide,~~

25 ~~N<sup>1</sup>-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>3</sup>-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N<sup>1</sup>,N<sup>1</sup>-dipropylisophthalamide,~~

30 ~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1-butyl-1H-indole-6-carboxamide,~~

~~N<sup>1</sup>-[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

5-bromo-N<sup>1</sup>-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-4-methylpentanamide,

5 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylpentanamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-cyano-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide hydrochloride,

N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

1- N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate,

15 5-(aminosulfonyl)-N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

20 N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(methylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(dimethylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-3-(methylsulfonyl)propanamide,

25 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(methylsulfonyl)propanamide,

2-amino-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1,3-thiazole-4-carboxamide,

30 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(methylsulfonyl)pentanamide,

N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>4</sup>-phenylsuccinamide,

(3R)-N<sup>4</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2,2,3-trimethylbutanediamide,



N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-  
[(dipropylamino)sulfonyl]propanamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>5</sup>,N<sup>5</sup>-  
dipropylpentanediamide,

5 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-oxo-  
4-(1-piperidinyl)butanamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-  
N<sup>4</sup>,N<sup>4</sup>-dipropylsuccinamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-oxo-  
5-(1-piperidinyl)pentanamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>5</sup>-  
phenylpentanediamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,3-  
dimethyl-4-oxo-4-(1-piperidinyl)butanamide,

15 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-  
(isopentylsulfonyl)butanamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2,2-  
dimethyl-N<sup>4</sup>,N<sup>4</sup>-dipropylsuccinamide,

20 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-  
[(dipropylamino)sulfonyl]butanamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-  
[(methylanilino)sulfonyl]butanamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-  
[(methylanilino)sulfonyl]propanamide,

25 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl)acetamide, N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl)-3-(isopentylsulfonyl)propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl)-5-oxo-5-(1-piperidinyl)pentanamide,

30 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-oxo-5-(1-  
piperidinyl)pentanamide and

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl)-3-[(dipropylamino)sulfonyl]propanamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano- $N^3$ -propylisophthalamide,

10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dimethyl- $N^5,N^5$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

15  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3$ -propyl-1,3,5-benzenetricarboxamide,

20  $N$ -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide,

$N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

$N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

30  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl} octanamide,

$N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl} amino)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl} amino} propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]-3-methylbenzamide,

10  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl} amino} propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1,N^1$ -diallyl-5-chloro- $N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl) amino] propyl] isophthalamide,

$N^1,N^1$ -diallyl-5-chloro- $N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl) amino] propyl] isophthalamide,

$N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl) amino] propyl]- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

20  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(dimethylamino)benzyl} amino}-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-{{(4,5-dimethyl-2-furyl)methyl} amino}-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl) amino] propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -[(1S,2R)-3-[(cyclopropylmethyl) amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]- $N^5,N^5$ -dipropylpentanediamide,

$N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methyl-2-furyl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5-chloro- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- $N^3,N^3$ -di(2-propynyl)isophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,  
methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,  
25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30 (1R,2R)- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- $N^2,N^2$ -dipropyl-1,2-cyclopropanedicarboxamide,  
 $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5 4-(butylamino)- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,  
 $N^1$ -[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^3$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-  
10  $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide 1-oxide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
25  $N^1$ -[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30  $N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(2-furyl)-1-methylethyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-5-isoxazolyl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isobutyl-1,3-thiazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

10 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{2-(2-chlorophenyl)ethyl}amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{3-(2-oxo-1-pyrrolidinyl)propyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide,

N<sup>1</sup>-[(1S,2R)-1-benzyl-3-{{2-[(2-ethylhexyl)oxy]ethyl}amino}-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{1-(4-hydroxyphenyl)ethyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-3-[[1,1'-biphenyl]-2-ylmethyl]amino)-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-(dimethylamino)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1-naphthamide,

5 N<sup>1</sup>-[(1S,2R)-1-benzyl-3-({2-[(5-[(dimethylamino)methyl]-2-furyl)methyl]sulfanyl}ethyl)amino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-[(1S,2R)-3-([(1,1'-biphenyl)-4-ylmethyl]amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-[(1S,2R)-3-({(2-butyl-4-chloro-1H-imidazol-5-yl)methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-({(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-1-naphthyl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-oxo-4H-chromen-3-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl}amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

[illegible]

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N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl)methyl]amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(2-butyl-1H-imidazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 5 methyl 3-[(2R,3S)-4-(3,5-difluorophenyl)-3-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate,  
 10 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
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N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-prop-1-ynylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 20 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(8-quinolynyl)isophthalamide,  
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N<sup>3</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N<sup>5</sup>,N<sup>5</sup>-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N<sup>3</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,  
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N<sup>3</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N<sup>5</sup>,N<sup>5</sup>-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

$N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-  
 [(dimethylamino)sulfonyl]- $N^5,N^5$ -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -  
 dipropyl-5-(3-thienyl)isophthalamide,  
 5  $N$ -{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,  
 $N^1$ -(4-hydroxybutyl)- $N^3$ -{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-  
 methoxybenzyl)amino]propyl}-5-methyl- $N^1$ -propylisophthalamide,  
 $N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-  
 10 methoxybenzyl)amino]propyl}- $N^3$ -(3-hydroxypropyl)-5-methyl- $N^3$ -  
 propylisophthalamide,  
 $N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-  
 methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-3-[[3-(2,4-dimethylphenyl)propyl]amino]-2-  
 15 hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[[3-(4-  
 methylphenyl)propyl]amino]propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
 methyl- $N^3,N^3$ -dipropylisophthalamide,  
 20  $N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-  
 dioxo-2-propyl-5-isoindolinecarboxamide,  
 $N$ -{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-  
 bromo-5-methylbenzamide,  
 25 3-bromo- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 methoxybenzyl)amino]propyl}-5-methylbenzamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-  
 methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 methoxybenzyl)amino]propyl}-4-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 30  $N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-  
 methyl- $N^1,N^1$ -dipropylisophthalamide,  
 $N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-  
 furyl)-5-methylbenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,

3'-Acetyl-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl[1,1'-biphenyl]-3-carboxamide,

5 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl[1,1'-biphenyl]-3-carboxamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-thienyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(3-thienyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-3-methyl-5-(3-thienyl)benzamide,

15 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-methyl-3-(3-thienyl)benzamide,

N<sup>1</sup>-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>,N<sup>5</sup>,N<sup>5</sup>-tetrapropylbenzene-1,3,5-tricarboxamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylbenzene-1,3,5-tricarboxamide,

Ethyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

25 N<sup>1</sup>-((1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylbenzene-1,3,5-tricarboxamide,

N<sup>1</sup>-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-Amino-N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide,

N<sup>1</sup>-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

$N^1$ -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

5  $N^1$ -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]- $N^3,N^3$ -dipropylisophthalamide.

$N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

tert-butyl (2R,3S)-3-[(3-[(dipropylamino)sulfonyl]-propanoyl)amino]-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate

15  $N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide,

$N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide,

20  $N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

$N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide,

$N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide,

25  $N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropyl-5-[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)amino]carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ , $N^3$ -dipropyl-5-prop-1-ynylisophthalamide,  
 $N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide,  
5  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy- $N^3$ -methylisophthalamide,  
10  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide,  
5-(aminosulfonyl)- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide,  
 $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,  
15  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)- $N^3$ , $N^3$ -dipropylisophthalamide,  
20  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3$ , $N^3$ -dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,  
3-(cyclohexylcarbonyl)- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3$ -propylisophthalamide,  
25 3-[cyclohexyl(hydroxy)methyl]- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)- $N^3$ , $N^3$ -dipropylisophthalamide  
30  $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^5$ , $N^5$ -dipropylpyridine-3,5-dicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,

$N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- $N^5,N^5$ -dipropylpyridine-3,5-dicarboxamide,

$N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}- $N^5,N^5$ -dipropylpyridine-3,5-dicarboxamide,

5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

1-{3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino}carbonyl]-5-methylbenzoyl}-L-prolinamide,

10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isopropyl-5-methylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl- $N^3,5$ -dimethylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,5$ -dimethyl- $N^3$ -prop-2-ynylisophthalamide,

15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isobutyl-5-methylisophthalamide,

$N^1$ -(sec-butyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

20  $N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -diethyl-5-methylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,5$ -dimethyl- $N^3$ -propylisophthalamide,

25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isopropyl- $N^3,5$ -dimethylisophthalamide,

$N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1,5$ -dimethylisophthalamide,

30  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isobutyl- $N^3,5$ -dimethylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl-5-methyl- $N^3$ -propylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl- $N^3$ -isopropyl-5-methylisophthalamide,

$N^1, N^1$ -diallyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide

5  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

$N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3, N^3$ -diisopropyl-5-methylisophthalamide,

$N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ -ethyl-5-methylisophthalamide,

$N^1$ -(cyclopropylmethyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^1$ -propylisophthalamide,

15 1-{3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino}carbonyl}-5-methylbenzoyl}-D-prolinamide,

$N^1$ -cyclohexyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1, 5$ -dimethylisophthalamide,

20  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-(3-methylphenyl)cyclopropyl)amino]propyl}-5-methyl- $N^3, N^3$ -dipropylisophthalamide,

$N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]- $N^5, N^5$ -diisopropylpyridine-3,5-dicarboxamide, and

25  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(trifluoromethyl)sulfonyl]amino}benzamide.

24. A substituted amine of formula (X) according to claim 23 which is selected from the group consisting of:

30  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3, N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl- $N^3, N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3, N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -{(1S,2R)-1-benzyl-3-[(1,1'-biphenyl)-3-ylmethyl]amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,



N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]propyl)amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-  
5 dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1R)-1-[(isobutylamino)carbonyl]propyl)amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-  
dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-  
10 methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-((1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl)amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-  
15 dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-((1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-  
20 dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]butyl)amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-  
25 dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl)amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

~~N<sup>1</sup>-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

5 ~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
(1R,3S)-5-[(2R,3S)-4-(3,5-difluorophenyl)-3-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino)-2-hydroxybutyl]amino]-1,3-cyclohexanedicarboxylic acid,~~

10 ~~N<sup>1</sup>-[(1S,2R)-3-[(1,1'-biphenyl)-3-ylmethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

15 ~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

20 ~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

25 ~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

30 ~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(trifluoromethoxy)benzyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy- $N^3,N^3$ -dipropylisophthalamide  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-  
10  $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro- $N^3,N^3$ -dipropylisophthalamide,  
 $N^3$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-  
 $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
15  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,  
20  $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,  
25  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^3$ -(2-methoxyethyl)- $N^3$ -propylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30  $N^1$ -[(1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-3-{{4-fluoro-3-(trifluoromethyl)benzyl}amino}-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-3-{{4-chloro-3-(trifluoromethyl)benzyl}amino}-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -((1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-3-{{3-(difluoromethoxy)benzyl}amino}-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -((1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[4-methyl-1,3-thiazol-2-yl)methyl]amino]propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^3$ -methyl- $N^3$ -propylisophthalamide,

$N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(trifluoromethyl)benzyl}amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

25  $N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide, isomer B,

30  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

~~$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-(3-methylphenyl)ethyl]amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[[2-chloro-5-(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5-chloro- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[[[(1R)-1-(3-bromophenyl)ethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano- $N^3,N^3$ -dipropylisophthalamide hydrochloride,  
25  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,  
5-(aminosulfonyl)- $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,  
30  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]- $N^3,N^3$ -dipropylisophthalamide,~~

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-  
 [(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 iodobenzyl)amino]propyl)-5-oxo-5-(1-piperidiny)pentanamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 iodobenzyl)amino]propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-  
 ethyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-tert-  
 10 butyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-  
 cyano-N<sup>3</sup>-propylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 methoxybenzyl)amino]propyl)-1-propyl-1H-indole-6-carboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-  
 hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-  
 20 hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>3</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-  
 (trifluoromethyl)phenyl]ethyl}amino)propyl]-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-  
 pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R,2S)-2-hydroxy-2,3-  
 25 dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-  
 ylamino]-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5-chloro-N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-  
 phenylethyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-bis(2-methoxyethyl)isophthalamide,

30 N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-  
 phenylcyclopentyl)amino]propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[3-(dimethylamino)benzyl]amino]-2-  
 hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(4,5-dimethyl-2-furyl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

5 ~~N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,~~

~~N<sup>3</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,~~

10 ~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2S)-tetrahydro-2-furanylmethyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

15 ~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,~~

20 ~~methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,~~

~~N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

25 ~~N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~(1R,2R)-N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,~~

~~N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2S)-tetrahydro-2-furanylmethyl}amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,~~

30 ~~N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~$N^3$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-  
 $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide 1-oxide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-  
ylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-  
hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-  
10 yl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-  
yl)methyl]amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-  
ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-  
methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-  
20 furyl)methyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-  
phenylethyl)amino]propyl)- $N^5,N^5$ -dipropylpentanediamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[[1-(2-furyl)-1-methylethyl]amino]-2-  
hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-5-  
isoxazolyl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-isobutyl-1,3-thiazol-5-  
yl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
30 hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,  
 $N^1$ -[(1S,2R)-3-[[1,1'-biphenyl]-4-ylmethyl]amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-  
ylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,~~



$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

10  $N^1$ -[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

15  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-prop-1-ynylisophthalamide,

$N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy- $N^5,N^5$ -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride,

5  $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

$N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

10  $N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]- $N^5,N^5$ -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

$N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]- $N^5,N^5$ -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

$N$ -{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

15  $N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- $N^3$ -(3-hydroxypropyl)-5-methyl- $N^3$ -propylisophthalamide,

$N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- $N^3,N^3$ -dipropylisophthalamide,

25  $N^3,N^3,N^5,N^5$ -tetrapropylbenzene-1,3,5-tricarboxamide,

$N^1$ -{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylbenzene-1,3,5-tricarboxamide,

ethyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-

30 [(dipropylamino)carbonyl]benzoate,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-[[trifluoromethyl)sulfonyl]amino}isophthalamide,

5-amino-N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
 [(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 5 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-  
 dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-  
 dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
 10 (methacryloylamino)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
 [(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
 (methylthio)pentanamide,  
 15 3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,  
 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-  
 ethylhexanamide,  
 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-  
 20 [(isobutylsulfonyl)amino]propanamide,  
 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 iodobenzyl)amino]propyl}-N<sup>3</sup>-(isobutylsulfonyl)-beta-alaninamide,  
 5-bromo-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 iodobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide, and  
 25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-  
 phenylcyclopropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-  
 yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
 30 hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(trifluoromethyl)sulfonyl]amino}isophthalamide,  
 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic  
 acid,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ , $N^3$ -dipropyl-5-prop-1-ynylisophthalamide,  
 $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,  
5  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3$ , $N^3$ -dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3$ -propylisophthalamide,  
10  $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^5$ , $N^5$ -dipropylpyridine-3,5-dicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
15  $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- $N^5$ , $N^5$ -dipropylpyridine-3,5-dicarboxamide,  
 $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}- $N^5$ , $N^5$ -dipropylpyridine-3,5-dicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(4-hydroxybut-1-ynyl)benzyl)amino]propyl)-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
20 1-{3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino}carbonyl]-5-methylbenzoyl}-L-prolinamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isopropyl-5-methylisophthalamide,  
25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl- $N^3$ ,5-dimethylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ ,5-dimethyl- $N^3$ -prop-2-ynylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isobutyl-5-methylisophthalamide,  
30  $N^1$ -(sec-butyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,  
 $N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -diethyl-5-methylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ ,5-dimethyl- $N^3$ -propylisophthalamide,  
5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isopropyl- $N^3$ ,5-dimethylisophthalamide,  
 $N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ ,5-dimethylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isobutyl- $N^3$ ,5-dimethylisophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl-5-methyl- $N^3$ -propylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl- $N^3$ -isopropyl-5-methylisophthalamide,  
15  $N^1,N^1$ -diallyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,  
3-(azepan-1-ylcarbonyl)- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide  
 $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,  
20  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -diisopropyl-5-methylisophthalamide,  
25  $N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ -ethyl-5-methylisophthalamide,  
 $N^1$ -(cyclopropylmethyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^1$ -propylisophthalamide,  
 $N^1$ -cyclohexyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ ,5-dimethylisophthalamide,  
30  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(3-methylphenyl)cyclopropyl]amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
and

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[[trifluoromethyl)sulfonyl]amino}benzamide.

25. A substituted amine of formula (X) according to claim 1 which is selected from the group consisting of:

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylpentanoyl)-5-methylbenzamide,

N-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylbutanoyl)-5-methylbenzamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-(2-propylpentanoyl)isophthalamide,

N-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylpentanoyl)-5-methylbenzamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-(2-propylpentanoyl)isophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-(2-propylpentanoyl)isophthalamide,

N-((1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(3-pyridinyl)benzyl]amino]propyl)-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(4-pyridinyl)benzyl]amino]propyl)-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-(1-propynyl)isophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropyl-5-(1-propynyl)isophthalamide,

5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropyl-5-(2-propynyl)isophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-(2-propynyl)isophthalamide,

$N^1$ -{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

25  $N^1$ -{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

- $N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-  
 $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,  
 2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-  
 [(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythro-pentitol,  
 5  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl-  
 $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -((1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-  
 methylbutyl)-5-methyl-  $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-  $N^3,N^3$ -  
 10 dipropyl-1,3,5-benzenetricarboxamide,  
 $N^1$ -[(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-  
 methoxybenzyl)amino]propyl]-5-methyl-  $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl-  
 $N^3,N^3$ -dipropylisophthalamide,  
 15  $N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-  
 naphthylmethyl)propyl]-5-methyl-  $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl]-  $N^3,N^3$ -  
 dipropyl-1,3,5-benzenetricarboxamide,  
 $N^1$ -[(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-  
 20 methoxybenzyl)amino]propyl]-5-methyl-  $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-  
 methyl-  $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -((1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-butynyl)-  
 5-methyl-  $N^3,N^3$ -dipropylisophthalamide,  
 25  $N^1$ -((1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-butynyl)-  
 $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,  
 5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-  
 methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythro-pentitol,  
 $N^1$ -[(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-  
 30 methoxybenzyl)amino]propyl]-  $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,



$N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

5  $N^1$ -{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

10  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-methylbutyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

20  $N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

25  $N^1$ -{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

5  $N^1$ -{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

10  $N^1$ -{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

15  $N^1$ -{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

20  $N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-methylbutyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

25  $N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

30  $N^1$ -{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N$ -{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,  
 $N^1$ -{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,  
25 3-[(dipropylamino)sulfonyl]- $N$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,  
 $N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- $N^5,N^5$ -dipropylpentanediamide,  
30 3-[(dipropylamino)sulfonyl]- $N$ -{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

$N^1$ -{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,  
 3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide,

5  $N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,  
 3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

10  $N^1$ -{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,  
 3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

15  $N^1$ -{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,  
 3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl}propanamide,

20  $N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- $N^5,N^5$ -dipropylpentanediamide,  
 3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl}propanamide,

25  $N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- $N^5,N^5$ -dipropylpentanediamide,  
 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

$N^1$ -[(1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl]-5-methyl-  $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl]-5-methyl-  $N^3,N^3$ -dipropylisophthalamide,

(1R,2R,3R)- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}- $N^2,N^2$ -dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3R)- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-3-phenyl-  $N^2,N^2$ -dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-3-methyl-  $N^2,N^2$ -dipropyl-1,2-cyclopropanedicarboxamide,

15 (1R,2R,3S)- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-3-methyl-  $N^2,N^2$ -dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-3-phenyl-  $N^2,N^2$ -dipropyl-1,2-

20 cyclopropanedicarboxamide,

(1R,2R,3S)- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-  $N^2,N^2$ -dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3S)-3-(2-amino-2-oxoethyl)- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-  $N^2,N^2$ -dipropyl-1,2-

25 cyclopropanedicarboxamide,

(1R,2R,3R)-3-(2-amino-2-oxoethyl)- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-  $N^2,N^2$ -dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)- $N$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1R,2R,3R)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

5 (1S,2R,3R)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3S)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

10 (1S,2R,3R)-N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

(1S,2R,3S)-N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[2-(dipropylamino)-2-oxoethyl]-1,2-  
15 cyclopropanedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-  
{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-  
{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-  
{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-  
{methyl[(trifluoromethyl)sulfonyl]amino}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-  
{methyl[(trifluoromethyl)sulfonyl]amino}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-  
{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

20 N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperidinylsulfonyl)benzyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(methylsulfonyl)benzyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{[3-(aminocarbonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenylcarbamate,



3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(1-propynyl)benzyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(3-methyl-1-butynyl)benzyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(2-propynyl)benzyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(5-ethyl-1,3,4-thiadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(3-ethyl-1,2,4-thiadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(3-ethyl-1,2,4-oxadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((5-isobutyl-1,3,4-thiadiazol-2-yl)methyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-(((5-ethyl-1,3,4-thiadiazol-2-yl)methyl)amino)-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-(((5-ethyl-1,3,4-oxadiazol-2-yl)methyl)amino)-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-(((3-ethyl-1,2,4-oxadiazol-5-yl)methyl)amino)-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-(((3-ethyl-1,2,4-thiadiazol-5-yl)methyl)amino)-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((3-isobutyl-1,2,4-thiadiazol-5-yl)methyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((3-isobutyl-1,2,4-oxadiazol-5-yl)methyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-(((2-ethyl-2H-tetraazol-5-yl)methyl)amino)-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((2-isobutyl-2H-tetraazol-5-yl)methyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-(((2-ethyl-4-pyrimidinyl)methyl)amino)-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((2-isopropyl-4-pyrimidinyl)methyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-(((2-ethynyl-4-pyrimidinyl)methyl)amino)-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((6-isopropyl-4-pyrimidinyl)methyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-(((6-(dimethylamino)-4-pyrimidinyl)methyl)amino)-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -

dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(4-isopropyl-2-pyrimidinyl)methyl}amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(4-ethyl-2-pyrimidinyl)methyl}amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(5-ethyl-3-pyridazinyl)methyl}amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -

15 dipropylisophthalamide,

$N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(5-isopropyl-3-pyridazinyl)methyl}amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-(1-propynyl)benzyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(6-isopropyl-4-pyridazinyl)methyl}amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

25  $N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[3-ethynylbenzyl]amino}-2-hydroxypropyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(6-ethyl-4-pyridazinyl)methyl}amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

30  $N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-isopropylbenzyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[[(6-ethyl-2-pyrazinyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[[(6-isopropyl-2-pyrazinyl)methyl]amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-[[3-(trifluoromethyl)benzyl]amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-[[3-(trifluoromethyl)benzyl]amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-ylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

~~N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
5 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-[(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-[(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
25 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,~~

- $N^1$ -{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,  
5  $N^1$ -{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,  
10  $N^1$ -{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,  
 $N^1$ -{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,  
 $N^1$ -{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,  
20  $N^1$ -{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,  
25  $N^1$ -{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

5  $N^1$ -{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

25  $N^1$ -{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

*Sub A*

~~N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,~~

5 ~~N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,~~

10 ~~N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

15 ~~N<sup>1</sup>-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,~~

20 ~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,~~

25 ~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,~~

30 ~~N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,~~



5 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)cyclopropyl}amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)-1-methylethyl}amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)-1-methylethyl}amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)-1-methylethyl}amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(3-ethylphenyl)cyclopropyl}amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

25 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

30 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

10 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

25 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

30 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N<sup>4</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-N<sup>3</sup>-methylisophthalamide,

10 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-N<sup>3</sup>-methylisophthalamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>-ethyl-4-hydroxyisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

30 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

20 N<sup>3</sup>-(cyclopropylmethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>3</sup>-(cyclopropylmethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,

30 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-isobutylisophthalamide,

2-{{{cyclopropylmethyl}sulfonyl}amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide,

10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-isobutyl-N<sup>3</sup>-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>3</sup>-(cyclopropylmethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-methylisophthalamide,

15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-methyl-N<sup>3</sup>-propylisophthalamide,

20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N<sup>3</sup>-methyl-N<sup>3</sup>-propylisophthalamide,

25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>-ethyl-4-hydroxy-N<sup>3</sup>-propylisophthalamide,

30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[[4-methylphenyl)sulfonyl]amino]-1,3-oxazole-4-carboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-4-hydroxy-N<sup>3</sup>-propylisophthalamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[[4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

10 N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

20 N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>, N<sup>3</sup>-dipropyl-5-[(propylsulfonyl)amino]isophthalamide,

N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>, N<sup>3</sup>-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

*Sub A*

~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

5 ~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide,~~

10 ~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

15 ~~5-[(5-cyanopyridin-2-yl)sulfonyl]amino}-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-([5-(trifluoromethyl)pyridin-2-yl)sulfonyl]amino)isophthalamide,~~

20 ~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-([5-(trifluoromethyl)pyridin-2-yl)sulfonyl]amino)benzamide,~~

25 ~~3-[(5-cyanopyridin-2-yl)sulfonyl]amino}-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,~~

30 ~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,~~

~~N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,~~

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isobutylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isopropylsulfonyl)amino]benzamide,

5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1-ethylpropyl)sulfonyl]amino} benzamide,

3-[(cyclohexylsulfonyl)amino]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} benzamide,

10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1-propylbutyl)sulfonyl]amino} benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-2-yl)sulfonyl]amino} benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(2-furylsulfonyl)amino]benzamide,

15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,

20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,

25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,

30 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(trifluoromethyl)sulfonyl]amino} isophthalamide,

N<sup>1</sup>-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(trifluoromethyl)sulfonyl]amino} isophthalamide,

N<sup>1</sup>-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,



$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -(tert-butyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

5  $N^1$ -(tert-butyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

5-bromo- $N^1$ -(tert-butyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

10 3-tert-butoxy- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

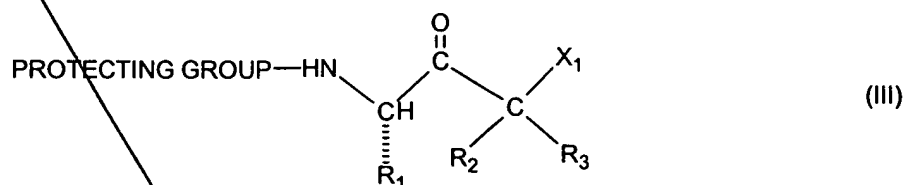
3-tert-butoxy- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide,

$N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[[trifluoromethyl)sulfonyl]amino}benzamide,

15  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide, and

$N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

20 26. A protected compound of the formula (III)



where  $R_1$  is:

(I)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_7$  alkyl (optionally substituted with  $C_1$ - $C_3$  alkyl and  $C_1$ - $C_3$  alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

(II) -CH $_2$ -S(O) $_{0.2}$ -( $C_1$ - $C_6$  alkyl),

(III) -CH $_2$ -CH $_2$ -S(O) $_{0.2}$ -( $C_1$ - $C_6$  alkyl),

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5 (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is  
10 phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub>  
15 alkoxy,

(B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -  
20 H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -  
25 H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three  
of: -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

30 (I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

5 (VII) -(CH<sub>2</sub>)<sub>n<sub>1</sub></sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where

R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

10 benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

15 isoindolyl,

isoquinolyl,

quinazoliny~~l~~,

quinoxaliny~~l~~,

phthalazinyl,

20 imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,

25 indoliziny~~l~~,

indazolyl,

benzothiazolyl,

benzimidazolyl,

benzofuranyl,

30 furanyl,

thienyl,

pyrrolyl,

oxadiazolyl,

thiadiazolyl,

5 triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
10 isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
15 isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
20 benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
25 phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
30 dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisoiazinyl,  
benzopyranyl,

T 0 6 3 0 " 6 2 9 9 9 0

5 benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
10 dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
15 benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
20 quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
25 quinoxaliny N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
30 thiazolyl N-oxide,  
indoliziny N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,

pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide,  
 benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(3)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(4) -F, Cl, -Br or -I,

(6)  $C_1-C_6$  alkoxy optionally substituted with one, two, or three of: -F,

(7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,

(8) -OH,

(9)  $-C\equiv N$ ,

(10)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(11)  $-CO-(C_1-C_4 \text{ alkyl})$ ,

- (12)  $-\text{SO}_2-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,  
 (13)  $-\text{CO}-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above, or  
 (14)  $-\text{SO}_2-(\text{C}_1-\text{C}_4 \text{ alkyl})$ , with the proviso that when  $n_1$  is zero

$\text{R}_{1-\text{heteroaryl}}$  is not bonded to the carbon chain by nitrogen, or

5 (VIII)  $-(\text{CH}_2)_{n_1}-(\text{R}_{1-\text{heterocycle}})$  where  $n_1$  is as defined above and

$\text{R}_{1-\text{heterocycle}}$  is selected from the group consisting of:

- morpholinyl,  
 thiomorpholinyl,  
 thiomorpholinyl S-oxide,  
 10 thiomorpholinyl S,S-dioxide,  
 piperazinyl,  
 homopiperazinyl,  
 pyrrolidinyl,  
 pyrrolinyl,  
 15 tetrahydropyranyl,  
 piperidinyl,  
 tetrahydrofuran-2-yl,  
 tetrahydrothienyl,  
 homopiperidinyl,  
 20 homomorpholinyl,  
 homothiomorpholinyl,  
 homothiomorpholinyl S,S-dioxide, and  
 oxazolidinonyl,  
 dihydropyrazolyl  
 25 dihydropyrrolyl  
 dihydropyrazinyl  
 dihydropyridinyl  
 dihydropyrimidinyl  
 dihydrofuryl  
 30 dihydropyranyl  
 tetrahydrothienyl S-oxide  
 tetrahydrothienyl S,S-dioxide  
 homothiomorpholinyl S-oxide

where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_{1\text{-heterocycle}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

5 (1)  $C_1\text{-}C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

10 (2)  $C_2\text{-}C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,

15 (3)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,

(4) -F, -Cl, -Br or -I,

(5)  $C_1\text{-}C_6$  alkoxy,

20 (6)  $-C_1\text{-}C_6$  alkoxy optionally substituted with one, two, or three of -F,

(7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,

(8) -OH,

(9)  $-C\equiv N$ ,

25 (10)  $C_3\text{-}C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,

(11)  $-CO\text{-}(C_1\text{-}C_4\text{ alkyl})$ ,

(12)  $-SO_2\text{-}NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined

30 above,

(13)  $-CO\text{-}NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined

above,

(14)  $-SO_2\text{-}(C_1\text{-}C_4\text{ alkyl})$ , or



(15) =O, with the proviso that when  $n_1$  is zero

$R_{1\text{-heterocycle}}$  is not bonded to the carbon chain by nitrogen;

where  $R_2$  is:

(I)-H,

5 (II)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

(III) -(CH $_2$ ) $_{0-4}$ -R $_{2-1}$  where R $_{2-1}$  is R $_{1\text{-aryl}}$  or R $_{1\text{-heteroaryl}}$  where R $_{1\text{-aryl}}$  and  
10 R $_{1\text{-heteroaryl}}$  are as defined above;

(IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where  
15 R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,

(V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, or

20 (VI) -(CH $_2$ ) $_{0-4}$ -  $C_3$ - $C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl;

where  $R_3$  is:

(I)-H,

25 (II)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

(III) -(CH $_2$ ) $_{0-4}$ -R $_{2-1}$  where R $_{2-1}$  is R $_{1\text{-aryl}}$  or R $_{1\text{-heteroaryl}}$  where R $_{1\text{-aryl}}$  and  
30 R $_{1\text{-heteroaryl}}$  are as defined above;

(IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds,

(V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, or

- (VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl, and where  $R_2$  and  $R_3$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-,  $-SO_2-$ , and  $-NR_{N-2}-$ , where  $R_{N-2}$  is as defined below;

where  $X_1$  is -Cl, -Br, -I, -O-tosylate, -O-mesylate, or -O-nosylate;

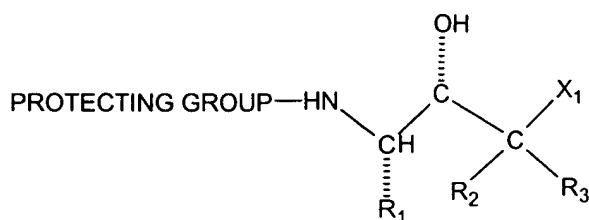
- where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate,  $-CH-CH=CH_2$  and phenyl-C(=N)-H.

27. A protected compound of formula (III) according to claim 26 where  $R_1$  is:

$-CH_2-(R_{1-aryl})$ , or

$-CH_2-(R_{1-heteroaryl})$ .

28. A protected compound of formula (III) according to claim 27 where R<sub>1-aryl</sub> is phenyl.
29. A protected compound of formula (III) according to claim 28 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.
30. A protected compound of formula (III) according to claim 29 where phenyl is substituted with one or two -F.
31. A protected compound of formula (III) according to claim 30 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.
32. A protected compound of formula (III) according to claim 26 where R<sub>2</sub> and R<sub>3</sub> are both -H.
33. A protected compound of formula (III) according to claim 26 where PROTECTING GROUP is *t*-butoxycarbonyl.
34. A protected compound of formula (III) according to claim 26 where PROTECTING GROUP is benzyloxycarbonyl.
35. A protected compound of formula (III) according to claim 26 where X<sub>1</sub> is -Cl or -Br.
36. A protected compound of formula (III) according to claim 26 which is selected from the group consisting of:  
tert-butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate,  
tert-butyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate,  
benzyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate and  
benzyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate.
37. An alcohol of the formula (IV)



(IV)

where  $R_1$  is:

- (I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC(=O)NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:
- (A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy,
- (B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of

-F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double

bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and

one triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined

above,

(H) -OH,

(I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,

-CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where

R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,

indolizinyl,

indazolyl,

benzothiazolyl,

benzimidazolyl,

benzofuranyl,

furanyl,

thienyl,

pyrrolyl,

oxadiazolyl,

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thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisoiazinyl,

"06230" 6E3030

5

benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide

10

tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl

15

isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,

20

pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,

25

isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,

30

isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,



benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide,  
 benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(3)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(4) -F, Cl, -Br or -I,

(6)  $-C_1-C_6$  alkoxy optionally substituted with one, two, or three of: -F,

(7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,

(8) -OH,

(9)  $-C\equiv N$ ,

(10)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero

5 R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and

R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

10 thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

15 pyrrolinyl,

tetrahydropyranyl,

piperidinyl,

tetrahydrofuranyl,

tetrahydrothienyl,

20 homopiperidinyl,

homomorpholinyl,

homothiomorpholinyl,

homothiomorpholinyl S,S-dioxide, and

oxazolidinonyl,

25 dihydropyrazolyl

dihydropyrrolyl

dihydropyrazinyl

dihydropyridinyl

dihydropyrimidinyl

30 dihydrofuryl

dihydropyranyl

tetrahydrothienyl S-oxide

tetrahydrothienyl S,S-dioxide

homothiomorpholinyl S-oxide

where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_{1\text{-heterocycle}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

- 5 (1)  $C_1\text{-}C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- 10 (2)  $C_2\text{-}C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,
- 15 (3)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,
- (4) -F, Cl, -Br or -I,
- (5)  $C_1\text{-}C_6$  alkoxy,
- (6)  $-C_1\text{-}C_6$  alkoxy optionally substituted with one, two, 20 or three of -F,
- (7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,
- (8) -OH,
- (9)  $-C\equiv N$ ,
- 25 (10)  $C_3\text{-}C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,
- (11)  $-CO\text{-}(C_1\text{-}C_4\text{ alkyl})$ ,
- (12)  $-SO_2\text{-}NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined 30 above,
- (13)  $-CO\text{-}NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- (14)  $-SO_2\text{-}(C_1\text{-}C_4\text{ alkyl})$ , or

(15) =O, with the proviso that when  $n_1$  is zero

$R_{1\text{-heterocycle}}$  is not bonded to the carbon chain by nitrogen;

where  $R_2$  is:

(I)-H,

5 (II)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1\text{-}C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III) -(CH $_2$ ) $_{0-4}$ -R $_{2-1}$  where R $_{2-1}$  is  $R_{1\text{-aryl}}$  or  $R_{1\text{-heteroaryl}}$  where  $R_{1\text{-aryl}}$  and  
10  $R_{1\text{-heteroaryl}}$  are as defined above;

(IV)  $C_2\text{-}C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1\text{-}C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl, -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1\text{-}C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where  
15  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,

(V)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1\text{-}C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl, or

20 (VI) -(CH $_2$ ) $_{0-4}$ -  $C_3\text{-}C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1\text{-}C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl;

where  $R_3$  is:

(I)-H,

25 (II)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1\text{-}C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III) -(CH $_2$ ) $_{0-4}$ -R $_{2-1}$  where R $_{2-1}$  is  $R_{1\text{-aryl}}$  or  $R_{1\text{-heteroaryl}}$  where  $R_{1\text{-aryl}}$  and  
30  $R_{1\text{-heteroaryl}}$  are as defined above;

(IV)  $C_2\text{-}C_6$  alkenyl with one or two double bonds,

(V)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, or

- (VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl, and where  $R_2$  and  $R_3$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-,  $-SO_2-$ , and  $-NR_{N-2}-$ , where  $R_{N-2}$  is as defined above;

where  $X_1$  is -Cl, -Br, -I, -O-tosylate, -O-mesylate, or -O-nosylate;

- where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N-)-H.

38. An alcohol of formula (IV) according to claim 37 where  $R_1$  is:

$-CH_2-(R_{1-aryl})$ , or

$-CH_2-(R_{1-heteroaryl})$ .

39. An alcohol of formula (IV) according to claim 38 where  $R_{1-aryl}$  is phenyl.

40. An alcohol of formula (IV) according to claim 39 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

5 41. An alcohol of formula (IV) according to claim 40 where phenyl is substituted with one or two -F.

42. An alcohol of formula (IV) according to claim 41 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

10 43. An alcohol of formula (IV) according to claim 37 where R<sub>2</sub> and R<sub>3</sub> are both -H.

44. An alcohol of formula (IV) according to claim 37 where PROTECTING GROUP is *t*-butoxycarbonyl.

15 45. An alcohol of formula (IV) according to claim 37 where PROTECTING GROUP is benzyloxycarbonyl.

46. An alcohol of formula (IV) according to claim 37 where X<sub>1</sub> is -Cl or -Br.

20 47. An alcohol of formula (IV) according to claim 37 which is selected from the group consisting of:

tert-butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

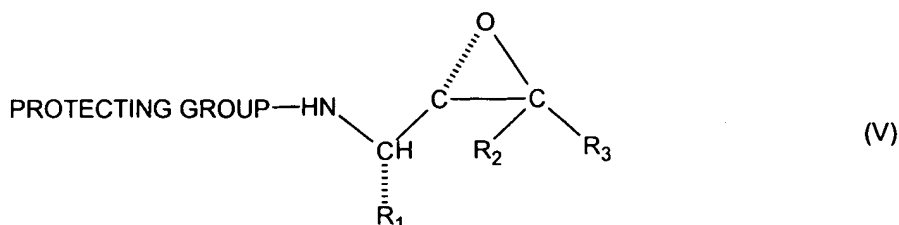
25 tert-butyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

benzyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate  
and

benzyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate.

30

48. An epoxide of the formula (V)



where  $R_2$  is:

(I)-H,

(II)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

(III) -(CH $_2$ ) $_{0-4}$ -R $_{2-1}$  where R $_{2-1}$  is R $_{1-aryl}$  or R $_{1-heteroaryl}$  where R $_{1-aryl}$  and R $_{1-heteroaryl}$  are as defined above;

(IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,

(V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, or

(VI) -(CH $_2$ ) $_{0-4}$ -  $C_3$ - $C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl;

where  $R_3$  is:

(I)-H,

(II)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

(III) -(CH $_2$ ) $_{0-4}$ -R $_{2-1}$  where R $_{2-1}$  is R $_{1-aryl}$  or R $_{1-heteroaryl}$  where R $_{1-aryl}$  and R $_{1-heteroaryl}$  are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two

or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,

5 -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to

form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one

carbon atom is replaced by a heteroatom selected from the group consisting of -O-,

-S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are

10 selected from the group consisting of:

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
substituent selected from the group consisting of:

(i) -OH, and

15 (ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
to three -F, -Cl, -Br, or -I,

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

20 (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double

bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and

25 one triple bond,

(j) -R<sub>1-aryl</sub>, and

(k) -R<sub>1-heteroaryl</sub>,

where PROTECTING GROUP is selected from the group consisting of *t*-

butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl,

30 dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-

phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl,

4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl,

2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-

bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-



cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-  
 yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-  
 (*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-  
 methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-  
 5 methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-  
 toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-  
 (triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-  
 (trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-  
 enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,  
 10 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl,  
 cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl,  
 isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -  
 $\text{CH-CH=CH}_2$  and phenyl-C(=N-)-H,

where  $R_1$  is:

- 15            -CH<sub>2</sub>-phenyl where -phenyl is substituted with two -F,  
               -(CH<sub>2</sub>)<sub>n1</sub>-R<sub>1</sub>-heteroaryl or  
               -(CH<sub>2</sub>)<sub>n1</sub>-R<sub>1</sub>-heterocycle.

49. An epoxide of formula (V) according to claim 48 where  $R_1$  is:

- 20            -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heteroaryl).

50. An epoxide of formula (V) according to claim 48 where  $n_1$  is 1.

51. An epoxide of formula (V) according to claim 48 where  $R_1$  is:

- 25            -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heterocycle).

52. An epoxide of formula (V) according to claim 51 where  $n_1$  is 1.

53. An epoxide of formula (V) according to claim 48 where phenyl is substituted in  
 30 the 3- and 5- positions giving 3,5-difluorophenyl.

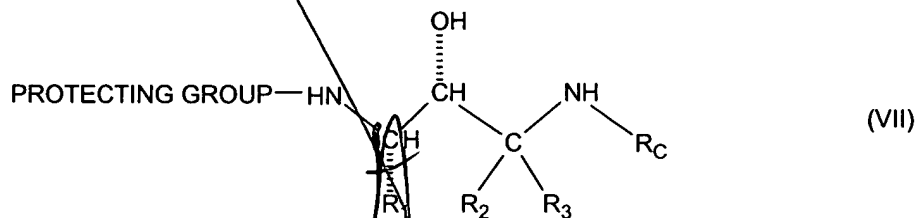
54. An epoxide of formula (V) according to claim 48 where  $R_2$  and  $R_3$  are both -H.

55. An epoxide of formula (V) according to claim 48 where PROTECTING GROUP is *t*-butoxycarbonyl.

56. An epoxide of formula (V) according to claim 48 where PROTECTING GROUP is benzyloxycarbonyl.

57. An epoxide of formula (V) according to claim 48 which is selected from the group consisting of:  
 tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate, and  
 benzyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate.

58. A protected alcohol of the formula (VII)



where  $R_2$  is:

(I)-H,

(II)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

(III) -(CH $_2$ ) $_{0-4}$ -R $_{2-1}$  where R $_{2-1}$  is R $_{1-aryl}$  or R $_{1-heteroaryl}$ ;

(IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,

(V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, or

(VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl;

where  $R_3$  is:

5

(I) -H,

(II)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

10

(III)  $-(CH_2)_{0-4}-R_{2-1}$  where  $R_{2-1}$  is  $R_{1-aryl}$  or  $R_{1-heteroaryl}$ ;

(IV)  $C_2-C_6$  alkenyl with one or two double bonds,

(V)  $C_2-C_6$  alkynyl with one or two triple bonds, or

15

(VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl, and where  $R_2$  and  $R_3$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-,  $-SO_2-$ , and  $-NR_{N-2}-$ , where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

20

(a) -H,

(b)  $C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

25

(i) -OH, and

(ii)  $-NH_2$ ,

(c)  $C_1-C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

30

(d)  $C_3-C_7$  cycloalkyl,

(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,

(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

bonds,

(g)  $C_2-C_6$  alkenyl with one or two double

(h)  $C_2-C_6$  alkynyl with one or two triple bonds,

(i)  $-C_1-C_6$  alkyl chain with one double bond and one triple bond,

(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined

5 above;

where  $R_C$  is:

(I)  $-C_1-C_{10}$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-OC(=O)NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-S(=O)_{0-2}R_{1-a}$  where  $R_{1-a}$  is as defined above,  $-NR_{1-a}C(=O)NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-C(=O)NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, and  $-S(=O)_2NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(II)  $-(CH_2)_{0-3}-(C_3-C_8)$  cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-COOH$ ,  $-CO-O-(C_1-C_4)$  alkyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are

$-H$ ,

$C_1-C_4$  alkyl optionally substituted with one or two  $-OH$ ,

$C_1-C_4$  alkoxy optionally substituted with one, two, or three of:

$-F$ ,

$-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

$C_2-C_6$  alkenyl containing one or two double bonds,

$C_2-C_6$  alkynyl containing one or two triple bonds,

phenyl,

and where  $R_{C-x}$  and  $R_{C-y}$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-SO_2-$ , and  $-NR_{N-2}$  and  $R_{C-aryl}$  is the same as  $R_{N-aryl}$ ;

(IV)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$  and  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(V)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-aryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined

above,

(VI)  $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-aryl}}-\text{R}_{\text{C-heteroaryl}}$  where  $\text{R}_{\text{C-x}}$  and  $\text{R}_{\text{C-y}}$  are as defined above,

(VII)  $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heteroaryl}}-\text{R}_{\text{C-aryl}}$  where  $\text{R}_{\text{C-x}}$  and  $\text{R}_{\text{C-y}}$  are as defined above,

5 (VIII)  $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heteroaryl}}-\text{R}_{\text{C-heteroaryl}}$  where  $\text{R}_{\text{C-x}}$  and  $\text{R}_{\text{C-y}}$  are as defined above,

(IX)  $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-aryl}}-\text{R}_{\text{C-heterocycle}}$  where  $\text{R}_{\text{C-x}}$  and  $\text{R}_{\text{C-y}}$  are as defined above,

10 (X)  $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heteroaryl}}-\text{R}_{\text{C-heterocycle}}$  where  $\text{R}_{\text{C-x}}$  and  $\text{R}_{\text{C-y}}$  are as defined above,

(XI)  $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}-\text{R}_{\text{C-aryl}}$  where  $\text{R}_{\text{C-x}}$  and  $\text{R}_{\text{C-y}}$  are as defined above,

(XII)  $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}-\text{R}_{\text{C-heteroaryl}}$  where  $\text{R}_{\text{C-x}}$  and  $\text{R}_{\text{C-y}}$  are as defined above,

15 (XIII)  $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}-\text{R}_{\text{C-heterocycle}}$  where  $\text{R}_{\text{C-x}}$  and  $\text{R}_{\text{C-y}}$  are as defined above,

(XIV)  $-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heterocycle}}$  where  $\text{R}_{\text{C-x}}$  and  $\text{R}_{\text{C-y}}$  are as defined above,

20 (XV)  $-[\text{C}(\text{R}_{\text{C-1}})(\text{R}_{\text{C-2}})]_{1-3}-\text{CO}-\text{N}-(\text{R}_{\text{C-3}})_2$  where  $\text{R}_{\text{C-1}}$  and  $\text{R}_{\text{C-2}}$  are the same or different and are selected from the group consisting of:

(A) -H,

(B)  $\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O- phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

25 (C)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O- phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

30 (D)  $\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O- phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(E)  $-(\text{CH}_2)_{1-2}-\text{S}(\text{O})_{0-2}-(\text{C}_1\text{-C}_6 \text{ alkyl})$ ,

(F)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5 (G)  $-(C_1-C_4 \text{ alkyl})-R_{C'-aryl}$ ,

(H)  $-(C_1-C_4 \text{ alkyl})-R_{C-heteroaryl}$ ,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{C-heterocycle}$ ,

(J)  $-R_{C-heteroaryl}$ ,

(K)  $-R_{C-heterocycle}$ ,

10 (M)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'-aryl}$  where  $R_{C-4}$  is -O-, -S- or  $-NR_{C-5}-$  where  $R_{C-5}$  is  $C_1-C_6$  alkyl,

(N)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C-heteroaryl}$  where  $R_{C-4}$  is as defined above, and

(O)  $-R_{C'-aryl}$ ,

15 and where  $R_{C-3}$  is the same or different and is:

(A) -H,

(B)  $-C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

20

(C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

25 (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

30

(F)  $-R_{C'-aryl}$ ,

(G)  $-R_{C\text{-heteroaryl}}$ ,

(H)  $-R_{C\text{-heterocycle}}$ ,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{C'\text{-aryl}}$ ,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heteroaryl}}$ , or

(K)  $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heterocycle}}$ ,

(XVI)  $-\text{CH}(R_{C\text{-aryl}})_2$  where  $R_{C\text{-aryl}}$  are the same or different,

(XVII)  $-\text{CH}(R_{C\text{-heteroaryl}})_2$  where  $R_{C\text{-heteroaryl}}$  are the same or different,

(XVIII)  $-\text{CH}(R_{C\text{-aryl}})(R_{C\text{-heteroaryl}})$ ,

(XIX)  $-\text{cyclopentyl}$ ,  $-\text{cyclohexyl}$ , or  $-\text{cycloheptyl}$  ring fused to  $R_{C\text{-aryl}}$  or

$R_{C\text{-heteroaryl}}$  or  $R_{C\text{-heterocycle}}$  where one carbon of cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  is optionally replaced with  $\text{NH}$ ,  $\text{NR}_{\text{N-5}}$ ,  $\text{O}$ , or  $\text{S}(=\text{O})_{0-2}$ , and where cyclopentyl, cyclohexyl, or

$-\text{cycloheptyl}$  can be optionally substituted with one or two  $-C_1-C_3$  alkyl,  $-\text{F}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $C_1-C_6$  alkoxy,  $=\text{O}$ , or  $-\text{NR}_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $C_1-C_6$  alkoxy,  $-\text{O}-\text{phenyl}$ , and  $-\text{NR}_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $C_1-C_6$  alkoxy,  $-\text{O}-\text{phenyl}$ , and  $-\text{NR}_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{C-6}-(\text{CH}_2)_{0-1}-R_{C\text{-aryl}}$  and  $R_{C-6}$  is  $-(\text{CH}_2)_{0-6}-\text{OH}$ ,

(XXII)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{C-6}-(\text{CH}_2)_{0-1}-R_{C\text{-heteroaryl}}$  where  $R_{C-6}$  is as defined

above,

(XXIII)  $-\text{CH}(-R_{C\text{-aryl}} \text{ or } R_{C\text{-heteroaryl}})-\text{CO}-\text{O}(C_1-C_4 \text{ alkyl})$ ,

(XXIV)  $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{phenyl}-\text{NO}_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-\text{O}-(C_1-C_6 \text{ alkyl})-\text{OH}$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$ ,

(XXVIII)  $-\text{H}$ , or

(XXIX)  $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above;

or a pharmaceutically acceptable salt thereof.

- where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyldyl)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N-)-H.

where R<sub>1</sub> is:

- CH<sub>2</sub>-phenyl where -phenyl is substituted with two -F,
- (CH<sub>2</sub>)<sub>n1</sub>-R<sub>1</sub>-heteroaryl and
- (CH<sub>2</sub>)<sub>n1</sub>-R<sub>1</sub>-heterocycle, chemically acceptable salts thereof.

59. A protected alcohol of formula (VII) according to claim 58 where R<sub>1</sub> is:  
-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heteroaryl).
60. A protected alcohol of formula (VII) according to claim 59 where n<sub>1</sub> is 1.
61. A protected alcohol of formula (VII) according to claim 58 where R<sub>1</sub> is:  
-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heterocycle).
62. A protected alcohol of formula (VII) according to claim 61 where n<sub>1</sub> is 1.



63. A protected alcohol of formula (VII) according to claim 58 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

5 64. A protected alcohol of formula (VII) according to claim 58 where  $R_2$  and  $R_3$  are both -H.

65. A protected alcohol of formula (VII) according to claim 58 where PROTECTING GROUP is *t*-butoxycarbonyl.

10 66. A protected alcohol of formula (VII) according to claim 58 where PROTECTING GROUP is benzyloxycarbonyl.

67. A protected alcohol of formula (VII) according to claim 58 where  $R_C$  is:

15        -H,  
           - $C_1$ - $C_8$  alkyl,  
           - $(CH_2)_{0-3}$ -( $C_3$ - $C_7$ ) cycloalkyl,  
           - $(CR_{C-x}R_{C-y})_{0-4}$ - $R_{C-aryl}$ ,  
           - $(CR_{C-x}R_{C-y})_{0-4}$ - $R_{C-heteroaryl}$ ,  
 20        - $(CR_{C-x}R_{C-y})_{0-4}$ - $R_{C-heterocycle}$ , or  
           -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $R_{C-aryl}$  or  $R_{C-heteroaryl}$  or  $R_{C-heterocycle}$  where  $R_{C-aryl}$  or  $R_{C-heteroaryl}$  or  $R_{C-heterocycle}$  are as defined in claim 1.

68. A protected alcohol of formula (VII) according to claim 67 where  $R_C$  is:

25        - $C_1$ - $C_8$  alkyl,  
           - $(CH_2)_{0-3}$ -( $C_3$ - $C_7$ ) cycloalkyl,  
           - $(CR_{C-x}R_{C-y})_{0-4}$ - $R_{C-aryl}$ ,  
           - $(CR_{C-x}R_{C-y})_{0-4}$ - $R_{C-heteroaryl}$ ,  
           - $(CR_{C-x}R_{C-y})_{0-4}$ - $R_{C-heterocycle}$ , or  
 30        - cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $R_{C-aryl}$  or  $R_{C-heteroaryl}$  or  $R_{C-heterocycle}$ .

69. A protected alcohol of formula (VII) according to claim 68 where  $R_C$  is:

- $C_1$ - $C_8$  alkyl,

$-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-aryl}}$ ,

$-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heteroaryl}}$ ,

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $\text{R}_{\text{C-aryl}}$  or  $\text{R}_{\text{C-heteroaryl}}$  or  $\text{R}_{\text{C-heterocycle}}$ .

heteroaryl or  $\text{R}_{\text{C-heterocycle}}$ .

5

70. A protected alcohol of formula (VII) according to claim 58 which is selected from the group consisting of:

tert-butyl (1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

10 tert-butyl (1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-(tert-butylamino)-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-

methylbenzyl)amino]propylcarbamate,

15 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(4-methoxyphenyl)ethyl]amino]propylcarbamate

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

20 ethyl ({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl} amino)(phenyl)acetate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[{(1S)-2-hydroxy-1-(hydroxymethyl)-2-phenylethyl]amino}propylcarbamate,

25 tert-butyl (1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

30 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(2-hydroxyethoxy)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropylcarbamate

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropylcarbamate,  
5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropylcarbamate,  
10 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(1-pyrrolidinyl)ethyl]amino]propylcarbamate,  
15 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propylcarbamate  
20 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propylcarbamate,  
25 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propylcarbamate,  
30 tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propylcarbamate,

5 tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

10 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(2-pyridinyl)ethyl]amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]propylcarbamate,

15 tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(trifluoromethoxy)benzyl]amino]propylcarbamate,

20 tert-butyl (1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[4-(trifluoromethyl)benzyl]amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

25 tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate,

30 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[4-(trifluoromethoxy)benzyl]amino]propylcarbamate,

tert-butyl (1S,2R)-3-[[4-(aminosulfonyl)benzyl]amino]-1-benzyl-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propylcarbamate,  
5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[3-(trifluoromethoxy)benzyl]amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-  
10 hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[[[1,1'-biphenyl]-3-ylmethyl]amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,  
15 tert-butyl (1S,2R)-1-benzyl-3-[(4-fluorobenzyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(2-methylbenzyl)amino]propylcarbamate,  
20 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[[(1R)-1-phenylethyl]amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[[(1S)-1-phenylethyl]amino]propylcarbamate,  
25 tert-butyl (1S,2R)-1-benzyl-3-[[3,5-bis(trifluoromethyl)benzyl]amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(trifluoromethyl)benzyl]amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[[(1S)-1-(1-naphthyl)ethyl]amino]propyl carbamate,  
30 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[[(1R)-1-(1-naphthyl)ethyl]amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propylcarbamate,

5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propylcarbamate,

10 tert-butyl (1S,2R)-1-benzyl-3-[[2-(2-fluorophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[[2-(3-fluorophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[[2-(4-fluorophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

15 tert-butyl (1S,2R)-1-benzyl-3-[[2-(4-bromophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(3-methoxyphenyl)ethyl]amino]propylcarbamate,

20 tert-butyl (1S,2R)-1-benzyl-3-[[2-(2,4-dichlorophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[[2-(3-chlorophenyl)ethyl]amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[[2-(2,6-dimethoxyphenyl)ethyl]amino]-2-hydroxypropylcarbamate,

25 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[2-(4-methylphenyl)ethyl]amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropylcarbamate,

30 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[[3-(4-morpholinyl)propyl]amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{2-(4-morpholinyl)ethyl}amino} propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-hydroxypropyl)amino]propylcarbamate,

5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propylcarbamate,

10 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{(1S)-2-hydroxy-1-phenylethyl}amino} propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{(1R)-2-hydroxy-1-phenylethyl}amino} propylcarbamate

15 tert-butyl (1S,2R)-1-benzyl-2-[(3-tert-butylbenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propylcarbamate,

20 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl}amino} propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropylcarbamate,

methyl 7-{{(2R,3S)-3-[(tert-butoxycarbonyl)amino]-4-(3,5-difluorophenyl)-2-hydroxybutyl}amino} heptanoate,

25 tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{2-(isobutylamino)-1-methyl-2-oxoethyl}amino} propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl}amino} propylcarbamate,

30 tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{2-(isobutylamino)-1,1-dimethyl-2-oxoethyl}amino} propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{2-(isobutylamino)-2-oxoethyl}amino} propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[(isobutylamino)carbonyl]propyl)amino)propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-  
[(isobutylamino)carbonyl]propyl}amino)propylcarbamate,  
tert-butyl (1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-  
hydroxypropylcarbamate,  
5 tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-  
hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-  
(isobutylamino)propylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-  
10 methyl-3-oxopropyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-  
(dimethylamino)benzyl]amino}-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-  
(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,  
15 tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-  
[(isobutylamino)carbonyl]-3-methylbutyl}amino)propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-{[2-(dimethylamino)ethyl]amino}-2-  
hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-  
20 pyridinylmethyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-  
oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[1-methyl-1-  
phenylethyl]amino}propylcarbamate,  
25 tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-  
[(isobutylamino)carbonyl]-3-methylbutyl}amino)propylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-  
[(isobutylamino)carbonyl]butyl}amino)propylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-  
30 (hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-  
phenylethyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-3-{[2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-  
difluorobenzyl)-2-hydroxypropylcarbamate,



tert-butyl (1S,2R)-1-benzyl-3-{{(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{{(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate,

5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propylcarbamate,

10 tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate,

15 tert-butyl (1S,2R)-1-benzyl-3-{{(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropylcarbamate,

dimethyl (1R,3S)-5-{{(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylate,

20 (1R,3S)-5-{{(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylic acid,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{(1R)-1-phenylpropyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

25 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

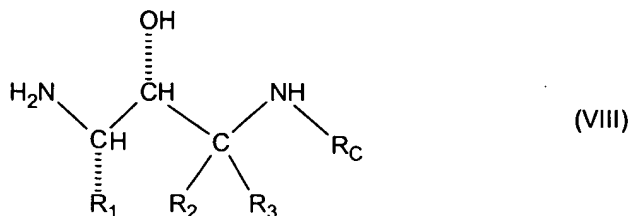
tert-butyl (1S,2R)-1-benzyl-3-[[[1,1'-biphenyl]-3-ylmethyl]amino]-2-hydroxypropylcarbamate,

30 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylpropyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propylcarbamate,  
5 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propylcarbamate,  
10 tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropylcarbamate,  
15 tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(2-furylmethyl)amino]-2-hydroxypropylcarbamate,  
20 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-(trifluoromethoxy)benzyl)amino]propylcarbamate,  
25 tert-butyl (1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(3-bromobenzyl)amino]-2-hydroxypropylcarbamate,  
30 tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propylcarbamate, and  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate.

71. An amine of the formula (VIII)



5 where  $R_2$  is:

(I)-H,

(II)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

(III) -(CH $_2$ ) $_{0-4}$ -R $_{2-1}$  where R $_{2-1}$  is R $_{1-aryl}$  or R $_{1-heteroaryl}$ ;

(IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,

(V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, or

(VI) -(CH $_2$ ) $_{0-4}$ -  $C_3$ - $C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl;

where  $R_3$  is:

25 (I)-H,

(II)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

(III)  $-(CH_2)_{0-4}-R_{2-1}$  where  $R_{2-1}$  is  $R_{1-aryl}$  or  $R_{1-heteroaryl}$  where  $R_{1-aryl}$  and  $R_{1-heteroaryl}$  are as defined above;

(IV)  $C_2-C_6$  alkenyl with one or two double bonds,

(V)  $C_2-C_6$  alkynyl with one or two triple bonds, or

5 (VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl, and where  $R_2$  and  $R_3$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one  
10 carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-,  $-SO_2-$ , and  $-NR_{N-2}-$ , where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $C_1-C_6$  alkyl optionally substituted with one  
15 substituent selected from the group consisting of:

(i) -OH, and

(ii)  $-NH_2$ ,

(c)  $C_1-C_6$  alkyl optionally substituted with one  
to three -F, -Cl, -Br, or -I,

20 (d)  $C_3-C_7$  cycloalkyl,

(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,

(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

(g)  $C_2-C_6$  alkenyl with one or two double  
bonds,

25 (h)  $C_2-C_6$  alkynyl with one or two triple bonds,

(i)  $C_1-C_6$  alkyl chain with one double bond and  
one triple bond,

(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined  
30 above;

where  $R_C$  is:

(I)  $C_1-C_{10}$  alkyl optionally substituted with one, two or three  
substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are

-H,

C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,,

C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of:

-F,

-(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

phenyl-,

and where R<sub>C-x</sub> and R<sub>C-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>C-aryl</sub> is the same as R<sub>N-aryl</sub>;

(IV) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub> is the same as R<sub>N-</sub>

heteroaryl and R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(V) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as

defined above,

(VI) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as

defined above,

(VII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as

defined above,

(VIII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as

defined above,

(IX) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-heterocycle</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as

defined above,

(X)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

5 (XII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$  where,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

10 (XIV)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$  where,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XV)  $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$  where  $R_{C-1}$  and  $R_{C-2}$  are the same or different and are selected from the group consisting of:

(A) -H,

15 (B)  $-C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

20 (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

25 (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(E)  $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6 \text{ alkyl})$ ,

30 (F)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(G)  $-(C_1-C_4 \text{ alkyl})-R_{C'-aryl}$ ,

(H)  $-(C_1-C_4 \text{ alkyl})-R_{C-heteroaryl}$ ,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{C-heterocycle}$ ,

(J) -R<sub>C</sub>-heteroaryl,

(K) -R<sub>C</sub>-heterocycle,

(M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>C-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>C'-aryl</sub> where R<sub>C-4</sub> is -O-, -S- or -NR<sub>C-5</sub> where R<sub>C-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>C'-aryl</sub> is as defined above,

5 (N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>C-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-4</sub> is as defined above, and

(O) -R<sub>C'-aryl</sub>,

and where R<sub>C-3</sub> is the same or different and is:

(A) -H,

10 (B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

15 (C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

20 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

25 (E) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(F) -R<sub>C'-aryl</sub>,

(G) -R<sub>C</sub>-heteroaryl,

(H) -R<sub>C</sub>-heterocycle,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C'-aryl</sub>,

30 (J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heteroaryl</sub>, or

(K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heterocycle</sub>,

(XVI) -CH(R<sub>C-aryl</sub>)<sub>2</sub> where R<sub>C-aryl</sub> are the same or different,

(XVII) -CH(R<sub>C-heteroaryl</sub>)<sub>2</sub> where R<sub>C-heteroaryl</sub> are the same or different,

(XVIII)  $-\text{CH}(\text{R}_{\text{C-aryl}})(\text{R}_{\text{C-heteroaryl}})$ ,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $\text{R}_{\text{C-aryl}}$  or  $\text{R}_{\text{C-heteroaryl}}$  or  $\text{R}_{\text{C-heterocycle}}$  where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH,  $\text{NR}_{\text{N-5}}$ , O, or  $\text{S}(=\text{O})_{0-2}$ , and where cyclopentyl, cyclohexyl, or

-cycloheptyl can be optionally substituted with one or two  $-\text{C}_1-\text{C}_3$  alkyl, -F, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, =O, or  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XX)  $\text{C}_2-\text{C}_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O- phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XXI)  $\text{C}_2-\text{C}_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O- phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XXI)  $-(\text{CH}_2)_0-\text{CHR}_{\text{C-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{C-aryl}}$  where  $\text{R}_{\text{C-aryl}}$  is as defined above and  $\text{R}_{\text{C-6}}$  is  $-(\text{CH}_2)_{0-6}-\text{OH}$ ,

(XXII)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{\text{C-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{C-heteroaryl}}$  where  $\text{R}_{\text{C-6}}$  is as defined above,

(XXIII)  $-\text{CH}(-\text{R}_{\text{C-aryl}} \text{ or } \text{R}_{\text{C-heteroaryl}})-\text{CO}-\text{O}(\text{C}_1-\text{C}_4 \text{ alkyl})$ ,

(XXIV)  $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{phenyl-NO}_2$ ,

(XXV)  $(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{OH}$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$ ,

(XXVIII) -H, or

(XXIX)  $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}\text{R}_{1-b})$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above; and

where  $\text{R}_1$  is:

$-\text{CH}_2$ -phenyl where -phenyl is substituted with two -F,

$-(\text{CH}_2)_{n1}-\text{R}_{1-\text{heteroaryl}}$  or

$-(\text{CH}_2)_{n1}-\text{R}_{1-\text{heterocycle}}$ , and chemically acceptable salts thereof.

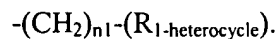
72. An amine of formula (VIII) according to claim 71 where  $\text{R}_1$  is:

$-(\text{CH}_2)_{n1}-(\text{R}_{1-\text{heteroaryl}})$ .



73. An amine of formula (VIII) according to claim 72 where  $n_1$  is 1.

74. An amine of formula (VIII) according to claim 71 where  $R_1$  is:



5

75. An amine of formula (VIII) according to claim 74 where  $n_1$  is 1.

76. An amine of formula (VIII) according to claim 71 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

10

77. An amine of formula (VIII) according to claim 71 where  $R_2$  and  $R_3$  are both -H.

78. An amine of formula (VIII) according to claim 71 where  $R_C$  is:

-H,

15

-C<sub>1</sub>-C<sub>8</sub> alkyl,

-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heterocycle</sub>, or

20

-cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-</sub>

heteroaryl or R<sub>C-heterocycle</sub>.

79. An amine of formula (VIII) according to claim 78 where  $R_C$  is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,

25

-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heterocycle</sub>, or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-</sub>

30

heteroaryl or R<sub>C-heterocycle</sub>.

80. An amine of formula (VIII) according to claim 79 where  $R_C$  is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>,

$-(\text{R}_{\text{C}-x}\text{R}_{\text{C}-y})_{0-4}\text{R}_{\text{C-heteroaryl}}$ , or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $\text{R}_{\text{C-aryl}}$  or  $\text{R}_{\text{C-}}$

heteroaryl or  $\text{R}_{\text{C-heterocycle}}$ .

- 5 81. An amine of formula (VIII) according to claim 71 which is selected from the group consisting of:

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-1-(ethylamino)-4-phenyl-2-butanol,

10 (2R,3S)-3-amino-1-(benzylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(isopropylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[[2-(4-methoxyphenyl)ethyl]amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol,

15 ethyl {[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

(2R,3S)-3-amino-4-phenyl-1-[(2-phenylethyl)amino]-2-butanol,

(2S)-2-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino-1-(4-nitrophenyl)-1,3-propanediol,

(2R,3S)-3-amino-1-[(2-chlorobenzyl)amino]-4-phenyl-2-butanol,

20 (2R,3S)-3-amino-1-[(4-chlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[[2-(2-hydroxyethoxy)ethyl]amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(2,3-dihydro-1H-inden-1-ylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2-hydroxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(tetrahydro-2-furanylmethyl)amino]-2-butanol,

25 (2R,3S)-3-amino-1-[(2,2-diethoxyethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(butylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(cyclohexylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(2-pyridinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(2-aminobenzyl)amino]-4-phenyl-2-butanol,

30 (2R,3S)-3-amino-4-phenyl-1-[(3-pyridinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[[2-(1-pyrrolidinyl)ethyl]amino]-2-butanol,

(2R,3S)-3-amino-1-[(2-hydroxy-2-phenylethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-butoxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-isopropoxypropyl)amino]-4-phenyl-2-butanol,

- (2R,3S)-3-amino-1-(isopentylamino)-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-[(3-phenylpropyl)amino]-2-butanol,  
 (2R,3S)-3-amino-1-[(2-methoxyethyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-[(2-phenoxyethyl)amino]-4-phenyl-2-butanol,  
 5 (2R,3S)-3-amino-4-phenyl-1-[(2-propoxyethyl)amino]-2-butanol,  
 (2R,3S)-3-amino-1-[(3,3-dimethylbutyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-[(4-phenylbutyl)amino]-2-butanol,  
 (2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-[(4-nitrobenzyl)amino]-4-phenyl-2-butanol,  
 10 (2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-[[2-(4-chlorophenyl)ethyl]amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-[[2-(2-pyridinyl)ethyl]amino]-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-[(4-pyridinylmethyl)amino]-2-butanol,  
 (2R,3S)-3-amino-1-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]-4-phenyl-2-  
 15 butanol,  
 (2R,3S)-3-amino-1-[(2,3-dimethylbenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-[[2-(trifluoromethoxy)benzyl]amino]-2-butanol,  
 (2R,3S)-3-amino-1-[(2-chloro-6-phenoxybenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-[[4-(trifluoromethyl)benzyl]amino]-2-butanol,  
 20 (2R,3S)-3-amino-1-[(2,3-dichlorobenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-[(3,5-dichlorobenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-[[4-(trifluoromethoxy)benzyl]amino]-2-butanol,  
 4-([[(2R,3S)-3-amino-2-hydroxy-4-  
 25 phenylbutyl]amino}methyl)benzenesulfonamide,  
 (2R,3S)-3-amino-1-[(4-methoxybenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-[(3,4,5-trimethoxybenzyl)amino]-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-[[3-(trifluoromethoxy)benzyl]amino]-2-butanol,  
 30 (2R,3S)-3-amino-1-[(3,5-dimethoxybenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-[(2,4-dimethoxybenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-[[[1,1'-biphenyl]-3-ylmethyl]amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-[(3,4-dichlorobenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-[(2-fluorobenzyl)amino]-4-phenyl-2-butanol,

- (2R,3S)-3-amino-4-phenyl-1-{{3-(trifluoromethyl)benzyl}amino}-2-butanol,  
 (2R,3S)-3-amino-1-{{(2-methylbenzyl)amino}-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-{{(1R)-1-phenylethyl}amino}-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-{{(1S)-1-phenylethyl}amino}-2-butanol,  
 5 (2R,3S)-3-amino-1-{{3,5-bis(trifluoromethyl)benzyl}amino}-4-phenyl-2-  
 butanol,  
 (2R,3S)-3-amino-4-phenyl-1-{{2-(trifluoromethyl)benzyl}amino}-2-butanol,  
 (2R,3S)-3-amino-1-{{(1S)-1-(1-naphthyl)ethyl}amino}-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-{{(1R)-1-(1-naphthyl)ethyl}amino}-4-phenyl-2-butanol,  
 10 4-{{(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl}amino}methyl)-2-  
 methoxyphenol,  
 4-{{(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl}amino}methyl)-1,2-  
 benzenediol,  
 (2R,3S)-3-amino-1-{{(3-methoxypropyl)amino}-4-phenyl-2-butanol,  
 15 (2R,3S)-3-amino-1-{{(1R)-2-hydroxy-1-methylethyl}amino}-4-phenyl-2-  
 butanol,  
 (2R,3S)-3-amino-1-{{(1S)-2-hydroxy-1-methylethyl}amino}-4-phenyl-2-  
 butanol,  
 (2R,3S)-3-amino-4-phenyl-1-(2-propynylamino)-2-butanol,  
 20 (2R,3S)-3-amino-1-{{2-(2-fluorophenyl)ethyl}amino}-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-{{2-(3-fluorophenyl)ethyl}amino}-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-{{2-(4-fluorophenyl)ethyl}amino}-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-{{2-(4-bromophenyl)ethyl}amino}-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-{{2-(3-methoxyphenyl)ethyl}amino}-4-phenyl-2-butanol,  
 25 (2R,3S)-3-amino-1-{{2-(2,4-dichlorophenyl)ethyl}amino}-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-{{2-(3-chlorophenyl)ethyl}amino}-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-{{2-(2,5-dimethoxyphenyl)ethyl}amino}-4-phenyl-2-  
 butanol,  
 (2R,3S)-3-amino-1-{{2-(4-methylphenyl)ethyl}amino}-4-phenyl-2-butanol,  
 30 (2R,3S)-3-amino-1-{{(1R)-1-benzyl-2-hydroxyethyl}amino}-4-phenyl-2-  
 butanol,  
 (2R,3S)-3-amino-1-{{3-(4-morpholinyl)propyl}amino}-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-(isobutylamino)-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-{{2-(4-morpholinyl)ethyl}amino}-4-phenyl-2-butanol,

- (2R,3S)-3-amino-4-phenyl-1-[(2-hydroxybutyl)amino]-2-butanol,  
 (2R,3S)-3-amino-4-phenyl-1-[[2-(2-thienyl)ethyl]amino]-2-butanol,  
 4-[[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino]-1-butanol,  
 (2R,3S)-3-amino-1-[[[(1S)-2-hydroxy-1-phenylethyl]amino]-4-phenyl-2-  
 5 butanol,  
 (2R,3S)-3-amino-1-[(2,4-dichlorobenzyl)amino]-4-phenyl-2-butanol,  
 (2R,3S)-3-amino-1-[[[(1R)-2-hydroxy-1-phenylethyl]amino]-4-phenyl-2-  
 butanol,  
 (2R,3S)-3-amino-1-[(4-tert-butylbenzyl)amino]-4-phenyl-2-butanol,  
 10 (2R,3S)-3-amino-4-phenyl-1-[(1-phenylethyl)amino]-2-butanol,  
 (1R,2S)-1-[[[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino]-2,3-dihydro-  
 1H-inden-2-ol,  
 (2R,3S)-3-amino-1-[(3,4-dimethylbenzyl)amino]-4-phenyl-2-butanol,  
 methyl 7-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-  
 15 hydroxybutyl]amino]heptanoate,  
 2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-  
 isobutylpropanamide,  
 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-  
 isobutylpropanamide,  
 20 2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-  
 isobutyl-2-methylpropanamide,  
 2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-  
 isobutylacetamide,  
 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-  
 25 isobutylbutanamide,  
 (2R)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-  
 isobutylbutanamide,  
 (2R,3S)-3-amino-1-(benzylamino)-4-(3,5-difluorophenyl)-2-butanol,  
 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(ethylamino)-2-butanol,  
 30 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isobutylamino)-2-butanol,  
 3-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-N-  
 isobutyl-2-methylpropanamide,  
 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[[4-  
 (dimethylamino)benzyl]amino]-2-butanol ,

(2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-phenylpropanamide,

(2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide,

5 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[[2-(dimethylamino)ethyl]amino}-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-pyridinylmethyl)amino]-2-butanol,

10 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-(benzyloxy)-N-isobutylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1-methyl-1-phenylethyl)amino]-2-butanol,

(2R)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide,

15 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpentanamide,

(2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-hydroxy-N-isobutylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylethyl)amino]-2-butanol,

20 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-benzylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[[[(1S)-1-phenylpropyl]amino]-2-butanol,

25 (2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-ethylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

(2S)-2-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-phenylethanamide,

30 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isopentylamino)-2-butanol,

(2R,3S)-3-amino-1-(cyclohexylamino)-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-1-(butylamino)-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxypropyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-hydroxy-2-phenylethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[[{(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-butanol,

5 dimethyl (1R,3S)-5-[[{(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-[[{(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

10 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[[{(1R)-1-phenylpropyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

15 (2R,3S)-3-amino-1-[[{1,1'-biphenyl]-3-ylmethyl]amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methylbenzyl)amino]-2-butanol,

20 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylpropyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1,3-thiazol-5-ylmethyl)amino]-2-butanol,

25 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-thienylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-pyrazinylmethyl)amino]-2-butanol,

30 (2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-1-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3,5-dimethoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{{3-(trifluoromethyl)benzyl}amino}-2-butanol,

5 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-furylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{{3-(trifluoromethoxy)benzyl}amino}-2-butanol ,

10 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-fluorobenzyl)amino]-2-butanol,

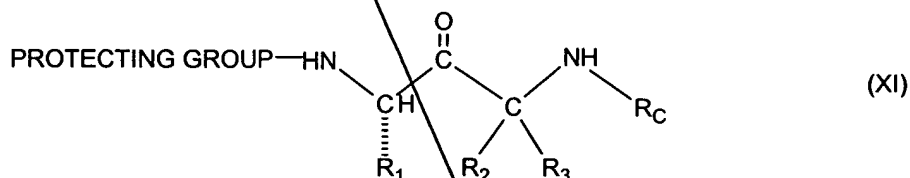
(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-isopropoxybenzyl)amino]-2-butanol.

(2R,3S)-3-amino-1-[(3-bromobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol.

15 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methyl-2-furylmethyl)amino]-  
2-butanol, and

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol.

20 82. A protected ketone of formula (XI)



where  $R_2$  is:

(I)-H,

25 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III)  $-(\text{CH}_2)_{0-4}-\text{R}_{2-1}$  where  $\text{R}_{2-1}$  is  $\text{R}_{1-1}$ -aryl or  $\text{R}_{1-1}$ -heteroaryl;



(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

to three -F, -Cl, -Br, or -I,

(ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double

bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and

one triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined

above;

where R<sub>C</sub> is:

(I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC(=O)NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub>R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C(=O)NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C(=O)NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub>NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are

-H,

C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of:

-F,

-(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

phenyl-,

and where  $R_{C-x}$  and  $R_{C-y}$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-;

(IV)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(V)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-aryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(VI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(VII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(VIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(IX)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(X)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XIV)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XV)  $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N(R_{C-3})_2$  where  $R_{C-1}$  and  $R_{C-2}$  are the same or different and are selected from the group consisting of:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
 10 (F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C'-aryl</sub> where R<sub>C'-aryl</sub>,

15 (H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heteroaryl</sub>,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heterocycle</sub>,

(J) -R<sub>C-heteroaryl</sub>,

(K) -R<sub>C-heterocycle</sub>,

(M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>C-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>C'-aryl</sub> where R<sub>C-4</sub> is -O-, -S- or  
 20 -NR<sub>C-5</sub>- where R<sub>C-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl,

(N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>C-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-4</sub> is as defined above, and

(O) -R<sub>C'-aryl</sub>,

and where R<sub>C-3</sub> is the same or different and is:

25 (A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(F) -R<sub>C-aryl</sub>,

(G) -R<sub>C-heteroaryl</sub>,

(H) -R<sub>C-heterocycle</sub>,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-aryl</sub>,

(J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heteroaryl</sub>, or

(K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heterocycle</sub>,

(XVI) -CH(R<sub>C-aryl</sub>)<sub>2</sub> where R<sub>C-aryl</sub> are the same or different,

(XVII) -CH(R<sub>C-heteroaryl</sub>)<sub>2</sub> where R<sub>C-heteroaryl</sub> are the same or different,

(XVIII) -CH(R<sub>C-aryl</sub>)(R<sub>C-heteroaryl</sub>),

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub> where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or

-cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XX) C<sub>2</sub>-C<sub>10</sub> alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XXI) C<sub>2</sub>-C<sub>10</sub> alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XXI) -(CH<sub>2</sub>)<sub>0-1</sub>-CHR<sub>C-6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-R<sub>C-aryl</sub> R<sub>C-6</sub> is -(CH<sub>2</sub>)<sub>0-6</sub>-OH,

(XXII)  $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}-R_{C-heteroaryl}$  and  $R_{C-6}$  is as defined above,

(XXIII)  $-CH(-R_{C-aryl} \text{ or } R_{C-heteroaryl})-CO-O(C_1-C_4 \text{ alkyl})$ ,

(XXIV)  $-CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2$ ,

5 (XXV)  $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$ ,

(XXVII)  $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$ ,

(XXVIII)  $-H$ , or

(XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, and

10 where  $R_1$  is:

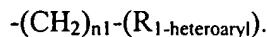
$-CH_2-phenyl$  where  $-phenyl$  is substituted with two  $-F$ ,

$-(CH_2)_{n1}-R_{1-heteroaryl}$ , or

$-(CH_2)_{n1}-R_{1-heterocycle}$ ,

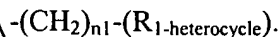
where PROTECTING GROUP is selected from the group consisting of *t*-  
 15 butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-  
 20 bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-  
 25 methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,  
 30 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate,  $-CH-CH=CH_2$  and  $phenyl-C(=N-)-H$ .

83. A protected ketone of formula (XI) according to claim 82 where  $R_1$  is:



84. A protected ketone of formula (XI) according to claim 83 where  $n_1$  is 1.

85. A protected ketone of formula (XI) according to claim 82 where  $R_1$  is:



86. A protected ketone of formula (XI) according to claim 85 where  $n_1$  is 1.

87. A protected ketone of formula (XI) according to claim 82 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

88. A protected ketone of formula (XI) according to claim 82 where  $R_2$  and  $R_3$  are both -H.

89. A protected ketone of formula (XI) according to claim 82 where PROTECTING GROUP is *t*-butoxycarbonyl.

90. A protected ketone of formula (XI) according to claim 82 where PROTECTING GROUP is benzyloxycarbonyl.

91. A protected ketone of formula (XI) according to claim 82 where  $R_C$  is:

-H,

- $C_1$ - $C_8$  alkyl,

-( $CH_2$ )<sub>0-3</sub>-( $C_3$ - $C_7$ ) cycloalkyl,

-( $CR_{C-x}R_{C-y}$ )<sub>0-4</sub>- $R_{C\text{-aryl}}$ ,

-( $CR_{C-x}R_{C-y}$ )<sub>0-4</sub>- $R_{C\text{-heteroaryl}}$ ,

-( $CR_{C-x}R_{C-y}$ )<sub>0-4</sub>- $R_{C\text{-heterocycle}}$ , or

-cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $R_{C\text{-aryl}}$  or  $R_{C\text{-heteroaryl}}$  or  $R_{C\text{-heterocycle}}$  where  $R_{C\text{-aryl}}$  or  $R_{C\text{-heteroaryl}}$  or  $R_{C\text{-heterocycle}}$  are as defined above.

92. A protected ketone of formula (XI) according to claim 91 where  $R_C$  is:

- $C_1$ - $C_8$  alkyl,

-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heterocycle</sub>, or

5 - cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub>.

93. A protected ketone of formula (XI) according to claim 92 where R<sub>C</sub> is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,

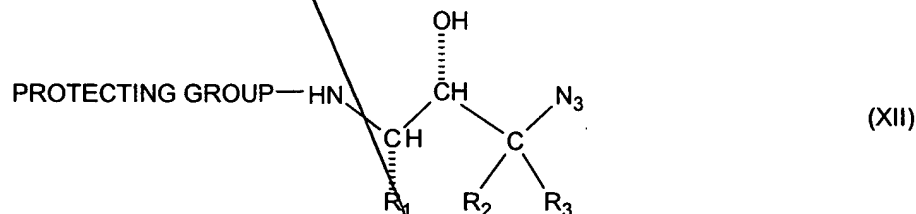
10 -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>,

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub>.

15 94. A protected ketone of formula (XI) according to claim 82 which is *tert*-butyl (1*S*)-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)amino]-2-oxopropylcarbamate.

95. A protected azide of formula (XII)



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where R<sub>1</sub> is:

(I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH, 25 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

30 (III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),



(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5 (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is  
10 phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub>  
15 alkoxy,

(B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -  
20 H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -  
25 H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three  
of: -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

(I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

5 (VII) -(CH<sub>2</sub>)<sub>n<sub>1</sub></sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where

R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

10 benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

15 isoindolyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

20 imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,

25 indolizinyl,

indazolyl,

benzothiazolyl,

benzimidazolyl,

benzofuranyl,

30 furanyl,

thienyl,

pyrrolyl,

oxadiazolyl,

thiadiazolyl,

T 0 6 2 9 3 0 : 6 2 7 9 6 6 0

5 triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
10 isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
15 isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
20 benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
25 phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
30 dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisoiazinyl,  
benzopyranyl,

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5  
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benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,

pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide,  
 benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(3)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(4) -F, Cl, -Br or -I,

(6)  $-C_1-C_6$  alkoxy optionally substituted with one, two, or three of: -F,

(7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii)  $-NH_2$ ,

to three -F, -Cl, -Br, or -I,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined

above,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero

R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and

R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

5 piperidinyl,  
 tetrahydrofuranyl,  
 tetrahydrothienyl,  
 homopiperidinyl,  
 homomorpholinyl,  
 homothiomorpholinyl,  
 homothiomorpholinyl S,S-dioxide, and  
 oxazolidinonyl,  
 10 dihydropyrazolyl  
 dihydropyrrolyl  
 dihydropyrazinyl  
 dihydropyridinyl  
 dihydropyrimidinyl  
 dihydrofuryl  
 15 dihydropyranyl  
 tetrahydrothienyl S-oxide  
 tetrahydrothienyl S,S-dioxide  
 homothiomorpholinyl S-oxide

20 where the  $R_{1-\text{heterocycle}}$  group is bonded by any atom of the  
 parent  $R_{1-\text{heterocycle}}$  group substituted by hydrogen such that the new bond to the  
 $R_{1-\text{heterocycle}}$  group replaces the hydrogen atom and its bond, where heterocycle is  
 optionally substituted with one, two, three, or four:

(1)  $C_1-C_6$  alkyl optionally substituted with one, two or  
 three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I,  
 25 -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as  
 defined above,

(2)  $C_2-C_6$  alkenyl with one or two double bonds,  
 optionally substituted with one, two or three substituents selected from the group  
 consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  
 30  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(3)  $C_2-C_6$  alkynyl with one or two triple bonds,  
 optionally substituted with one, two or three substituents selected from the group  
 consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  
 $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(4) -F, Cl, -Br or -I,

(5) C<sub>1</sub>-C<sub>6</sub> alkoxy,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of -F,

5 (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined above,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

15 (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or

(15) =O, with the proviso that when n<sub>1</sub> is zero

R<sub>1-heterocycle</sub> is not bonded to the carbon chain by nitrogen;

20 where R<sub>2</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined

25 above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,



(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

5 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

10 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and  
15 R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two  
20 or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is as defined above,

25 where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl,  
30 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-

- (*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-
- 5 (triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl,
- 10 isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N-)-H.

96. A protected azide of formula (XII) according to claim 95 where R<sub>1</sub> is:

- CH<sub>2</sub>-(R<sub>1-aryl</sub>), or
- 15 -CH<sub>2</sub>-(R<sub>1-heteroaryl</sub>).

97. A protected azide of formula (XII) according to claim 96 where R<sub>1-aryl</sub> is phenyl.

98. A protected azide of formula (XII) according to claim 97 where phenyl is
- 20 substituted with one, two or three -F, -Cl, -Br or -I.

99. A protected azide of formula (XII) according to claim 98 where phenyl is substituted with one or two -F.

- 25 100. A protected azide of formula (XII) according to claim 99 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

101. A protected azide of formula (XII) according to claim 95 where R<sub>2</sub> and R<sub>3</sub> are both -H.

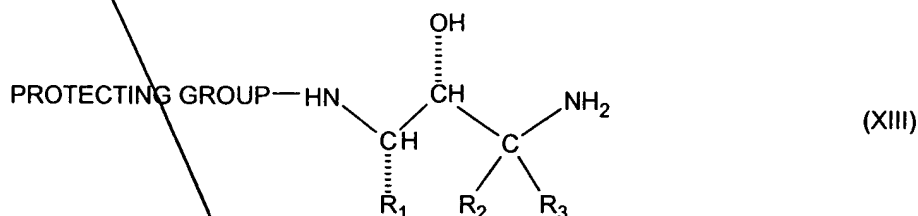
- 30 102. A protected azide of formula (XII) according to claim 95 where PROTECTING GROUP is *t*-butoxycarbonyl.

103. A protected azide of formula (XII) according to claim 95 where PROTECTING GROUP is benzyloxycarbonyl.

104. A protected azide of formula (XII) according to claim 95 which is:

- 5 tert-Butyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate, or  
benzyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate

105. A protected amine of formula (XIII)



where R<sub>2</sub> is:

(I)-H,

15 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;

20 (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

25 (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

30 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where  $R_3$  is:

(I)-H,

(II)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;

(IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds,

(V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-  $C_3$ - $C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $C_1$ - $C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

(c)  $C_1$ - $C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d)  $C_3$ - $C_7$  cycloalkyl,

(e) -( $C_1$ - $C_2$  alkyl)-( $C_3$ - $C_7$  cycloalkyl),

(f) -( $C_1$ - $C_6$  alkyl)-O-( $C_1$ - $C_3$  alkyl),

(g)  $C_2$ - $C_6$  alkenyl with one or two double

bonds,

(h)  $C_2$ - $C_6$  alkynyl with one or two triple bonds,

(i)  $C_1$ - $C_6$  alkyl chain with one double bond and

one triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k)  $-R_1$ -heteroaryl where  $R_1$ -heteroaryl is as defined

above;

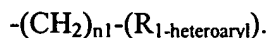
where PROTECTING GROUP is selected from the group consisting of

- t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl,  
 5 dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-  
 phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl,  
 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl,  
 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-  
 bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-  
 10 cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-  
 yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-  
 (*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-  
 methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-  
 methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-  
 15 toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-  
 (triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-  
 (trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-  
 enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,  
 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl,  
 20 cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl,  
 isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -  
 $CH-CH=CH_2$  and phenyl- $C(=N-)-H$ ; and

where  $R_1$  is:

- $CH_2$ -phenyl where -phenyl is substituted with two -F,  
 25  $-(CH_2)_{n1}-R_1$ -heteroaryl,  
 $-(CH_2)_{n1}-R_1$ -heterocycle.

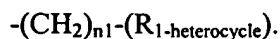
106. A protected amine of formula (XIII) according to claim 105 where  $R_1$  is:



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107. A protected amine of formula (XIII) according to claim 106 where  $n_1$  is 1.

108. A protected amine of formula (XIII) according to claim 105 where  $R_1$  is:



109. A protected amine of formula (XIII) according to claim 108 where  $n_1$  is 1.

110. A protected amine of formula (XIII) according to claim 105 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

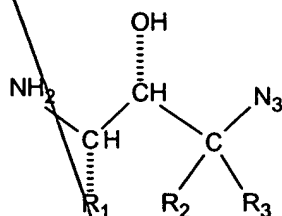
111. A protected amine of formula (XIII) according to claim 105 where  $R_2$  and  $R_3$  are both -H.

112. A protected amine of formula (XIII) according to claim 105 where PROTECTING GROUP is *t*-butoxycarbonyl.

113. A protected amine of formula (XIII) according to claim 105 where PROTECTING GROUP is benzyloxycarbonyl.

114. A protected amine of formula (XIII) according to claim 105 which is *tert*-butyl (1*S*,2*R*)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate.

115. An unprotected azide of formula (XIV)



(XIV)

where  $R_1$  is:

(I)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_7$  alkyl (optionally substituted with  $C_1$ - $C_3$  alkyl and  $C_1$ - $C_3$  alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, -NR $_{1-a}$ R $_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(II) -CH $_2$ -S(O) $_{0-2}$ -( $C_1$ - $C_6$  alkyl),

(III)  $-\text{CH}_2-\text{CH}_2-\text{S}(\text{O})_{0-2}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(IV)  $\text{C}_2-\text{C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are -H or  $\text{C}_1-\text{C}_6$  alkyl,

(V)  $\text{C}_2-\text{C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are -H or  $\text{C}_1-\text{C}_6$  alkyl,

(VI)  $-(\text{CH}_2)_{n_1}-(\text{R}_{1-\text{aryl}})$  where  $n_1$  is zero or one and where  $\text{R}_{1-\text{aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A)  $\text{C}_1-\text{C}_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy,

(B)  $\text{C}_2-\text{C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are -H or  $\text{C}_1-\text{C}_6$  alkyl,

(C)  $\text{C}_2-\text{C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are -H or  $\text{C}_1-\text{C}_6$  alkyl,

(D) -F, Cl, -Br or -I,

(F)  $-\text{C}_1-\text{C}_6$  alkoxy optionally substituted with one, two, or three of: -F,

(G)  $-\text{NR}_{N-2}\text{R}_{N-3}$  where  $\text{R}_{N-2}$  and  $\text{R}_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $-\text{C}_1-\text{C}_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

to three -F, -Cl, -Br, or -I,

(i) -OH, and

(ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double

bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and

one triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined

above,

(H) -OH,

(I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or

three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,

-CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where

R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,



T 0 6 9 3 0 " 6 E F 9 3 6 0

5  
10  
15  
20  
25  
30

isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxaliny,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indoliziny,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanly,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanly,  
chromanly,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranly,

T 0 6 3 0 " 6 6 3 0 0

5 isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranlyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
10 phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
15 imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenziso-thiazinyl,  
20 benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
25 chromanonyl, and  
pyridinyl-N-oxide  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
30 dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl

T 0 6 3 0 " 6 E F 9 6 6 0

5

10

15

20

25

30

benzoxazolinonyl

pyrrolyl N-oxide,

pyrimidinyl N-oxide,

pyridazinyl N-oxide,

pyrazinyl N-oxide,

quinolinyl N-oxide,

indolyl N-oxide,

indolinyl N-oxide,

isoquinolyl N-oxide,

quinazolinyl N-oxide,

quinoxaliny N-oxide,

phthalazinyl N-oxide,

imidazolyl N-oxide,

isoxazolyl N-oxide,

oxazolyl N-oxide,

thiazolyl N-oxide,

indoliziny N-oxide,

indazolyl N-oxide,

benzothiazolyl N-oxide,

benzimidazolyl N-oxide,

pyrrolyl N-oxide,

oxadiazolyl N-oxide,

thiadiazolyl N-oxide,

triazolyl N-oxide,

tetrazolyl N-oxide,

benzothiopyranyl S-oxide, and

benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined above,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero

R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and

R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

T 0 6 9 0 : 6 F 9 6 0

pyrrolinyl,  
 tetrahydropyranyl,  
 piperidinyl,  
 tetrahydrofuranyl,  
 5 tetrahydrothienyl,  
 homopiperidinyl,  
 homomorpholinyl,  
 homothiomorpholinyl,  
 homothiomorpholinyl S,S-dioxide,  
 10 oxazolidinonyl,  
 dihydropyrazolyl,  
 dihydropyrrolyl,  
 dihydropyrazinyl,  
 dihydropyridinyl,  
 15 dihydropyrimidinyl,  
 dihydrofuryl,  
 dihydropyranyl,  
 tetrahydrothienyl S-oxide,  
 tetrahydrothienyl S,S-dioxide, and  
 20 homothiomorpholinyl S-oxide  
 where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the  
 parent  $R_{1\text{-heterocycle}}$  group substituted by hydrogen such that the  
 new bond to the  $R_{1\text{-heterocycle}}$  group replaces the hydrogen atom  
 and its bond, where heterocycle is optionally substituted with  
 25 one, two, three, or four.

(1)  $C_1\text{-}C_6$  alkyl optionally substituted with one, two or  
 three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I,  
 -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as  
 defined above,

30 (2)  $C_2\text{-}C_6$  alkenyl with one or two double bonds,  
 optionally substituted with one, two or three substituents selected from the group  
 consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  
 $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,

(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5 (4) -F, Cl, -Br or -I,

(5) C<sub>1</sub>-C<sub>6</sub> alkoxy,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of -F,

10 (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

15 (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or

(15) =O, with the proviso that when n<sub>1</sub> is zero

R<sub>1-heterocycle</sub> is not bonded to the carbon chain by nitrogen;

where R<sub>2</sub> is:

25 (I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -

H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is as defined above;

where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-

yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-  
 (*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-  
 methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-  
 methylcyclohexanyloxycabonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-  
 5 toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-  
 (triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-  
 (trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-  
 enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,  
 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl,  
 10 cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl,  
 isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -  
 CH-CH=CH<sub>2</sub> and phenyl-C(=N-)-H.

116. An unprotected azide of formula (XIV) according to claim 115 where R<sub>1</sub> is:

15                   -CH<sub>2</sub>-(R<sub>1-aryl</sub>), or  
                       -CH<sub>2</sub>-(R<sub>1-heteroaryl</sub>).

117. An unprotected azide of formula (XIV) according to claim 116 where R<sub>1-aryl</sub> is phenyl.

118. An unprotected azide of formula (XIV) according to claim 117 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

119. An unprotected azide of formula (XIV) according to claim 118 where phenyl is substituted with one or two -F.

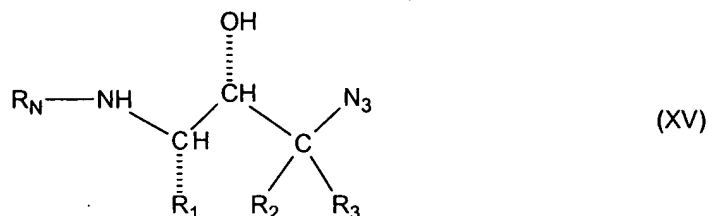
120. An unprotected azide of formula (XIV) according to claim 119 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

121. An unprotected azide of formula (XIV) according to claim 1115 where R<sub>2</sub> and R<sub>3</sub> are both -H.

122. An unprotected azide of formula (XIV) according to claim 115 which is (2R, 3S)-3-amino-1-azido-4-(3,5-difluorophenyl)-2-butanol.



128. An azide of formula (XV)



5

where  $R_1$  is:

- (I)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_7$  alkyl (optionally substituted with  $C_1$ - $C_3$  alkyl and  $C_1$ - $C_3$  alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

- (II) -CH $_2$ -S(O) $_{0-2}$ -( $C_1$ - $C_6$  alkyl),  
 (III) -CH $_2$ -CH $_2$ -S(O) $_{0-2}$ -( $C_1$ - $C_6$  alkyl),  
 (IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,

- (V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,

- (VI) -(CH $_2$ ) $_{n_1}$ -(R $_{1-aryl}$ ) where  $n_1$  is zero or one and where R $_{1-aryl}$  is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

- (A)  $C_1$ - $C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy,

(B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5 (C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(D) -F, Cl, -Br or -I,

10 (F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

(I) -C≡N,

15 (J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

20 (M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n<sub>1</sub></sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

25 pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

30 pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

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5  
10  
15  
20  
25  
30

quinazolinyI,  
quinoxalinyI,  
phthalazinyI,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyI,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyI,  
imidazopyridinyI,  
isothiazolyl,  
naphthyridinyI,  
cinnolinyI,  
carbazolyl,  
beta-carbolinyI,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyI,  
isoindolinyI,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothieryl,

T0630: C01B30

5 benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
10 pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoaxazinyl,  
15 benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
20 coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide  
25 tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
30 dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,

5 pyrimidinyl N-oxide,  
 pyridazinyl N-oxide,  
 pyrazinyl N-oxide,  
 quinolinyl N-oxide,  
 indolyl N-oxide,  
 indolinyl N-oxide,  
 isoquinolyl N-oxide,  
 quinazolinyl N-oxide,  
 quinoxalinyl N-oxide,  
 10 phthalazinyl N-oxide,  
 imidazolyl N-oxide,  
 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 15 indoliziny N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 20 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide,  
 25 benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

30 (1)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero

R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and

R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

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5 piperidinyl,  
 tetrahydrofuranyl,  
 tetrahydrothienyl,  
 homopiperidinyl,  
 homomorpholinyl,  
 homothiomorpholinyl,  
 homothiomorpholinyl S,S-dioxide, and  
 oxazolidinonyl,  
 10 dihydropyrazolyl  
 dihydropyrrolyl  
 dihydropyrazinyl  
 dihydropyridinyl  
 dihydropyrimidinyl  
 dihydrofuryl  
 15 dihydropyranyl  
 tetrahydrothienyl S-oxide  
 tetrahydrothienyl S,S-dioxide  
 homothiomorpholinyl S-oxide

20 where the  $R_{1-\text{heterocycle}}$  group is bonded by any atom of the  
 parent  $R_{1-\text{heterocycle}}$  group substituted by hydrogen such that the new bond to the  
 $R_{1-\text{heterocycle}}$  group replaces the hydrogen atom and its bond, where heterocycle is  
 optionally substituted with one, two, three, or four:

(1)  $C_1-C_6$  alkyl optionally substituted with one, two or  
 three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I,  
 25 -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as  
 defined above,

(2)  $C_2-C_6$  alkenyl with one or two double bonds,  
 optionally substituted with one, two or three substituents selected from the group  
 consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  
 30  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(3)  $C_2-C_6$  alkynyl with one or two triple bonds,  
 optionally substituted with one, two or three substituents selected from the group  
 consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  
 $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

or three of -F,  
 5 below,

(4) -F, Cl, -Br or -I,  
 (5) C<sub>1</sub>-C<sub>6</sub> alkoxy,  
 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined  
 (8) -OH,  
 (9) -C≡N,  
 (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one,  
 10 two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  
 -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),  
 (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 above,  
 15 above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined

(14) ~~SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or~~

(15) ~~=O, with the proviso that when n<sub>1</sub> is zero~~

R<sub>1-heterocycle</sub> is not bonded to the carbon chain by nitrogen;

20 where R<sub>2</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three  
 substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined

25 above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and  
 R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of  
 30 -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -  
 H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
 R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,



(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

5 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

10 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and  
15 R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
20 and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is as defined below;

25 where R<sub>N</sub> is:

(I) R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:

(A) -CO-,

(B) -SO<sub>2</sub>-,

(C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and  
30 are -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

(D) -CO-(CR'R'')<sub>1-6</sub>-X<sub>N-1</sub> where X<sub>N-1</sub> is selected from the group consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and

(E) a single bond;

where  $R_{N-1}$  is selected from the group consisting of:

(A)  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2) -OH,

(3)  $-NO_2$ ,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6)  $-C\equiv N$ ,

(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii)  $-NH_2$ ,

(c)  $-C_1-C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d)  $-C_3-C_7$  cycloalkyl,

(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,

(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

(g)  $-C_2-C_6$  alkenyl with one or two double bonds,

(h)  $-C_2-C_6$  alkynyl with one or two triple bonds,

(i)  $-C_1-C_6$  alkyl chain with one double bond and one triple bond,

(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,

- double bonds),
- 5 three triple bonds),
- above,
- 10 defined above,
- defined above,
- (8)  $-(\text{CH}_2)_{0-4}-\text{CO}-(\text{C}_1-\text{C}_{12} \text{ alkyl})$ ,
- (9)  $-(\text{CH}_2)_{0-4}-\text{CO}-(\text{C}_2-\text{C}_{12} \text{ alkenyl with one, two or three$
- (10)  $-(\text{CH}_2)_{0-4}-\text{CO}-(\text{C}_2-\text{C}_{12} \text{ alkynyl with one, two or$
- (11)  $-(\text{CH}_2)_{0-4}-\text{CO}-(\text{C}_3-\text{C}_7 \text{ cycloalkyl})$ ,
- (12)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{1-\text{aryl}}$  where  $\text{R}_{1-\text{aryl}}$  is as defined
- (13)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{1-\text{heteroaryl}}$  where  $\text{R}_{1-\text{heteroaryl}}$  is as
- 15 defined above,
- (14)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{1-\text{heterocycle}}$  where  $\text{R}_{1-\text{heterocycle}}$  is as
- defined above,
- (15)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is selected from the
- group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,
- 15 homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide,
- homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is
- optionally substituted with one, two, three, or four of:  $\text{C}_1-\text{C}_6$  alkyl,
- (16)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{O}-\text{R}_{\text{N-5}}$  where  $\text{R}_{\text{N-5}}$  is selected from
- the group consisting of:
- 20 (a)  $\text{C}_1-\text{C}_6$  alkyl,
- (b)  $-(\text{CH}_2)_{0-2}-(\text{R}_{1-\text{aryl}})$  where  $\text{R}_{1-\text{aryl}}$  is as defined
- above,
- (c)  $\text{C}_2-\text{C}_6$  alkenyl containing one or two double
- bonds,
- 25 (d)  $\text{C}_2-\text{C}_6$  alkynyl containing one or two triple
- bonds,
- (e)  $\text{C}_3-\text{C}_7$  cycloalkyl, and
- (f)  $-(\text{CH}_2)_{0-2}-(\text{R}_{1-\text{heteroaryl}})$  where  $\text{R}_{1-\text{heteroaryl}}$  is as
- defined above,
- 30 (17)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are
- as defined above,
- (18)  $-(\text{CH}_2)_{0-4}-\text{SO}-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,
- (19)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1-\text{C}_{12} \text{ alkyl})$ ,
- (20)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_3-\text{C}_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

5 (23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

10 (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is -H or  $C_1-C_4$  alkyl,

15 (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

20 (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five -F})$ ,

25 (35)  $C_3-C_7$  cycloalkyl,

(36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

30 (37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or

(39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group

consisting of:

- 5 pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
10 pyridazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
15 phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
20 thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
25 benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
30 thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,

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5 isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
10 isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
15 benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
20 phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
25 imidazothiazolyl,  
dihydrobenzisoquinyl,  
benzisoquinyl,  
benzoxazinyl,  
dihydrobenzothiazinyl,  
30 benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,

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chromanonyl, and  
pyridinyl-N-oxide,  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl

10

benzodioxanyl  
benzoxazolinonyl

15

pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,

20

isoquinolyl N-oxide,  
quinazoliny N-oxide,  
quinoxaliny N-oxide,  
phthalazinyl N-oxide,

25

imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indoliziny N-oxide,  
indazolyl N-oxide,

30

benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
triazolyl N-oxide,

tetrazolyl N-oxide,

benzothiopyranyl S-oxide,

benzothiopyranyl S,S-dioxide,

where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of

5 the parent  $R_{N\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2) -OH,

(3)  $-\text{NO}_2$ ,

(4) -F, -Cl, -Br, or -I

15 (5)  $-\text{CO-OH}$ ,

(6)  $-C\equiv N$ ,

(7)  $-(\text{CH}_2)_{0-4}\text{-CO-NR}_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

20 (b)  $-C_1\text{-}C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii)  $-\text{NH}_2$ ,

25 (c)  $-C_1\text{-}C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d)  $-C_3\text{-}C_7$  cycloalkyl,

(e)  $-(C_1\text{-}C_2 \text{ alkyl})\text{-(}C_3\text{-}C_7 \text{ cycloalkyl)}$ ,

(f)  $-(C_1\text{-}C_6 \text{ alkyl})\text{-O-(}C_1\text{-}C_3 \text{ alkyl)}$ ,

30 (g)  $-C_2\text{-}C_6$  alkenyl with one or two double bonds,

(h)  $-C_2\text{-}C_6$  alkynyl with one or two triple bonds,

(i)  $-C_1\text{-}C_6$  alkyl chain with one double bond and

one triple bond,

(j)  $-R_{1\text{-aryl}}$  where  $R_{1\text{-aryl}}$  is as defined above, and



(k)  $-R_{1\text{-heteroaryl}}$  where  $R_{1\text{-heteroaryl}}$  is as defined

above,

(8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,

(9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three$

5 double bonds),

(10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or$

three triple bonds),

(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,

(12)  $-(CH_2)_{0-4}-CO-R_{1\text{-aryl}}$  where  $R_{1\text{-aryl}}$  is as defined

10 above,

(13)  $-(CH_2)_{0-4}-CO-R_{1\text{-heteroaryl}}$  where  $R_{1\text{-heteroaryl}}$  is as

defined above,

(14)  $-(CH_2)_{0-4}-CO-R_{1\text{-heterocycle}}$  where  $R_{1\text{-heterocycle}}$  is as

defined above,

15

(15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the

group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,

homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide,

homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is

optionally substituted with one, two, three, or four of:  $C_1-C_6$  alkyl,

20

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from

the group consisting of:

(a)  $C_1-C_6$  alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1\text{-aryl}})$  where  $R_{1\text{-aryl}}$  is as defined

above,

25

(c)  $C_2-C_6$  alkenyl containing one or two double

bonds,

(d)  $C_2-C_6$  alkynyl containing one or two triple

bonds,

(e)  $C_3-C_7$  cycloalkyl,

30

(f)  $-(CH_2)_{0-2}-(R_{1\text{-heteroaryl}})$  where  $R_{1\text{-heteroaryl}}$  is as

defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are

as defined above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1-\text{C}_{12} \text{ alkyl}),$

(20)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_3-\text{C}_7 \text{ cycloalkyl}),$

(21)  $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or } \text{R}_{\text{N-5}})-\text{CO}-\text{O}-\text{R}_{\text{N-5}}$  where  $\text{R}_{\text{N-5}}$  is selected from the group consisting of:

5

(a)  $\text{C}_1-\text{C}_6 \text{ alkyl},$

(b)  $-(\text{CH}_2)_{0-2}-(\text{R}_{1-\text{aryl}})$  where  $\text{R}_{1-\text{aryl}}$  is as defined

above,

(c)  $\text{C}_2-\text{C}_6 \text{ alkenyl}$  containing one or two double

bonds,

10

(d)  $\text{C}_2-\text{C}_6 \text{ alkynyl}$  containing one or two triple

bonds,

(e)  $\text{C}_3-\text{C}_7 \text{ cycloalkyl},$  and

(f)  $-(\text{CH}_2)_{0-2}-(\text{R}_{1-\text{heteroaryl}})$  where  $\text{R}_{1-\text{heteroaryl}}$  is as

defined above,

15

(22)  $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or } \text{R}_{\text{N-5}})-\text{CO}-\text{N}(\text{R}_{\text{N-5}})_2,$  where  $\text{R}_{\text{N-5}}$

can be the same or different and is as defined above,

(23)  $-(\text{CH}_2)_{0-4}-\text{N}-\text{CS}-\text{N}(\text{R}_{\text{N-5}})_2,$  where  $\text{R}_{\text{N-5}}$  can be the

same or different and is as defined above,

(24)  $-(\text{CH}_2)_{0-4}-\text{N}(-\text{H or } \text{R}_{\text{N-5}})-\text{CO}-\text{R}_{\text{N-2}}$  where  $\text{R}_{\text{N-5}}$  and

20

$\text{R}_{\text{N-2}}$  can be the same or different and are as defined above,

(25)  $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  can be the

same or different and are as defined above,

(26)  $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(27)  $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl}),$

25

(28)  $-(\text{CH}_2)_{0-4}-\text{O}-\text{P}(\text{O})-(\text{OR}_{\text{N-aryl-1}})_2$  where  $\text{R}_{\text{N-aryl-1}}$  is -H

or  $\text{C}_1-\text{C}_4 \text{ alkyl},$

(29)  $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-\text{N}(\text{R}_{\text{N-5}})_2$  where  $\text{R}_{\text{N-5}}$  is as defined

above,

(30)  $-(\text{CH}_2)_{0-4}-\text{O}-\text{CS}-\text{N}(\text{R}_{\text{N-5}})_2$  where  $\text{R}_{\text{N-5}}$  is as defined

30

above,

(31)  $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2$  where  $\text{R}_{\text{N-5}}$  is as defined above,

(32)  $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2-\text{COOH}$  where  $\text{R}_{\text{N-5}}$  is as

defined above,

(33)  $-(\text{CH}_2)_{0-4}-\text{S}-(\text{R}_{\text{N-5}})_2$  where  $\text{R}_{\text{N-5}}$  is as defined above,

(34)  $-(\text{CH}_2)_{0-4}-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl optionally substituted with one, two, three, four, or five of: } -\text{F}),$

(35)  $\text{C}_3-\text{C}_7 \text{ cycloalkyl},$

(36)  $\text{C}_2-\text{C}_6 \text{ alkenyl with one or two double bonds}$

5 optionally substituted with  $\text{C}_1-\text{C}_3 \text{ alkyl}, -\text{F}, -\text{Cl}, -\text{Br}, -\text{I}, -\text{OH}, -\text{SH}, -\text{C}\equiv\text{N}, -\text{CF}_3, \text{C}_1-\text{C}_3 \text{ alkoxy, or } -\text{NR}_{1-a}\text{R}_{1-b} \text{ where } \text{R}_{1-a} \text{ and } \text{R}_{1-b} \text{ are as defined above,}$

(37)  $\text{C}_2-\text{C}_6 \text{ alkynyl with one or two triple bonds}$

optionally substituted with  $\text{C}_1-\text{C}_3 \text{ alkyl}, -\text{F}, -\text{Cl}, -\text{Br}, -\text{I}, -\text{OH}, -\text{SH}, -\text{C}\equiv\text{N}, -\text{CF}_3, \text{C}_1-\text{C}_3 \text{ alkoxy, or } -\text{NR}_{1-a}\text{R}_{1-b} \text{ where } \text{R}_{1-a} \text{ and } \text{R}_{1-b} \text{ are as defined above, or}$

10 (38)  $-(\text{CH}_2)_{0-4}-\text{N}(-\text{H or } \text{R}_{\text{N}-5})-\text{SO}_2-\text{R}_{\text{N}-2} \text{ where } \text{R}_{\text{N}-5} \text{ and } \text{R}_{\text{N}-2} \text{ can be the same or different and are as described above, or}$

(39)  $-(\text{CH}_2)_{0-4}-\text{C}_3-\text{C}_7 \text{ cycloalkyl},$

(C)  $\text{R}_{\text{N-aryl}}-\text{W}-\text{R}_{\text{N-aryl}}, \text{ where } \text{R}_{\text{N-aryl}} \text{ is defined as above,}$

(D)  $\text{R}_{\text{N-aryl}}-\text{W}-\text{R}_{\text{N-heteroaryl}}, \text{ where } \text{R}_{\text{N-aryl}} \text{ and } \text{R}_{\text{N-heteroaryl}} \text{ are as}$   
15 defined above,

(E)  $\text{R}_{\text{N-aryl}}-\text{W}-\text{R}_{\text{N-1-heterocycle}}, \text{ where } \text{R}_{\text{N-heterocycle}} \text{ is defined as}$   
 $\text{R}_{1-\text{heterocycle}}, \text{ is defined above,}$

(F)  $\text{R}_{\text{N-heteroaryl}}-\text{W}-\text{R}_{\text{N-aryl}}, \text{ where } \text{R}_{\text{N-aryl}} \text{ and } \text{R}_{\text{N-heteroaryl}} \text{ are as}$   
defined above,

(G)  $\text{R}_{\text{N-heteroaryl}}-\text{W}-\text{R}_{\text{N-heteroaryl}}, \text{ where } \text{R}_{\text{N-heteroaryl}} \text{ is as defined}$   
20 above,

(H)  $\text{R}_{\text{N-heteroaryl}}-\text{W}-\text{R}_{\text{N-1-heterocycle}}, \text{ where } \text{R}_{\text{N-1-heterocycle}} \text{ is as}$   
defined as  $\text{R}_{1-\text{heterocycle}}$  is as defined above, and where  $\text{R}_{\text{N-heteroaryl}}$  is as defined above,

(I)  $\text{R}_{\text{N-heterocycle}}-\text{W}-\text{R}_{\text{N-aryl}}, \text{ where } \text{R}_{\text{N-heterocycle}} \text{ is as defined as } \text{R}_{1-}$   
25 heterocycle is defined and where  $\text{R}_{\text{N-aryl}}$  are as defined above,

(J)  $\text{R}_{\text{N-heterocycle}}-\text{W}-\text{R}_{\text{N-heteroaryl}}, \text{ where } \text{R}_{\text{N-heterocycle}} \text{ is as defined as}$   
 $\text{R}_{1-\text{heterocycle}}$  as defined above and  $\text{R}_{\text{N-heteroaryl}}$  are as defined above, and

(K)  $\text{R}_{\text{N-heterocycle}}-\text{W}-\text{R}_{\text{N-1-heterocycle}}, \text{ where } \text{R}_{\text{N-heterocycle}} \text{ and } \text{R}_{\text{N-}}$   
heteroaryl are as defined above,

30 where W is

(6)  $-(\text{CH}_2)_{0-4}-,$

(7)  $-\text{O}-,$

(8)  $-\text{S}(\text{O})_{0-2}-,$

(9)  $-\text{N}(\text{R}_{\text{N}-5})-$  where  $\text{R}_{\text{N}-5}$  is as defined above, or

(10) -CO-<sub>1</sub>

(II) -CO-(C<sub>1</sub>-C<sub>10</sub> alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

- 5 (A) -OH,  
 (B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
 (C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
 (D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,  
 (E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
 different and are as defined above,  
 10 (F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
 (G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
 (H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
 different and are as defined above,  
 (I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
 15 (J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
 (K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different  
 and are as defined above,  
 (L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
 (M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
 20 (N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and  
 are as defined above,  
 (O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
 (P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl) optionally substituted with one, two, or  
 three of: -F, -Cl, -Br, or -I),  
 25 (Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and  
 (R) -F, or -Cl

(III) -CO-(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>6</sub> alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

- 30 (A) -OH,  
 (B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
 (C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
 (D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,  
 (E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
 different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

(H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

5 (I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

10 (M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$  where the  $\text{R}_{\text{N-8}}$ s are the same or different and are as defined above,

(O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or  
15 three of:  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ,

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(R)  $-\text{F}$ ,  $-\text{Cl}$ ,

(IV)  $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{S}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

20 (A)  $-\text{OH}$ ,

(B)  $-\text{C}_1-\text{C}_6 \text{ alkoxy}$ ,

(C)  $-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$ ,

(D)  $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or  
25 different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

(H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

30 (I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
 (N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and  
 are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
 5 (P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl) optionally substituted with one, two, or  
 three of: -F, -Cl, -Br, -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(R) -F, or -Cl,

(V) -CO-CH(-(CH<sub>2</sub>)<sub>0-2</sub>-O-R<sub>N-10</sub>)-(CH<sub>2</sub>)<sub>0-2</sub>-R<sub>N-aryl</sub>/R<sub>N-heteroaryl</sub>) where R<sub>N-  
 10 aryl and R<sub>N-heteroaryl</sub> are as defined above, where R<sub>N-10</sub> is selected from the group  
 consisting of:</sub>

(A) -H,

(B) C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

15 (D) C<sub>2</sub>-C<sub>6</sub> alkenyl with one double bond,

(E) C<sub>2</sub>-C<sub>6</sub> alkynyl with one triple bond,

(F) R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(G) R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is as defined above, or

(VI) -CO-(C<sub>3</sub>-C<sub>8</sub> cycloalkyl) where alkyl is optionally substituted with  
 20 one or two substituents selected from the group consisting of:

(A) -(CH<sub>2</sub>)<sub>0-4</sub>-OH,

(B) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -  
 25 phenyl,

(E) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same  
 or different and are as defined above,

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(G) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),

30 (H) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same  
 or different and are as defined above,

(I) -(CH<sub>2</sub>)<sub>0-4</sub>-NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(J)  $\text{-NH-CO-O-R}_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $\text{-(CH}_2\text{)}_{0-4}\text{-NR}_{N-2}\text{R}_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $\text{-(CH}_2\text{)}_{0-4}\text{-R}_{N-4}$  where  $R_{N-4}$  is as defined above,

5 (M)  $\text{-O-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,

(N)  $\text{-O-CO-NR}_{N-8}\text{R}_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $\text{-O-(C}_1\text{-C}_5\text{ alkyl)-COOH}$ ,

10 (P)  $\text{-O-(C}_1\text{-C}_6\text{ alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I)}$ ,

(Q)  $\text{-NH-SO}_2\text{-(C}_1\text{-C}_6\text{ alkyl)}$ , and

(R)  $\text{-F, or -Cl}$ .

124. An azide of formula (XV) according to claim 123 where  $R_1$  is:

15  $\text{-CH}_2\text{-(R}_1\text{-aryl)}$ , or

$\text{-CH}_2\text{-(R}_1\text{-heteroaryl)}$ .

125. An azide of formula (XV) according to claim 124 where  $R_{1\text{-aryl}}$  is phenyl.

20 126. An azide of formula (XV) according to claim 125 where phenyl is substituted with one, two or three  $\text{-F, -Cl, -Br or -I}$ .

127. An azide of formula (XV) according to claim 126 where phenyl is substituted with one or two  $\text{-F}$ .

25 128. An azide of formula (XV) according to claim 127 where phenyl is substituted with two  $\text{-F}$  in the 3- and 5- positions giving 3,5-difluorophenyl.

129. An azide of formula (XV) according to claim 123 where  $R_2$  and  $R_3$  are both  $\text{-H}$ .

30 130. An azide of formula (XV) according to claim 123 where  $R_N$  is:

$\text{R}_{N-1}\text{-X}_N\text{-}$  where  $X_N$  is selected from the group consisting of:

$\text{-CO-}$ , and

-SO<sub>2</sub>-,

where R<sub>N-1</sub> is selected from the group consisting of:

R<sub>N-aryl</sub>, and

-R<sub>N-heteroaryl</sub>.

5

131. An azide of formula (XV) according to claim 130 where R<sub>N</sub> is:

R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:

-CO-,

where R<sub>N-1</sub> is selected from the group consisting of:

10

R<sub>N-aryl</sub>

-R<sub>N-heteroaryl</sub>.

132. An azide of formula (XV) according to claim 131 where R<sub>N</sub> is:

(a) R<sub>N-1</sub>-X<sub>N</sub>-, where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl

15

substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on phenyl is 1,3- and

where R<sub>N-2</sub> and R<sub>N-3</sub> are the same and are C<sub>3</sub> alkyl, or

(b) R<sub>N-1</sub>-X<sub>N</sub>-, where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl

substituted with one C<sub>1</sub> alkyl and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on the phenyl is 1,3,5- and where R<sub>N-2</sub> and R<sub>N-3</sub> are the same and are C<sub>3</sub> alkyl.

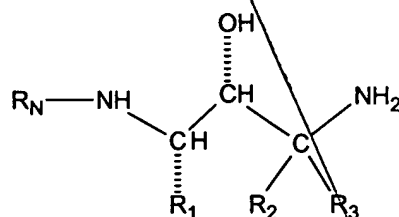
20

133. An azide of formula (XV) according to claim 123 which is

N<sup>1</sup>-(1S,2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropyl]5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide.

25

134. A free amine of formula (XVI)



(XVI)

where R<sub>2</sub> is:

(I)-H,



(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

15 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,  
20 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;

25 (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-,

S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

- (a) -H,  
 (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
 5 substituent selected from the group consisting of:  
 (i) -OH, and  
 (ii) -NH<sub>2</sub>,  
 (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
 to three -F, -Cl, -Br, or -I,  
 10 (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
 (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
 (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),  
 (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double  
 bonds,  
 15 (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
 (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and  
 one triple bond,  
 (j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and  
 (k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined  
 20 above,

where R<sub>N</sub> is:

- (I) R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:  
 (A) -CO-,  
 (B) -SO<sub>2</sub>-,  
 25 (C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and  
 are -H or C<sub>1</sub>-C<sub>4</sub> alkyl,  
 (D) -CO-(CR'R'')<sub>1-6</sub>-X<sub>N-1</sub> where X<sub>N-1</sub> is selected from the group  
 consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and  
 (E) a single bond;  
 30 where R<sub>N-1</sub> is selected from the group consisting of:  
 (A) R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl,  
 tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl,  
 optionally substituted with one, two or three of the following substituents which can  
 be the same or different and are:

(1)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5

(2) -OH,

(3)  $-NO_2$ ,

(4) -F, -Cl, -Br, or -I,

(5)  $-CO-OH$ ,(6)  $-C\equiv N$ ,

10

(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

15

(i) -OH, and

(ii)  $-NH_2$ ,

(c)  $C_1-C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

20

(d)  $C_3-C_7$  cycloalkyl,(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

(g)  $C_2-C_6$  alkenyl with one or two double bonds,

25

(h)  $C_2-C_6$  alkynyl with one or two triple bonds,

(i)  $C_1-C_6$  alkyl chain with one double bond and one triple bond,

(j)  $-R_{1-aryl}$ , and(k)  $-R_{1-heteroaryl}$ ,

30

(8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,

(9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$ ,

(10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$ ,

(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,

(12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$ ,

(13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$ ,

(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$ ,

(15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the

5 group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of:  $C_1-C_6$  alkyl,

10 (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:

(a)  $C_1-C_6$  alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$ ,

(c)  $C_2-C_6$  alkenyl containing one or two double bonds,

15 (d)  $C_2-C_6$  alkynyl containing one or two triple bonds,

(e)  $C_3-C_7$  cycloalkyl, and

(f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ ,

20 (17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

25 (ii)  $-NH_2$ ,

(c)  $C_1-C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d)  $C_3-C_7$  cycloalkyl,

(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,

30 (f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

(g)  $C_2-C_6$  alkenyl with one or two double bonds,

(h)  $C_2-C_6$  alkynyl with one or two triple bonds,

one triple bond,

(i)  $-C_1-C_6$  alkyl chain with one double bond and

(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined

5 above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

10 (21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

15 (24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

20 (27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is  $-H$  or  $C_1-C_4$  alkyl,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

25 (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

30 (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, three, four, or five  $-F$ ,

(35)  $C_3-C_7$  cycloalkyl,

(36) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds  
optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub>  
alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds  
optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub>  
alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and  
R<sub>N-2</sub> can be the same or different and are as described above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
(B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group  
consisting of:

pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
pyridazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,

5  
10  
15  
20  
25  
30

furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isindolyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,

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5 dihydrobenzisoxazinyI,  
benzisoxazinyI,  
benzoxazinyI,  
dihydrobenzisothiazinyI,  
benzopyranyI,  
benzothiopyranyI,  
coumarinyI,  
isocoumarinyI,  
chromonyI,  
10 chromanonyI, and  
pyridinyI-N-oxide,  
tetrahydroquinolinyI  
dihydroquinolinyI  
dihydroquinolinonyI  
15 dihydroisoquinolinonyI  
dihydrocoumarinyI  
dihydroisocoumarinyI  
isoindolonyI  
benzodioxanyI  
20 benzoxazolinonyI  
pyrrolyI N-oxide,  
pyrimidinyI N-oxide,  
pyridazinyI N-oxide,  
pyrazinyI N-oxide,  
25 quinolinyI N-oxide,  
indolyI N-oxide,  
indolinyI N-oxide,  
isoquinolyI N-oxide,  
quinazolinoyI N-oxide,  
30 quinoxalinyI N-oxide,  
phthalazinyI N-oxide,  
imidazoloyI N-oxide,  
isoxazoloyI N-oxide,  
oxazoloyI N-oxide,



thiazolyl N-oxide,  
 indoliziny N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 benzothiopyranyl S,S-dioxide,

where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of the parent  $R_{N\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2) -OH,

(3)  $-NO_2$ ,

(4) -F, -Cl, -Br, or -I

(5)  $-CO-OH$ ,

(6)  $-C\equiv N$ ,

(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $-C_1\text{-}C_6$  alkyl optionally substituted with one

substituent selected from the group consisting of:

(i) -OH, and

(ii)  $-NH_2$ ,

(c)  $-C_1\text{-}C_6$  alkyl optionally substituted with one

to three -F, -Cl, -Br, or -I,

- 5 bonds,
- one triple bond,
- 10
- double bonds),
- 15 three triple bonds),
- 20
- 25
- 30 bonds,
- bonds,
- (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
- (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),
- (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),
- (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double
- (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,
- (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and
- (j) -R<sub>1</sub>-aryl, and
- (k) -R<sub>1</sub>-heteroaryl,
- (8) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),
- (9) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three
- (10) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or
- (11) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),
- (12) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1</sub>-aryl,
- (13) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1</sub>-heteroaryl,
- (14) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1</sub>-heterocycle,
- (15) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is selected from the
- group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,
- homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide,
- homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is
- optionally substituted with one, two, three, or four of: C<sub>1</sub>-C<sub>6</sub> alkyl,
- (16) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> is selected from
- the group consisting of:
- (a) C<sub>1</sub>-C<sub>6</sub> alkyl,
- (b) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1</sub>-aryl),
- (c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double
- (d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple
- (e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and
- (f) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1</sub>-heteroaryl),

- as defined above,
- (17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are
- (18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,
- (19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,
- (20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,
- (21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,
- (22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,
- (23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,
- (24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,
- (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,
- (26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,
- (27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,
- (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is -H or  $C_1-C_4$  alkyl,
- (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,
- (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of: } -F)$ ,
- (35)  $C_3-C_7 \text{ cycloalkyl}$ ,
- (36)  $C_2-C_6 \text{ alkenyl with one or two double bonds optionally substituted with } C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_3 \text{ alkoxy, or } -NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above,}$

(37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds  
optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub>  
alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and  
5 R<sub>N-2</sub> can be the same or different and are as described above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(C) R<sub>N-aryl</sub>-W-R<sub>N-aryl</sub>,

(D) R<sub>N-aryl</sub>-W-R<sub>N-heteroaryl</sub>,

(E) R<sub>N-aryl</sub>-W-R<sub>N-1-heterocycle</sub>, where R<sub>N-1-heterocycle</sub> is defined as R<sub>1</sub>-  
10 heterocycle,

(F) R<sub>N-heteroaryl</sub>-W-R<sub>N-aryl</sub>,

(G) R<sub>N-heteroaryl</sub>-W-R<sub>N-heteroaryl</sub>,

(H) R<sub>N-heteroaryl</sub>-W-R<sub>N-1-heterocycle</sub>,

(I) R<sub>N-heterocycle</sub>-W-R<sub>N-aryl</sub>,

15 (J) R<sub>N-heterocycle</sub>-W-R<sub>N-heteroaryl</sub>, and

(K) R<sub>N-heterocycle</sub>-W-R<sub>N-1-heterocycle</sub>,

where W is

(11) -(CH<sub>2</sub>)<sub>0-4</sub>-,

(12) -O-,

20 (13) -S(O)<sub>0-2</sub>-,

(14) -N(R<sub>N-5</sub>)- where R<sub>N-5</sub> is as defined above,

or

(15) -CO-

(II) -CO-(C<sub>1</sub>-C<sub>10</sub> alkyl) where alkyl is optionally substituted with one,  
25 two, or three substituents selected from the group consisting of:

(A) -OH,

(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,

30 (E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
different and are as defined above,

(F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),

(H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

5 (K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

10 (N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  are the same or different and are as defined above,

(O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of:  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ,

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ , and

15 (R)  $-\text{F}$ , or  $-\text{Cl}$

(III)  $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A)  $-\text{OH}$ ,

(B)  $-\text{C}_1-\text{C}_6 \text{ alkoxy}$ ,

20 (C)  $-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$ ,

(D)  $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is  $-\text{H}$ ,  $\text{C}_1-\text{C}_6 \text{ alkyl}$  or  $-\text{phenyl}$ ,

(E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

25 (G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

(H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

30 (K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(R) -F, -Cl,

(IV) -CO-(C<sub>1</sub>-C<sub>6</sub> alkyl)-S-(C<sub>1</sub>-C<sub>6</sub> alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) -OH,

(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,

(E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,

(F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),

(H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,

(I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,

(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,

(L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(R) -F, or -Cl,

(V)  $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N}-10})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-aryl}}$  and  $\text{R}_{\text{N-heteroaryl}}$  are as defined above, where  $\text{R}_{\text{N-10}}$  is selected from the group consisting of:

- (A) -H,
- (B)  $\text{C}_1-\text{C}_6$  alkyl,
- (C)  $\text{C}_3-\text{C}_7$  cycloalkyl,
- (D)  $\text{C}_2-\text{C}_6$  alkenyl with one double bond,
- (E)  $\text{C}_2-\text{C}_6$  alkynyl with one triple bond,
- (F)  $\text{R}_{1-\text{aryl}}$  where  $\text{R}_{1-\text{aryl}}$  is as defined above, and
- (G)  $\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-heteroaryl}}$  is as defined above, or

(VI)  $-\text{CO}-(\text{C}_3-\text{C}_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one or two substituents selected from the group consisting of:

- (A)  $-(\text{CH}_2)_{0-4}-\text{OH}$ ,
- (B)  $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6$  alkoxy,
- (C)  $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6$  thioalkoxy,
- (D)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is -H,  $\text{C}_1-\text{C}_6$  alkyl or -

phenyl,

- (E)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

- (F)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

- (G)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

- (H)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

- (I)  $-(\text{CH}_2)_{0-4}-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

- (J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

- (K)  $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

- (L)  $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

- (M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

- (N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  are the same or different and are as defined above,

- (O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and

(R) -F, or -Cl,

5 where R<sub>1</sub> is:

-CH<sub>2</sub>-phenyl where -phenyl is substituted with two -F,

-(CH<sub>2</sub>)<sub>n1</sub>-R<sub>1</sub>-heteroaryl, or

-(CH<sub>2</sub>)<sub>n1</sub>-R<sub>1</sub>-heterocycle.

10 135. A free amine of formula (XVI) according to claim 134 where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heteroaryl).

136. A free amine of formula (XVI) according to claim 135 where n<sub>1</sub> is 1.

15 137. A free amine of formula (XVI) according to claim 134 where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heterocycle).

138. A free amine of formula (XVI) according to claim 137 where n<sub>1</sub> is 1.

20 139. A free amine of formula (XVI) according to claim 134 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

140. A free amine of formula (XVI) according to claim 134 where R<sub>2</sub> and R<sub>3</sub> are both -H.

25 141. A free amine of formula (XVI) according to claim 134 where R<sub>N</sub> is:

R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:

-CO-, and

-SO<sub>2</sub>-,

30 where R<sub>N-1</sub> is selected from the group consisting of:

R<sub>N</sub>-aryl, and

-R<sub>N</sub>-heteroaryl.

142. A free amine of formula (XVI) according to claim 141 where R<sub>N</sub> is:



$R_{N-1}-X_N$  where  $X_N$  is:

-CO-,

where  $R_{N-1}$  is selected from the group consisting of:

$R_{N-aryl}$ , and

$R_{N-heteroaryl}$ .

143. A free amine of formula (XVI) according to claim 142 where  $R_N$  is:

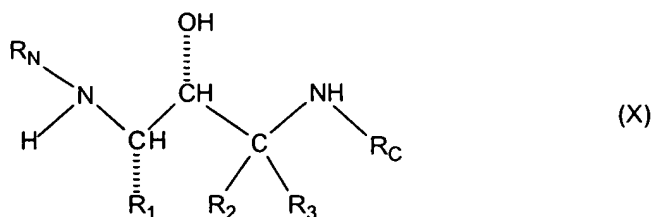
(a)  $R_{N-1}-X_N$  where  $X_N$  is -CO-, where  $R_{N-1}$  is  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on phenyl is 1,3- and where  $R_{N-2}$  and  $R_{N-3}$  are the same and are C<sub>3</sub> alkyl, or

(b)  $R_{N-1}-X_N$  where  $X_N$  is -CO-, where  $R_{N-1}$  is  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl substituted with one C<sub>1</sub> alkyl and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on the phenyl is 1,3,5- and where  $R_{N-2}$  and  $R_{N-3}$  are the same and are C<sub>3</sub> alkyl.

144. A free amine of formula (XVI) according to claim 134 which is

N<sup>1</sup>-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide.

145. A method of treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which comprises administration of a therapeutically effective amount of a compound selected from the group consisting of a substituted amine of formula (X)



where R<sub>1</sub> is:

- (I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy,

(B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5

(D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

10

(I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

15

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where

R<sub>1-heteroaryl</sub> is selected from the group consisting of:

20

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

25

indolinyl,

pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

30

quinazolinyl,

quinoxalinyl,

phthalazinyl,

imidazolyl,

isoxazolyl,

Sub  
A1

FIG. 10

Sub  
A1

T. 0230-0230

5  
indoliziny,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
15 triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
20 cinnolyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
25 tetrahydroisoquinolyl,  
isoindolyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothieryl,  
30 benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,

Sub  
A1

F06230-02F06360

5 benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
10 benzisoxazinyl,  
benzoxazinyl,  
dihydrobenziso­thiazinyl,  
benzopyranyl,  
benzothio­pyranyl,  
15 coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide  
20 tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
25 dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
30 pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,

Sub  
A'

T 0 6 3 0 " 6 2 9 6 3 6 0

- indoliny N-oxide,  
 isoquinolyl N-oxide,  
 quinazoliny N-oxide,  
 quinoxaliny N-oxide,  
 5 phthalaziny N-oxide,  
 imidazolyl N-oxide,  
 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 10 indoliziny N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 15 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide,  
 20 benzothiopyranyl S,S-dioxide,  
 where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_n-$  by any ring  
 atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to  
 the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is  
 optionally substituted with one, two, three, or four:
- 25 (1)  $C_1$ - $C_6$  alkyl optionally substituted with one, two or three  
 substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH,  
 -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1$ - $C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
 above,
- (2)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally  
 30 substituted with one, two or three substituents selected from the group consisting of  
 -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1$ - $C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  
 -H or  $C_1$ - $C_6$  alkyl,
- (3)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of

-F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three

5 of: -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or

10 three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

15 (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero

R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and

R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,

20 thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

25 pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

piperidinyl,

tetrahydrofuranyl,

30 tetrahydrothienyl,

homopiperidinyl,

homomorpholinyl,

homothiomorpholinyl,

homothiomorpholinyl S,S-dioxide, and

Sub  
Al

13615.25US

oxazolidinonyl,  
 dihydropyrazolyl  
 dihydropyrrolyl  
 dihydropyrazinyl  
 dihydropyridinyl  
 dihydropyrimidinyl  
 dihydrofuryl  
 dihydropyranyl

tetrahydrothienyl S-oxide  
 tetrahydrothienyl S,S-dioxide  
 homothiomorpholinyl S-oxide

where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_{1\text{-heterocycle}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

(1)  $C_1\text{-}C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2)  $C_2\text{-}C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,

(3)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,

(4) -F, Cl, -Br or -I,

(5)  $C_1\text{-}C_6$  alkoxy,

(6)  $C_1\text{-}C_6$  alkoxy optionally substituted with one, two, or three of -F,

(7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,

(8) -OH,



(9)  $-C\equiv N$ ,

(10)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,

(11)  $-CO-(C_1-C_4 \text{ alkyl})$ ,

(12)  $-SO_2-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined

above,

(13)  $-CO-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined

above,

(14)  $-SO_2-(C_1-C_4 \text{ alkyl})$ , or

(15)  $=O$ , with the proviso that when  $n_1$  is zero

$R_{1-\text{heterocycle}}$  is not bonded to the carbon chain by nitrogen;

where  $R_2$  is:

(I)  $-H$ ,

(II)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III)  $-(CH_2)_{0-4}-R_{2-1}$  where  $R_{2-1}$  is  $R_{1-\text{aryl}}$  or  $R_{1-\text{heteroaryl}}$  where  $R_{1-\text{aryl}}$  and  $R_{1-\text{heteroaryl}}$  are as defined above;

(IV)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,

(V)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl, or

(VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl;

where  $R_3$  is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is as defined below;

where R<sub>N</sub> is:

(I) R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:

(A) -CO-,

(B) -SO<sub>2</sub>-,

(C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and are -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

(D) -CO-(CR'R'')<sub>1-6</sub>-X<sub>N-1</sub> where X<sub>N-1</sub> is selected from the group consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and

(E) a single bond;

where R<sub>N-1</sub> is selected from the group consisting of:

(A) R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(2) -OH,

(3) -NO<sub>2</sub>,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6) -C≡N,

(7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined

above,

(8) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),

(9) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three double bonds),

(10) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or three triple bonds),

(11) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(12) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above,

(13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,

(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as defined above,

5 (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of:  $C_1-C_6$  alkyl,

10 (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:

(a)  $C_1-C_6$  alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined above,

15 (c)  $C_2-C_6$  alkenyl containing one or two double bonds,

(d)  $C_2-C_6$  alkynyl containing one or two triple bonds,

(e)  $C_3-C_7$  cycloalkyl, and

20 (f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

25 (19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

30 (22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

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(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is -H or  $C_1-C_4$  alkyl,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five -F})$ ,

(35)  $C_3-C_7$  cycloalkyl,

(36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or

(39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(B)  $-R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

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pyridazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanlyl,  
chromanlyl,  
tetrahydroisoquinolinyl,

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isindolinylyl,  
isobenzotetrahydrofuranylyl,  
isobenzotetrahydrothienylyl,  
isobenzothiénylyl,  
benzoxazolyl,  
pyridopyridinylyl,  
benzotetrahydrofuranylyl,  
benzotetrahydrothienylyl,  
purinylyl,  
benzodioxolyl,  
triazinylyl,  
phenoxazinyl,  
phenothiazinylyl,  
pteridinylyl,  
benzothiazolyl,  
imidazopyridinylyl,  
imidazothiazolyl,  
dihydrobenzisoquinazinylyl,  
benzisoquinazinylyl,  
benzoxazinyl,  
dihydrobenzothiazinylyl,  
benzopyranylyl,  
benzothiopyranylyl,  
coumarinylyl,  
isocoumarinylyl,  
chromonylyl,  
chromanonylyl, and  
pyridinylyl-N-oxide,  
tetrahydroquinolinylyl  
dihydroquinolinylyl  
dihydroquinolinonylyl  
dihydroisoquinolinonylyl  
dihydrocoumarinylyl  
dihydroisocoumarinylyl

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isindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxaliny N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indoliziny N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
triazolyl N-oxide,  
tetrazolyl N-oxide,  
benzothiopyranyl S-oxide,  
benzothiopyranyl S,S-dioxide,  
where the R<sub>N-heteroaryl</sub> group is bonded by any atom of  
the parent R<sub>N-heteroaryl</sub> group substituted by hydrogen such that the new bond to the R<sub>N-heteroaryl</sub> group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:



(1) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

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(2) -OH,

(3) -NO<sub>2</sub>,

(4) -F, -Cl, -Br, or -I

(5) -CO-OH,

(6) -C≡N,

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(7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the

same or different and are selected from the group consisting of:

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

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(i) -OH, and

(ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

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(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double

bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

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(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and

one triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined

above,

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(8) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),

(9) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three double bonds),

three triple bonds),

(10) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or

above,

(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,

(12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined

5 defined above,

(13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as

defined above,

(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as

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(15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of:  $C_1-C_6$  alkyl,

the group consisting of:

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(a)  $C_1-C_6$  alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined

above,

(c)  $C_2-C_6$  alkenyl containing one or two double

bonds,

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(d)  $C_2-C_6$  alkynyl containing one or two triple

bonds,

(e)  $C_3-C_7$  cycloalkyl,

(f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as

defined above,

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(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are

as defined above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

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(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can

be the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$

can be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

5 (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

10 (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is -H or  $C_1-C_4$  alkyl,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

15 (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

20 (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of: } -F),$

(35)  $C_3-C_7$  cycloalkyl,

(36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

25 (37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, or

(38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or

30 (39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(C)  $R_{N-aryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  is defined as above,

(D)  $R_{N-aryl}-W-R_{N-heteroaryl}$ , where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as defined above,

(E)  $R_{N-aryl}-W-R_{N-1-heterocycle}$ , where  $R_{N-heterocycle}$  is defined as

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$R_{N-1}$ -heterocycle, is defined above,

(F)  $R_{N-1}$ -heteroaryl-W- $R_{N-1}$ -aryl, where  $R_{N-1}$ -aryl and  $R_{N-1}$ -heteroaryl are as defined above,

(G)  $R_{N-1}$ -heteroaryl-W- $R_{N-1}$ -heteroaryl, where  $R_{N-1}$ -heteroaryl is as defined above,

(H)  $R_{N-1}$ -heteroaryl-W- $R_{N-1}$ -heterocycle, where  $R_{N-1}$ -heterocycle is as defined as  $R_{N-1}$ -heterocycle is as defined above, and where  $R_{N-1}$ -heteroaryl is as defined above,

(I)  $R_{N-1}$ -heterocycle-W- $R_{N-1}$ -aryl, where  $R_{N-1}$ -heterocycle is as defined as  $R_{N-1}$ -heterocycle is defined and where  $R_{N-1}$ -aryl are as defined above,

(J)  $R_{N-1}$ -heterocycle-W- $R_{N-1}$ -heteroaryl, where  $R_{N-1}$ -heterocycle is as defined as  $R_{N-1}$ -heterocycle as defined above and  $R_{N-1}$ -heteroaryl are as defined above, and

(K)  $R_{N-1}$ -heterocycle-W- $R_{N-1}$ -heterocycle, where  $R_{N-1}$ -heterocycle and  $R_{N-1}$ -heteroaryl are as defined above,

where W is

- (16)  $-(CH_2)_{0-4}-$ ,  
 (17)  $-O-$ ,  
 (18)  $-S(O)_{0-2}-$ ,  
 (19)  $-N(R_{N-5})-$  where  $R_{N-5}$  is as defined above,

or

- (20)  $-CO-$

(II)  $-CO-(C_1-C_{10}$  alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

- (A)  $-OH$ ,  
 (B)  $-C_1-C_6$  alkoxy,  
 (C)  $-C_1-C_6$  thioalkoxy,  
 (D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H$ ,  $C_1-C_6$  alkyl or  $-phenyl$ ,  
 (E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,  
 (F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,  
 (G)  $-SO_2-(C_1-C_8$  alkyl),  
 (H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,  
 (I)  $-NH-CO-(C_1-C_6$  alkyl),  
 (J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, or three of:  $-F$ ,  $-Cl$ ,  $-Br$ , or  $-I$ ,

(Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl})$ , and

(R)  $-F$ , or  $-Cl$

(III)  $-CO-(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A)  $-OH$ ,

(B)  $-C_1-C_6 \text{ alkoxy}$ ,

(C)  $-C_1-C_6 \text{ thioalkoxy}$ ,

(D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H$ ,  $C_1-C_6 \text{ alkyl}$  or  $-\phi$ ,

(E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-SO_2-(C_1-C_8 \text{ alkyl})$ ,

(H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-NH-CO-(C_1-C_6 \text{ alkyl})$ ,

(J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(N)  $-O-CO-NR_{N-8}R_{N-8}$  where the  $R_{N-8}$ s are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, or three of:  $-F$ ,  $-Cl$ ,  $-Br$ , or  $-I$ ,

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(R)  $-\text{F}$ ,  $-\text{Cl}$ ,

(IV)  $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{S}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

5

(A)  $-\text{OH}$ ,

(B)  $-\text{C}_1-\text{C}_6 \text{ alkoxy}$ ,

(C)  $-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$ ,

(D)  $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

10 (E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

(H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

15

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

20

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  are the same or different and are as defined above,

(O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

25 (P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of:  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(R)  $-\text{F}$ , or  $-\text{Cl}$ ,

(V)  $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N-10}})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$ , where  $\text{R}_{\text{N-10}}$  is selected from the group consisting of:

30

(A)  $-\text{H}$ ,

(B)  $\text{C}_1-\text{C}_6 \text{ alkyl}$ ,

(C)  $\text{C}_3-\text{C}_7 \text{ cycloalkyl}$ ,

(D)  $\text{C}_2-\text{C}_6 \text{ alkenyl}$  with one double bond,

(E) C<sub>2</sub>-C<sub>6</sub> alkynyl with one triple bond,

(F) R<sub>1</sub>-aryl, and

(G) R<sub>N</sub>-heteroaryl, or

(VI) -CO-(C<sub>3</sub>-C<sub>8</sub> cycloalkyl) where alkyl is optionally substituted with

5 one or two substituents selected from the group consisting of:

(A) -(CH<sub>2</sub>)<sub>0-4</sub>-OH,

(B) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -

10 phenyl,

(E) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(G) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),

15 (H) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,

(I) -(CH<sub>2</sub>)<sub>0-4</sub>-NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,

(K) -(CH<sub>2</sub>)<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or

20 different and are as defined above,

(L) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,

25 (O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and

(R) -F, or -Cl,

30 where R<sub>C</sub> is:

(I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are

-H,

C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,,

C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of:

-F,

-(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

phenyl-,

and where R<sub>C-x</sub> and R<sub>C-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>C-aryl</sub> is the same as R<sub>N-aryl</sub>;

(IV) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub> is the same as R<sub>N-heteroaryl</sub> and R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(V) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(VI) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-aryl</sub>, R<sub>C-heteroaryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(VII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>-R<sub>C-aryl</sub> where R<sub>C-heteroaryl</sub>, R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(VIII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(IX) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-heterocycle</sub> where R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above, and R<sub>C-heterocycle</sub> is the same as R<sub>N-heterocycle</sub>,



(X)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$  where  $R_{C-heteroaryl}$ ,  $R_{C-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$  where  $R_{C-heterocycle}$ ,  $R_{C-aryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

5 (XII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$  where  $R_{C-heterocycle}$ ,  $R_{C-heteroaryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$  where  $R_{C-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

10 (XIV)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$  where  $R_{C-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XV)  $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N(R_{C-3})_2$  where  $R_{C-1}$  and  $R_{C-2}$  are the same or different and are selected from the group consisting of:

(A) -H,

15 (B)  $-C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  
20  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  
25  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(E)  $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6 \text{ alkyl})$ ,

(F)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  
30  $R_{1-b}$  are as defined above,

(G)  $-(C_1-C_4 \text{ alkyl})-R_{C-aryl}$  where  $R_{C-aryl}$  is as defined for  $R_{1-aryl}$ ,

(H)  $-(C_1-C_4 \text{ alkyl})-R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$  is as defined above,

above,  
(I)  $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heterocycle}}$  where  $R_{C\text{-heterocycle}}$  is as defined

(J)  $-R_{C\text{-heteroaryl}}$  where  $R_{C\text{-heteroaryl}}$  is as defined above,

(K)  $-R_{C\text{-heterocycle}}$  where  $R_{C\text{-heterocycle}}$  is as defined above,

(M)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'\text{-aryl}}$  where  $R_{C-4}$  is  $-O-$ ,  $-S-$  or  $-NR_{C-5}-$  where  $R_{C-5}$  is  $C_1-C_6$  alkyl, and where  $R_{C'\text{-aryl}}$  is as defined above,

(N)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C\text{-heteroaryl}}$  where  $R_{C-4}$  and  $R_{C\text{-heteroaryl}}$  are as defined above, and

(O)  $-R_{C'\text{-aryl}}$  where  $R_{C'\text{-aryl}}$  is as defined above,

and where  $R_{C-3}$  is the same or different and is:

(A)  $-H$ ,

(B)  $-C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O-$  phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O-$  phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O-$  phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O-$  phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(F)  $-R_{C'\text{-aryl}}$  where  $R_{C'\text{-aryl}}$  is as defined above,

(G)  $-R_{C\text{-heteroaryl}}$  where  $R_{C\text{-heteroaryl}}$  is as defined above,

(H)  $-R_{C\text{-heterocycle}}$  where  $R_{C\text{-heterocycle}}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{C'\text{-aryl}}$  where  $R_{C'\text{-aryl}}$  is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heteroaryl}}$  where  $R_{C\text{-heteroaryl}}$  is as defined

above, or

(K)  $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heterocycle}}$  where  $R_{C\text{-heterocycle}}$  is as defined

above,

(XVI)  $-\text{CH}(R_{C\text{-aryl}})_2$  where  $R_{C\text{-aryl}}$  are the same or different and are as defined above,

5 (XVII)  $-\text{CH}(R_{C\text{-heteroaryl}})_2$  where  $R_{C\text{-heteroaryl}}$  are the same or different and are as defined above,

(XVIII)  $-\text{CH}(R_{C\text{-aryl}})(R_{C\text{-heteroaryl}})$  where  $R_{C\text{-aryl}}$  and  $R_{C\text{-heteroaryl}}$  are as defined above,

10 (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $R_{C\text{-aryl}}$  or  $R_{C\text{-heteroaryl}}$  or  $R_{C\text{-heterocycle}}$  where  $R_{C\text{-aryl}}$  or  $R_{C\text{-heteroaryl}}$  or  $R_{C\text{-heterocycle}}$  are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH,  $\text{NR}_{1-5}$ , O, or  $\text{S}(=\text{O})_{0-2}$ , and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two  $-C_1-C_3$  alkyl, -F, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $=O$ , or  $-\text{NR}_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

15 (XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-\text{NR}_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-\text{NR}_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{C-6}-(\text{CH}_2)_{0-1}-R_{C\text{-aryl}}$  where  $R_{C\text{-aryl}}$  is as defined above and  $R_{C-6}$  is  $-(\text{CH}_2)_{0-6}-\text{OH}$ ,

25 (XXII)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{C-6}-(\text{CH}_2)_{0-1}-R_{C\text{-heteroaryl}}$  where  $R_{C\text{-heteroaryl}}$  and  $R_{C-6}$  is as defined above,

(XXIII)  $-\text{CH}(-R_{C\text{-aryl}} \text{ or } R_{C\text{-heteroaryl}})-\text{CO}-\text{O}(C_1-C_4 \text{ alkyl})$  where  $R_{C\text{-aryl}}$  and  $R_{C\text{-heteroaryl}}$  are as defined above,

(XXIV)  $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{phenyl}-\text{NO}_2$ ,

30 (XXV)  $(C_1-C_6 \text{ alkyl})-\text{O}-(C_1-C_6 \text{ alkyl})-\text{OH}$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$ ,

(XXVIII) -H, or

(XXIX)  $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above;

146. A method of treatment according to claim 145, wherein the disease is Alzheimer's disease.

147. A method of treatment according to claim 145, wherein the method prevents or delays the onset of Alzheimer's disease.

148. A method of treatment according to claim 145, wherein the disease is cognitive impairment.

149. A method of treatment according to claim 145, wherein the disease is dementia syndrome.

150. A method of treatment according to claim 145, wherein the disease is Cerebral Hemorrhage with Amyloidosis of the Dutch-Type.

151. A method of treatment according to claim 145, wherein the disease is amyloid angiopathy.

152. A method of treatment according to claim 145, wherein the disease is degenerative dementias.

153. A method of treatment according to claim 145, wherein the disease is Lewy body type of Alzheimer's disease.

154. A method of treatment according to claim 145, wherein the method is for treating an existing disease.

155. A method of treatment according to claim 145, wherein the method is for preventing a disease from developing.

156. A method of treatment according to claim 145, wherein the therapeutic effective amount for oral administration is from about 0.1 mg/day to about 100 mg/day.

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159. A method of treatment according to claim 145 where:

15

$$-(\text{CH}_2)_{n1}-(\text{R}_{1\text{-heteroaryl}})$$

20

-CO-, and

$$-\text{SO}_2-$$

-R<sub>N</sub>-aryl, and

-R<sub>N</sub>-heteroaryl,

$$-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N-10}})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl/R}_{\text{N-heteroaryl}}}, \text{ and}$$

25

-C<sub>1</sub>-C<sub>8</sub> alkyl,

-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

$$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl},$$
$$-(\text{CR}_{\text{C-x}}\text{R}_{\text{C-y}})_{0-4}-\text{R}_{\text{C-heteroaryl}},$$

30

$$-(\text{CR}_{\text{C}-x}\text{R}_{\text{C}-y})_{0-4}-\text{R}_{\text{C}}\text{-heterocycle, or}$$

-cyclopentyl or -cyclohexyl ring fused to R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-</sub>

160. A method of treatment according to claim 159 where:

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where  $R_1$  is:

$-(CH_2)-(R_{1-aryl})$ , or

$-(CH_2)-(R_{1-heteroaryl})$ ;

where  $R_2$  is  $-H$ ;

where  $R_3$  is  $-H$ ;

where  $R_N$  is:

$R_{N-1}-X_N$  where  $X_N$  is:

$-CO-$ ,

where  $R_{N-1}$  is selected from the group consisting of:

$-R_{N-aryl}$ , and

$-R_{N-heteroaryl}$ ;

where  $R_C$  is:

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$ ,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$ ,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$ , or

$-cyclopentyl$  or  $-cyclohexyl$  ring fused to a  $R_{C-aryl}$  or  $R_{C-heteroaryl}$  or  $R_{C-}$

heterocycle.

161. A method of treatment according to claim 160 where  $R_C$  is:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$ ,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$ , or

$-cyclopentyl$  or  $-cyclohexyl$  ring fused to a  $R_{C-aryl}$  or  $R_{C-heteroaryl}$  or  $R_{C-}$

heterocycle.

25

162. A method of treatment according to claim 145 where  $R_1$  is:

$-(CH_2)-(R_{1-aryl})$  where  $R_{1-aryl}$  is phenyl.

163. A method of treatment according to claim 162 where  $R_1$  is:

30

$-(CH_2)-(R_{1-aryl})$  where  $R_{1-aryl}$  is phenyl substituted with two  $-F$ .

164. A method of treatment according to claim 163 where the  $-F$  substitution is 3,5-difluorobenzyl.

165. A method of treatment according to claim 145 where  $R_2$  is -H.

166. A method of treatment according to claim 145 where  $R_3$  is -H.

5 167. A method of treatment according to claim 145 where  $R_N$  is  
 $R_{N-1}-X_N-$  where  $X_N$  is -CO-, where  $R_{N-1}$  is  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl  
substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on phenyl is 1,3-.

10 168. A method of treatment according to claim 167 where  $R_{N-2}$  and  $R_{N-3}$  are the same  
and are C<sub>3</sub> alkyl.

169. A method of treatment according to claim 145 where  $R_N$  is  
 $R_{N-1}-X_N-$  where  $X_N$  is -CO-, where  $R_{N-1}$  is  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl  
substituted with one C<sub>1</sub> alkyl and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on  
15 the phenyl is 1,3,5-.

170. A method of treatment according to claim 169 where  $R_{N-2}$  and  $R_{N-3}$  are the same  
and are C<sub>3</sub> alkyl.

20 171. A method of treatment according to claim 145 where  $R_N$  is  
 $R_{N-1}-X_N-$  where  $X_N$  is -CO-, where  $R_{N-1}$  is  $R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is  
substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub>.

25 172. A method of treatment according to claim 171 where  $R_{N-2}$  and  $R_{N-3}$  are the same  
and are -C<sub>3</sub> alkyl.

173. A method of treatment according to claim 145 where  $R_C$  is:  
-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub> is phenyl,  
-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>, or  
30 -cyclopentyl or -cyclohexyl ring fused to a R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub>.

174. A method of treatment according to claim 173 where  $R_C$  is:  
-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub> is phenyl.

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175. A method of treatment according to claim 174 where phenyl is substituted in the 3-position or 3,5-positions.

176. A method of treatment according to claim 173 where  $R_C$  is:

5  $-(CH_2)-R_{C-heteroaryl}$ .

177. A method of treatment according to claim 173 where  $R_C$  is:

$-(CH_2)-R_{C-heterocycle}$ .

10 178. A method of treatment according to claim 173 where  $R_C$  is:

-cyclohexyl ring fused to a phenyl ring.

179. A method of treatment according to claim 145 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids  
 15 acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsyllic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycolylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic,  
 20 methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

25 180. A method of treatment according to claim 145 where the substituted amine (X) is selected from the group consisting of:

$N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$

30  $N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(2\text{-furylmethyl})\text{amino}]-2\text{-hydroxypropyl}\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$

$N^1-[(1S,2R)-1\text{-benzyl-3-(ethylamino)-2-hydroxypropyl}]-N^3,N^3\text{-dipropylisophthalamide,}$



$N^1$ -[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl]- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- $N^3,N^3$ -dipropylisophthalamide,

ethyl {[3-(3-[(dipropylamino)carbonyl]benzoyl)amino]-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

$N^1$ -[(1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl]- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -[(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl]- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidiny)ethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl)-  
 $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[4-pyridinylmethyl]amino}propyl)-  
 $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-  
 pyrrolidinyl)ethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-3-{(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-  
 $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-  
 (trifluoromethoxy)benzyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-3-{(2-chloro-6-phenoxybenzyl)amino]-2-  
 hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[4-  
 (trifluoromethyl)benzyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -((1S,2R)-1-benzyl-3-{(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-  
 $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-3-{(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-  
 $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -((1S,2R)-1-benzyl-3-{(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-  
 $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[4-  
 (trifluoromethoxy)benzyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-{[2-[4-(aminosulfonyl)phenyl]ethyl]amino]-1-benzyl-2-  
 hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[4-methoxybenzyl]amino]propyl)- $N^3,N^3$ -  
 dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[4-methylbenzyl]amino]propyl)- $N^3,N^3$ -  
 dipropylisophthalamide,

30  $N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{(3,4,5-trimethoxybenzyl)amino]propyl}-  
 $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}  
 propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-3-{(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-  
 $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-  
 $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(1,1'-biphenyl)-3-ylmethyl]amino]-2-  
hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-  
 $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -  
dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}  
10 propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}- $N^3,N^3$ -  
dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propyl)-  
 $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propyl)-  
 $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-  
hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino}  
20 propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-  
naphthyl)ethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-  
naphthyl)ethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-  
methoxybenzyl)amino]propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl)-  
 $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl)- $N^3,N^3$ -  
30 dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-  
methylethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-  
methylethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-

30 dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-phenylpropyl)amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1-((1S,2R)-1-(3,5\text{-difluorobenzyl})-3-\{[(1S)-2\text{-(ethylamino)}-1\text{-methyl-2-oxoethyl}]amino\}-2\text{-hydroxypropyl})-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
 $N^1-((1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}\{[(1S)-2\text{-(isobutylamino)}-2\text{-oxo-1-phenylethyl}]amino\}propyl)-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
5  $N^1-[(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-(isopentylamino)propyl}]-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
 $N^1-[(1S,2R)-3\text{-(cyclohexylamino)}-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxypropyl}]-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
 $N^1-[(1S,2R)-3\text{-(butylamino)}-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxypropyl}]-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
10  $N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(3\text{-methoxypropyl})amino]propyl\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
 $N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(2\text{-hydroxy-2-phenylethyl})amino]propyl\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
15  $N^1-((1S,2R)-1-(3,5\text{-difluorobenzyl})-3-\{[(3R,5S)-3,5\text{-dimethoxycyclohexyl}]amino\}-2\text{-hydroxypropyl})-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
 $\text{dimethyl } (1R,3S)-5-\{[(2R,3S)-4\text{-(3,5-difluorophenyl)}-3-\{(3-[(dipropylamino)carbonyl]-5\text{-methylbenzoyl})amino\}-2\text{-hydroxybutyl})amino\}-1,3\text{-cyclohexanedicarboxylate,}$   
20  $(1R,3S)-5-\{[(2R,3S)-4\text{-(3,5-difluorophenyl)}-3-\{(3-[(dipropylamino)carbonyl]-5\text{-methylbenzoyl})amino\}-2\text{-hydroxybutyl})amino\}-1,3\text{-cyclohexanedicarboxylic acid,}$   
 $N^1-((1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}\{[(1R)-1\text{-phenylpropyl}]amino\}propyl)-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
25  $N^1-[(1S,2R)-3-\{(3\text{-chlorobenzyl})amino\}]-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxypropyl}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
 $N-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(3\text{-methoxybenzyl})amino]propyl\}-3-\{(2\text{-propylpentyl})sulfonyl\}benzamide,$   
 $N^1-[(1S,2R)-3-\{[(1,1'\text{-biphenyl})-3\text{-ylmethyl}]amino\}]-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxypropyl}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
30  $N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(3\text{-iodobenzyl})amino]propyl\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
 $N^1-\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-}[(3\text{-methylbenzyl})amino]propyl\}-5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$



- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(trifluoromethoxy)benzyl]amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro- $N^3,N^3$ -dipropylisophthalamide,

10  $N^3,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro- $N^3,N^3$ -dipropylisophthalamide,

$N^2$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^5,N^5$ -dipropyl-2,5-thiophenedicarboxamide,

15  $N^4$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^2,N^2$ -dipropyl-2,4-pyridinedicarboxamide,

$N^4$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^6,N^6$ -dipropyl-4,6-pyrimidinedicarboxamide,

20  $N$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-morpholinylcarbonyl)benzamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,

25  $N^1$ -[(1S,2R)-3-([(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-([(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

5 N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,  
3-benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,  
N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>-(2-methoxyethyl)-N<sup>3</sup>-propylisophthalamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide,  
10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-  
20 N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-3-{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
25 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-  
30 hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl]- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2R)-1-benzyl-3-[[3-(difluoromethoxy)benzyl]amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-[(5-methyl-2-pyrazinyl)methyl]amino]propyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-methyl-1,3-thiazol-2-yl)methyl]amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^3$ -methyl- $N^3$ -propylisophthalamide,

25  $N^2$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^5,N^5$ -dipropyl-2,5-furandicarboxamide,

$N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

30  $N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

Sub  
A1

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$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide, isomer A,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide, isomer B,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide,

3-(aminosulfonyl)-N-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-cyanobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chloro-3-nitrobenzamide,

methyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-nitrobenzoate,

tert-butyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]phenylcarbamate,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthracenylcarboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-fluoro-5-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(butylamino)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-(trifluoromethoxy)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dimethoxybenzamide,

5 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dimethylbenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-difluorobenzamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3,5-dichlorobenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-(benzyloxy)benzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1,3-benzodioxole-5-carboxamide,

15 3-(acetylamino)-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)benzamide,

4-(acetylamino)-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)benzamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[3-(3,5-dimethyl-4-isoxazolyl)methyl]amino]-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-(3-methylphenyl)ethyl]amino]propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[[2-chloro-5-(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15 5-chloro- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl)- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[[1R)-1-(3-bromophenyl)ethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N^1$ -((1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N$ -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[butyl(butyryl)amino]-5-methylbenzamide,  
25  $N^1$ -{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^3$ -{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- $N^1,N^1$ -dipropylisophthalamide,  
 $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30  $N$ -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1-butyl-1H-indole-6-carboxamide,  
 $N^1$ -[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

5-bromo-N<sup>1</sup>-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-4-methylpentanamide,

5 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylpentanamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-cyano-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide hydrochloride,

N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

1 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate,

15 5-(aminosulfonyl)-N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

20 N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(methylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(dimethylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-3-(methylsulfonyl)propanamide,

25 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(methylsulfonyl)propanamide,

2-amino-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1,3-thiazole-4-carboxamide,

30 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(methylsulfonyl)pentanamide,

N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>4</sup>-phenylsuccinamide,

(3R)-N<sup>4</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2,2,3-trimethylbutanediamide,



N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-  
[(dipropylamino)sulfonyl]propanamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-  
dipropylpentanediamide,

5 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-oxo-  
4-(1-piperidinyl)butanamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-  
N<sup>4</sup>,N<sup>4</sup>-dipropylsuccinamide,

Sub  
A<sup>1</sup>  
10 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-oxo-  
5-(1-piperidinyl)pentanamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>-  
phenylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,3-  
dimethyl-4-oxo-4-(1-piperidinyl)butanamide,

15 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-  
(isopentylsulfonyl)butanamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2-  
dimethyl-N<sup>4</sup>,N<sup>4</sup>-dipropylsuccinamide,

20 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-  
[(dipropylamino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-  
[(methylanilino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-  
[(methylanilino)sulfonyl]propanamide,

25 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl}acetamide, N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl}-3-(isopentylsulfonyl)propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

30 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-  
piperidinyl)pentanamide and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano- $N^3$ -propylisophthalamide,

10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dimethyl- $N^5,N^5$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

15  $N^1$ -[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3$ -propyl-1,3,5-benzenetricarboxamide,

20  $N$ -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide,

$N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

$N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

30  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl} octanamide,

$N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl)amino]propyl]- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

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$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

10  $N$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylbenzamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1,N^1$ -diallyl-5-chloro- $N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]isophthalamide,

$N^1,N^1$ -diallyl-5-chloro- $N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]isophthalamide,

20  $N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl]- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[[3-(dimethylamino)benzyl]amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[[4,5-dimethyl-2-furyl)methyl]amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]- $N^5,N^5$ -dipropylpentanediamide,

$N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methyl-2-furyl)methyl]amino}propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2S)-tetrahydro-2-furanylmethyl]amino}propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5-chloro- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- $N^3,N^3$ -di(2-propynyl)isophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,  
methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,  
25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30 (1R,2R)- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- $N^2,N^2$ -dipropyl-1,2-cyclopropanedicarboxamide,  
 $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5 4-(butylamino)- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,  
 $N^1$ -[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^3$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-  
10  $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide 1-oxide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
25  $N^1$ -[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30  $N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,

*Sub A1*

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{1-(2-furyl)-1-methylethyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-5-isoxazolyl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

5 ~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isobutyl-1,3-thiazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,~~

10 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{2-(2-chlorophenyl)ethyl}amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{3-(2-oxo-1-pyrrolidiny)propyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

15 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

20 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-benzyl-3-{{2-[(2-ethylhexyl)oxy]ethyl}amino}-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

25 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{1-(4-hydroxyphenyl)ethyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

30 ~~N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-[[1,1'-biphenyl]-2-ylmethyl]amino)-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-(dimethylamino)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-1-naphthamide,

5 N<sup>1</sup>-[(1S,2R)-1-benzyl-3-({2-[(5-[(dimethylamino)methyl]-2-furyl)methyl]sulfanyl}ethyl)amino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-[(1S,2R)-3-([(1,1'-biphenyl)-4-ylmethyl]amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-[(1S,2R)-3-[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-1-naphthyl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-oxo-4H-chromen-3-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(5-cyano-6-(methylsulfanyl)-2-pyridinyl)methyl]amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,  
 N<sup>1</sup>-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 5 methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,  
 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 10 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-methyl-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-[(1S,2R)-3-({[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 15 N<sup>1</sup>-[(1S,2R)-3-({[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 20 N<sup>1</sup>-[(1S,2R)-3-({[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 25 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-quinolinylmethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-quinolinylmethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-methyl-1H-indol-2-yl]methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 30 N<sup>1</sup>-[(1S,2R)-3-({[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,



$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl)methyl]amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[(2-butyl-1H-imidazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 methyl 3-[(2R,3S)-4-(3,5-difluorophenyl)-3-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl)amino]-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,  
 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-prop-1-ynylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propyl)-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-(8-quinoliny)isophthalamide,  
 $N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy- $N^5,N^5$ -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,  
 $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,  
 $N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,  
 $N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]- $N^5,N^5$ -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

$N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-  
 [(dimethylamino)sulfonyl]- $N^5$ , $N^5$ -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3$ , $N^3$ -  
 dipropyl-5-(3-thienyl)isophthalamide,  
 5  $N$ -{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,  
 $N^1$ -(4-hydroxybutyl)- $N^3$ -{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-  
 methoxybenzyl)amino]propyl}-5-methyl- $N^1$ -propylisophthalamide,  
 $N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-  
 10 methoxybenzyl)amino]propyl}- $N^3$ -(3-hydroxypropyl)-5-methyl- $N^3$ -  
 propylisophthalamide,  
 $N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-  
 methoxybenzyl)amino]propyl}-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-3-[[3-(2,4-dimethylphenyl)propyl]amino]-2-  
 15 hydroxypropyl}-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[[3-(4-  
 methylphenyl)propyl]amino]propyl}-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
 methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
 20  $N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-  
 dioxo-2-propyl-5-isoindolinecarboxamide,  
 $N$ -{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-  
 bromo-5-methylbenzamide,  
 25 3-bromo- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 methoxybenzyl)amino]propyl}-5-methylbenzamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-  
 methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
 methoxybenzyl)amino]propyl}-4-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
 30  $N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-  
 methyl- $N^1$ , $N^1$ -dipropylisophthalamide,  
 $N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-  
 furyl)-5-methylbenzamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,

3'-Acetyl-N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl[1,1'-biphenyl]-3-carboxamide,

5 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl[1,1'-biphenyl]-3-carboxamide,

10 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-thienyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(3-thienyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-3-methyl-5-(3-thienyl)benzamide,

15 N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-methyl-3-(3-thienyl)benzamide,

N<sup>1</sup>-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>,N<sup>5</sup>,N<sup>5</sup>-tetrapropylbenzene-1,3,5-tricarboxamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylbenzene-1,3,5-tricarboxamide,

Ethyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)amino]carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

25 N<sup>1</sup>-((1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylbenzene-1,3,5-tricarboxamide,

N<sup>1</sup>-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(trifluoromethyl)sulfonyl]amino]isophthalamide,

5-Amino-N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide,

N<sup>1</sup>-((1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

~~N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,~~

5 ~~N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

10 ~~N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide.~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,~~

~~tert-butyl (2R,3S)-3-[(3-[(dipropylamino)sulfonyl]-propanoyl)amino]-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate~~

15 ~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide,~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide,~~

20 ~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide,~~

~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide,~~

25 ~~N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

30 ~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(trifluoromethyl)sulfonyl]amino}isophthalamide,~~

~~3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)amino]carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,~~

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ , $N^3$ -dipropyl-5-prop-1-ynylisophthalamide,  
 $N$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide,  
5  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy- $N^3$ -methylisophthalamide,  
 $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide,  
10 5-(aminosulfonyl)- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide,  
 $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,  
15  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)- $N^3$ , $N^3$ -dipropylisophthalamide,  
20  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3$ , $N^3$ -dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,  
3-(cyclohexylcarbonyl)- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3$ -propylisophthalamide,  
25 3-[cyclohexyl(hydroxy)methyl]- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)- $N^3$ , $N^3$ -dipropylisophthalamide  
30  $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^5$ , $N^5$ -dipropylpyridine-3,5-dicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,

$N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- $N^5$ , $N^5$ -dipropylpyridine-3,5-dicarboxamide,  
 $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}- $N^5$ , $N^5$ -dipropylpyridine-3,5-dicarboxamide,  
5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(4-hydroxybut-1-ynyl)benzyl]amino]propyl}-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
1-3-[[{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}amino]carbonyl]-5-methylbenzoyl}-L-prolinamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isopropyl-5-methylisophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl- $N^3$ ,5-dimethylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ ,5-dimethyl- $N^3$ -prop-2-ynylisophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isobutyl-5-methylisophthalamide,  
 $N^1$ -(sec-butyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,  
 $N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,  
20  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ , $N^3$ -diethyl-5-methylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ ,5-dimethyl- $N^3$ -propylisophthalamide,  
25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isopropyl- $N^3$ ,5-dimethylisophthalamide,  
 $N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ ,5-dimethylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isobutyl- $N^3$ ,5-dimethylisophthalamide,  
30  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl-5-methyl- $N^3$ -propylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl- $N^3$ -isopropyl-5-methylisophthalamide,

$N^1, N^1$ -diallyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide

5  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

$N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3, N^3$ -diisopropyl-5-methylisophthalamide,

$N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ -ethyl-5-methylisophthalamide,

$N^1$ -(cyclopropylmethyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^1$ -propylisophthalamide,

15 1-{3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino}carbonyl]-5-methylbenzoyl}-D-prolinamide,

$N^1$ -cyclohexyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1, 5$ -dimethylisophthalamide,

20  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(3-methylphenyl)cyclopropyl]amino]propyl}-5-methyl- $N^3, N^3$ -dipropylisophthalamide,

$N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]- $N^5, N^5$ -diisopropylpyridine-3,5-dicarboxamide, and

25  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[[trifluoromethyl)sulfonyl]amino}benzamide.

181. A method of treatment according to claim 180 where the substituted amine (X) is selected from the group consisting of:

30  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3, N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl- $N^3, N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3, N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -{(1S,2R)-1-benzyl-3-[(1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,



~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-3-({(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-3-({(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

(1R,3S)-5-((2R,3S)-4-(3,5-difluorophenyl)-3-((3-((dipropylamino)carbonyl)-5-methylbenzoyl)amino)-2-hydroxybutyl)amino)-1,3-cyclohexanedicarboxylic acid,

~~N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-  
20 thienylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-(1*S*,2*R*)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(trifluoromethyl)benzyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-  
30 tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-(trifluoromethoxy)benzyl)amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy- $N^3,N^3$ -dipropylisophthalamide  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-  
10  $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro- $N^3,N^3$ -dipropylisophthalamide,  
 $N^3$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
15  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,  
20  $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,  
25  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- $N^3$ -(2-methoxyethyl)- $N^3$ -propylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30  $N^1$ -{(1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)- $N^3,N^3$ -dipropylisophthalamide,

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~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{4-fluoro-3-(trifluoromethyl)benzyl}amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{4-chloro-3-(trifluoromethyl)benzyl}amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

5 ~~N<sup>1</sup>-((1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{3-(difluoromethoxy)benzyl}amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

10 ~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

15 ~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

20 ~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>-methyl-N<sup>3</sup>-propylisophthalamide,~~

~~N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(trifluoromethyl)benzyl}amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,~~

25 ~~N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,~~

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide, isomer B,~~

30 ~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-(3-methylphenyl)ethyl]amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[[2-chloro-5-(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5-chloro- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[[1-(1R)-1-(3-bromophenyl)ethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano- $N^3,N^3$ -dipropylisophthalamide hydrochloride,  
25  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,  
5-(aminosulfonyl)- $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,  
30  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]- $N^3,N^3$ -dipropylisophthalamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-  
[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl)-5-oxo-5-(1-piperidinyl)pentanamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-  
ethyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-tert-  
butyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-  
cyano-N<sup>3</sup>-propylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl)-1-propyl-1H-indole-6-carboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-  
hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>3</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-  
(trifluoromethyl)phenyl]ethyl}amino)propyl]-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-  
pyridinedicarboxamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R,2S)-2-hydroxy-2,3-  
dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-  
ylamino]-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5-chloro-N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-  
phenylethyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-bis(2-methoxyethyl)isophthalamide,

30 N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-  
phenylcyclopentyl)amino]propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[3-(dimethylamino)benzyl]amino]-2-  
hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-(((4,5-dimethyl-2-furyl)methyl)amino)-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1-phenylcyclopentyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-iodobenzyl)amino)propyl)- $N^5,N^5$ -dipropylpentanediamide,

$N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1-phenylcyclopropyl)amino)propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((2S)-tetrahydro-2-furanylmethyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-isopropenylbenzyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((2-propoxyethyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-iodobenzyl)amino)propyl)-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

20 methyl 4-(((2R,3S)-4-(3,5-difluorophenyl)-3-((3-((dipropylamino)carbonyl)-5-methylbenzoyl)amino)-2-hydroxybutyl)amino)methyl)benzoate,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((2-methoxyethyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((5-isoxazolylmethyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

(1R,2R)- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-iodobenzyl)amino)propyl)- $N^2,N^2$ -dipropyl-1,2-cyclopropanedicarboxamide,

$N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((2S)-tetrahydro-2-furanylmethyl)amino)propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

30  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((2-methoxybenzyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-isopropylbenzyl)amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^3$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-  
 $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide 1-oxide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-  
ylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-  
hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-  
10 yl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-  
yl)methyl]amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-  
ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl}-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-  
methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-  
20 furyl)methyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-  
phenylethyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[[1-(2-furyl)-1-methylethyl]amino]-2-  
hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-5-  
isoxazolyl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-isobutyl-1,3-thiazol-5-  
yl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
30 hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,  
 $N^1$ -[(1S,2R)-3-[[1,1'-biphenyl]-4-ylmethyl]amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-  
ylmethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,



$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-phenyl-1H-imidazol-5-yl)methyl}amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-{{(2-butyl-4-chloro-1H-imidazol-5-yl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

$N^1$ -[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10 methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-pyrrol-2-yl)methyl}amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15

$N^1$ -[(1S,2R)-3-{{(5-chloro-2-thienyl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-indol-2-yl)methyl}amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -[(1S,2R)-3-{{(1-benzyl-1H-indol-3-yl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-indol-3-yl)methyl}amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-{{(2-butyl-1H-imidazol-5-yl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
25 methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-(cyanomethyl)- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-(hydroxymethyl)- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-ethynyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-prop-1-ynylisophthalamide,

$N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy- $N^5,N^5$ -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride,

5  $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

$N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

10  $N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]- $N^5,N^5$ -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

$N^3$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]- $N^5,N^5$ -dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

$N$ -{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

15  $N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- $N^3$ -(3-hydroxypropyl)-5-methyl- $N^3$ -propylisophthalamide,

$N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- $N^3,N^3$ -dipropylisophthalamide,

25  $N^1$ -{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3,N^5,N^5$ -tetrapropylbenzene-1,3,5-tricarboxamide,

$N^1$ -{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylbenzene-1,3,5-tricarboxamide,

ethyl 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-

30 [(dipropylamino)carbonyl]benzoate,

$N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-5-[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-amino-N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

Sub  
Al  
10 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

15 3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-ethylhexanamide,

20 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(isobutylsulfonyl)amino]propanamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>-(isobutylsulfonyl)-beta-alaninamide,

5-bromo-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide, and

25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[[trifluoromethyl)sulfonyl]amino]isophthalamide,

3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)amino]carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ , $N^3$ -dipropyl-5-prop-1-ynylisophthalamide,  
 $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,  
5  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3$ , $N^3$ -dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3$ -propylisophthalamide,  
 $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^5$ , $N^5$ -dipropylpyridine-3,5-dicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
15  $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- $N^5$ , $N^5$ -dipropylpyridine-3,5-dicarboxamide,  
 $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}- $N^5$ , $N^5$ -dipropylpyridine-3,5-dicarboxamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(4-hydroxybut-1-ynyl)benzyl)amino]propyl)-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,  
20 1-3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino)carbonyl]-5-methylbenzoyl)-L-prolinamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isopropyl-5-methylisophthalamide,  
25  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl- $N^3$ ,5-dimethylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ ,5-dimethyl- $N^3$ -prop-2-ynylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isobutyl-5-methylisophthalamide,  
30  $N^1$ -(sec-butyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,  
 $N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -diethyl-5-methylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ ,5-dimethyl- $N^3$ -propylisophthalamide,  
5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isopropyl- $N^3$ ,5-dimethylisophthalamide,  
 $N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ ,5-dimethylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -isobutyl- $N^3$ ,5-dimethylisophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl-5-methyl- $N^3$ -propylisophthalamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3$ -ethyl- $N^3$ -isopropyl-5-methylisophthalamide,  
15  $N^1,N^1$ -diallyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,  
3-(azepan-1-ylcarbonyl)- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide  
 $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,  
20  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,  
 $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -diisopropyl-5-methylisophthalamide,  
25  $N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ -ethyl-5-methylisophthalamide,  
 $N^1$ -(cyclopropylmethyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^1$ -propylisophthalamide,  
 $N^1$ -cyclohexyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ ,5-dimethylisophthalamide,  
30  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(3-methylphenyl)cyclopropyl]amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
and

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[[trifluoromethyl)sulfonyl]amino}benzamide.

182. A method of treatment according to claim 145 where the substituted amine (X) is selected from the group consisting of:

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylpentanoyl)-5-methylbenzamide,

10 N-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylbutanoyl)-5-methylbenzamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-(2-propylpentanoyl)isophthalamide,

N-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-(2-ethylpentanoyl)-5-methylbenzamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-(2-propylpentanoyl)isophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-(2-propylpentanoyl)isophthalamide,

25 N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-methyl-5-(2-propylpentanoyl)benzamide,

30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(3-pyridinyl)benzyl]amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[3-(4-pyridinyl)benzyl]amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(1-propynyl)isophthalamide,

N<sup>1</sup>-(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(1-propynyl)isophthalamide,

5 N<sup>1</sup>-{(1*S*,2*R*)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl} - N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(2-propynyl)isophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(2-propynyl)isophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-  
10 methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-N<sup>3</sup>,N<sup>3</sup>-  
dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 methyl- N<sup>1</sup>,N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-  
N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

25 N<sup>1</sup>-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

~~N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-  
N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,~~

~~2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-  
[(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythro-pentitol,~~

5 ~~N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S)-1-((1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl)-3-  
methylbutyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-  
10 dipropyl-1,3,5-benzenetricarboxamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

15 ~~N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-  
naphthylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-N<sup>3</sup>,N<sup>3</sup>-  
dipropyl-1,3,5-benzenetricarboxamide,~~

~~N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-  
20 methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-  
methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

~~N<sup>1</sup>-((1S)-1-((1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl)-3-butynyl)-  
5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

25 ~~N<sup>1</sup>-((1S)-1-((1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl)-3-butynyl)-  
N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,~~

~~5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-  
methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythro-pentitol,~~

~~N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-  
30 methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,~~



$N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

5  $N^1$ -{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

10  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-methylbutyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

20  $N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

25  $N^1$ -{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

30  $N^1$ -{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

5  $N^1$ -[(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

10  $N^1$ -[(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

15  $N^1$ -[(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

20  $N^1$ -[(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-methylbutyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

25  $N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

30  $N^1$ -[(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

- $N^1$ -{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10  $N^1$ -{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N$ -{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,  
 $N^1$ -{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^5,N^5$ -dipropylpentanediamide,  
25 3-[(dipropylamino)sulfonyl]- $N$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,  
 $N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- $N^5,N^5$ -dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]- $N$ -{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,  
30

N<sup>1</sup>-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]propanamide,

5 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]propanamide,

10 N<sup>1</sup>-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]propanamide,

N<sup>1</sup>-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
15 3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
20 3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]propanamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(2R)-1-ethylpyrrolidinyl]carbonyl]-5-methylbenzamide,

25 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(2S)-1-ethylpyrrolidinyl]carbonyl]-5-methylbenzamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

N<sup>1</sup>-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-  
2-hydroxyethyl)-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

(1R,2R,3R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2,3-cyclopropanetricarboxamide,

10 (1R,2R,3R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,

~~(1R,2R,3R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,~~

15 (1R,2R,3S)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide.

(1R,2R,3S)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl-N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-

20 cyclopropanedicarboxamide,  
(1R,2R,3S)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3S)-3-(2-amino-2-oxoethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-

25 cyclopropanedicarboxamide,  
(1R,2R,3R)-3-(2-amino-2-oxoethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-methoxybenzyl)amino)propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide.

(1R,2R,3R)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1S,2R,3R)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3S)-N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

10 (1S,2R,3R)-N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

(1S,2R,3S)-N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[[[(trifluoromethyl)sulfonyl]amino]isophthalamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[[[(trifluoromethyl)sulfonyl]amino]isophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[[[(trifluoromethyl)sulfonyl]amino]isophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-{methyl[(trifluoromethyl)sulfonyl]amino}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-{methyl[(trifluoromethyl)sulfonyl]amino}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

20 N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

- $N^1$ -[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)- $N^3,N^3$ -dipropylisophthalamide,  
5  $N^1$ -[(1S,2R)-3-[(3-(diethylamino)benzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(4-morpholinyl)benzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(1-piperazinyl)benzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10  $N^1$ -[(1S,2R)-3-[(3-(aminosulfonyl)benzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-[(dimethylamino)sulfonyl]benzyl)amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(1-piperidinylsulfonyl)benzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(methylsulfonyl)benzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
20  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(isopropylsulfonyl)benzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-[(3-(aminocarbonyl)benzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-[(dimethylamino)carbonyl]benzyl)amino]-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
25  $N^1$ -[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
3-[(3-[(2R,3S)-4-(3,5-difluorophenyl)-3-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl)amino]-2-hydroxybutyl]amino)methyl]phenylcarbamate,  
30



3-(((2R,3S)-4-(3,5-difluorophenyl)-3-((3-((dipropylamino)carbonyl)-5-methylbenzoyl)amino)-2-hydroxybutyl)amino)methyl)phenyl dimethylcarbamate,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1*S*,2*R*)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(2-propynyl)benzyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

~~N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,~~

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(5-ethyl-1,3,4-thiadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-thiadiazol-2-yl) methyl]amino} propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(3-ethyl-1,2,4-thiadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(3-isobutyl-1,2,4-  
thiadiazol-5-yl) methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(3-isobutyl-1,2,4-oxadiazol-5-yl) methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{3-(3-ethyl-1,2,4-oxadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-(((2-ethyl-1,3-oxazol-5-yl)methyl]amino)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((2-isobutyl-1,3-oxazol-5-yl)methyl)amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(((5-isobutyl-1,3,4-oxadiazol-2-yl)methyl)amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(5-ethyl-1,3,4-thiadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(5-ethyl-1,3,4-oxadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(3-ethyl-1,2,4-oxadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(3-ethyl-1,2,4-thiadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethyl-2H-tetraazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isobutyl-2H-tetraazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethyl-4-pyrimidinyl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isopropyl-4-pyrimidinyl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethynyl-4-pyrimidinyl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(6-isopropyl-4-pyrimidinyl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(6-(dimethylamino)-4-pyrimidinyl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-

dipropylisophthalamide,

$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[4-isopropyl-2-pyrimidinyl]methyl}amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[4-ethyl-2-pyrimidinyl]methyl}amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[5-ethyl-3-pyridazinyl]methyl}amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -

15 dipropylisophthalamide,  
 $N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[5-isopropyl-3-pyridazinyl]methyl}amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-(1-propynyl)benzyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[6-isopropyl-4-pyridazinyl]methyl}amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[3-ethynylbenzyl]amino}-2-hydroxypropyl}- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

25  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[6-ethyl-4-pyridazinyl]methyl}amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^3$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-isopropylbenzyl]amino}propyl)- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-[[6-ethyl-2-pyrazinyl)methyl]amino}-  
2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^3$ -{[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl]}- $N^5,N^5$ -dipropyl-3,5-pyridinedicarboxamide,

5  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[6-isopropyl-2-  
pyrazinyl)methyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-  
trifluorobenzyl)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

10  $N^1$ -((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-[[3-  
(trifluoromethyl)benzyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-[[3-  
(trifluoromethyl)benzyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-  
tetrafluorobenzyl)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1R,2S)-2-hydroxy-6-  
methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl- $N^3,N^3$ -  
dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1R,2S)-2-hydroxy-6-  
methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)- $N^3,N^3$ -dipropyl-1,3,5-  
20 benzenetricarboxamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-[[1R,2S)-6-ethyl-2-hydroxy-2,3-  
dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -  
dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-[[1R,2S)-6-ethyl-2-hydroxy-2,3-  
dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)- $N^3,N^3$ -dipropyl-1,3,5-  
25 benzenetricarboxamide,

$N^1$ -{[(1S,2R)-2-hydroxy-1-(1H-indol-5-yl)methyl]-3-[(3-  
methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-  
yl)methyl]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
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$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

5  $N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

10  $N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

15  $N^1$ -[(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

20  $N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

$N^1$ -[(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

25  $N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- $N^3,N^3$ -dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

5 N<sup>1</sup>-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

10 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

25 N<sup>1</sup>-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

~~N<sup>1</sup>-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
5 N<sup>1</sup>-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
20 N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
25 N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,~~

N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

5 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

10 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

30 N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,



N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-

5 pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

10 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

25 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

30 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

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N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N<sup>4</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-N<sup>3</sup>-methylisophthalamide,

10 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-N<sup>3</sup>-methylisophthalamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-N<sup>3</sup>-ethyl-4-hydroxyisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

30 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

20 N<sup>3</sup>-(cyclopropylmethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>3</sup>-(cyclopropylmethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,

30 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N<sup>1</sup>-{(1*S*,2*R*)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl}-4-hydroxy-N<sup>3</sup>-isobutylisophthalamide,

2-[[cyclopropylmethyl)sulfonyl]amino}-N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-1,3-oxazole-4-carboxamide,

10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-isobutyl-N<sup>3</sup>-methylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

~~N<sup>3</sup>-(cyclopropylmethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-methylisophthalamide,~~

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide.

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-methyl-N<sup>3</sup>-propylisophthalamide,

20 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N<sup>3</sup>-methyl-N<sup>3</sup>-propylisophthalamide,

25 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>-ethyl-4-hydroxy-N<sup>3</sup>-propylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((3-iodobenzyl)amino)propyl)-2-[[4-(4-methylphenyl)sulfonyl]amino]-1,3-oxazole-4-carboxamide,

N<sup>1</sup>-(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N3-ethyl-4-hydroxy-N<sup>3</sup>-propylisophthalamide,

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N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[[4-methylphenyl)sulfonyl]amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl)-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(methylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(ethylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>, N<sup>3</sup>-dipropyl-5-[(propylsulfonyl)amino]isophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(isopropylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(isobutylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-N<sup>3</sup>, N<sup>3</sup>-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-5-[(2-furylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

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$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]- $N^3,N^3$ -dipropylisophthalamide,

5  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide,

10  $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[[1-methyl-1H-imidazol-4-yl)sulfonyl]amino}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]- $N^3,N^3$ -dipropylisophthalamide,

15 5-[(5-cyanopyridin-2-yl)sulfonyl]amino}- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropylisophthalamide,

$N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^3,N^3$ -dipropyl-5-([5-(trifluoromethyl)pyridin-2-yl)sulfonyl]amino)isophthalamide,

20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[[1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-([5-(trifluoromethyl)pyridin-2-yl)sulfonyl]amino)benzamide,

25 3-[(5-cyanopyridin-2-yl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,

30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(isobutylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(isopropylsulfonyl)amino]benzamide,

5 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[[1-(1-ethylpropyl)sulfonyl]amino]benzamide,

3-[(cyclohexylsulfonyl)amino]-N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)benzamide,

10 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[[1-(1-propylbutyl)sulfonyl]amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(thien-2-ylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(2-furylsulfonyl)amino]benzamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(3-furylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(thien-3-ylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,

25 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl)-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,

30 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[[1-(trifluoromethyl)sulfonyl]amino]isophthalamide,

N<sup>1</sup>-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[[1-(trifluoromethyl)sulfonyl]amino]isophthalamide,

N<sup>1</sup>-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

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$N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-  
[(methylsulfonyl)amino]- $N^3$ , $N^3$ -dipropylisophthalamide,

$N^1$ -(tert-butyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-  
2-hydroxypropyl} isophthalamide,

5  $N^1$ -(tert-butyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-  
2-hydroxypropyl}-5-methylisophthalamide,

5-bromo- $N^1$ -(tert-butyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-  
ethylbenzyl)amino]-2-hydroxypropyl} isophthalamide,

3-tert-butoxy- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
10 hydroxypropyl} benzamide,

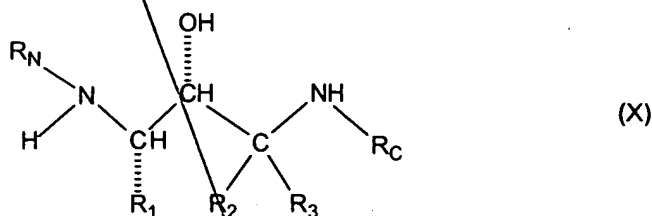
3-tert-butoxy- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl}-5-methylbenzamide,

$N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl}-3-[[trifluoromethyl)sulfonyl]amino} benzamide,

15  $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl}-3-(trifluoromethoxy)benzamide, and

$N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

20 183. A pharmaceutical composition which comprises a substituted amine of formula  
(X)



where  $R_1$  is:

25 (I)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three  
substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_7$  alkyl (optionally  
substituted with  $C_1$ - $C_3$  alkyl and  $C_1$ - $C_3$  alkoxy), -F, -Cl, -Br, -I, -OH,  
-SH, -C≡N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,  
and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

30 (II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-( $C_1$ - $C_6$  alkyl),

(III)  $-\text{CH}_2-\text{CH}_2-\text{S}(\text{O})_{0-2}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(IV)  $\text{C}_2-\text{C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are -H or  $\text{C}_1-\text{C}_6$  alkyl,

(V)  $\text{C}_2-\text{C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are -H or  $\text{C}_1-\text{C}_6$  alkyl,

(VI)  $-(\text{CH}_2)_{n_1}-(\text{R}_{1-\text{aryl}})$  where  $n_1$  is zero or one and where  $\text{R}_{1-\text{aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A)  $\text{C}_1-\text{C}_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy,

(B)  $\text{C}_2-\text{C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are -H or  $\text{C}_1-\text{C}_6$  alkyl,

(C)  $\text{C}_2-\text{C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are -H or  $\text{C}_1-\text{C}_6$  alkyl,

(D) -F, Cl, -Br or -I,

(F)  $-\text{C}_1-\text{C}_6$  alkoxy optionally substituted with one, two, or three of: -F,

(G)  $-\text{NR}_{N-2}\text{R}_{N-3}$  where  $\text{R}_{N-2}$  and  $\text{R}_{N-3}$  are as defined below,

(H) -OH,

(I)  $-\text{C}\equiv\text{N}$ ,

(J)  $\text{C}_3-\text{C}_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,

-CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where

R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,

indolizinyl,

indazolyl,

benzothiazolyl,

benzimidazolyl,

benzofuranyl,

furanyl,

thienyl,

pyrrolyl,

oxadiazolyl,

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thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoaxazinyl,  
benzisoaxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,

benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,

benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 5 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide,  
 benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring  
 10 atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to  
 the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is  
 optionally substituted with one, two, three, or four:

(1)  $C_1-C_6$  alkyl optionally substituted with one, two or three  
 substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH,  
 15 -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
 above,

(2)  $C_2-C_6$  alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of  
 -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  
 20 -H or  $C_1-C_6$  alkyl,

(3)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of  
 -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  
 -H or  $C_1-C_6$  alkyl,

25 (4) -F, Cl, -Br or -I,

(6)  $-C_1-C_6$  alkoxy optionally substituted with one, two, or three  
 of: -F,

(7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,

(8) -OH,

30 (9)  $-C\equiv N$ ,

(10)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two or  
 three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  
 $-CF_3$ ,  $C_1-C_3$  alkoxy,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero

5 R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and

R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

10 thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

15 pyrrolinyl,

tetrahydropyranyl,

piperidinyl,

tetrahydrofuranyl,

tetrahydrothienyl,

20 homopiperidinyl,

homomorpholinyl,

homothiomorpholinyl,

homothiomorpholinyl S,S-dioxide, and

oxazolidinonyl,

25 dihydropyrazolyl

dihydropyrrolyl

dihydropyrazinyl

dihydropyridinyl

dihydropyrimidinyl

30 dihydrofuryl

dihydropyranyl

tetrahydrothienyl S-oxide

tetrahydrothienyl S,S-dioxide

homothiomorpholinyl S-oxide

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where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_{1\text{-heterocycle}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

- 5 (1)  $C_1\text{-}C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- 10 (2)  $C_2\text{-}C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,
- (3)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group
- 15 consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,
- (4) -F, Cl, -Br or -I,
- (5)  $C_1\text{-}C_6$  alkoxy,
- (6)  $-C_1\text{-}C_6$  alkoxy optionally substituted with one, two,
- 20 or three of -F,
- (7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,
- (8) -OH,
- (9)  $-C\equiv N$ ,
- 25 (10)  $C_3\text{-}C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1\text{-}C_6$  alkyl,
- (11)  $-CO\text{-}(C_1\text{-}C_4\text{ alkyl})$ ,
- (12)  $-SO_2\text{-}NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined
- 30 above,
- (13)  $-CO\text{-}NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- (14)  $-SO_2\text{-}(C_1\text{-}C_4\text{ alkyl})$ , or



(15) =O, with the proviso that when  $n_1$  is zero

$R_{1\text{-heterocycle}}$  is not bonded to the carbon chain by nitrogen;

where  $R_2$  is:

(I)-H,

5 (II)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

(IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl, -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl,

15 (V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl, or

20 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-  $C_3$ - $C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl;

where  $R_3$  is:

(I)-H,

25 (II)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

(IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds,

(V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, or

(VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl, and where  $R_2$  and  $R_3$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-,  $-SO_2-$ , and  $-NR_{N-2}-$ , where  $R_{N-2}$  is as defined below;

where  $R_N$  is:

(I)  $R_{N-1}-X_N-$  where  $X_N$  is selected from the group consisting of:

(A)  $-CO-$ ,

(B)  $-SO_2-$ ,

(C)  $-(CR'R'')_{1-6}$  where  $R'$  and  $R''$  are the same or different and are -H or  $C_1-C_4$  alkyl,

(D)  $-CO-(CR'R'')_{1-6}-X_{N-1}$  where  $X_{N-1}$  is selected from the group consisting of -O-, -S- and  $-NR'$ - and where  $R'$  and  $R''$  are as defined above, and

(E) a single bond;

where  $R_{N-1}$  is selected from the group consisting of:

(A)  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2) -OH,

(3)  $-NO_2$ ,

(4) -F, -Cl, -Br, or -I,

(5)  $-CO-OH$ ,

(6)  $-C\equiv N$ ,

(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i)  $-OH$ , and

(ii)  $-NH_2$ ,

(c)  $-C_1-C_6$  alkyl optionally substituted with one to three  $-F$ ,  $-Cl$ ,  $-Br$ , or  $-I$ ,

(d)  $-C_3-C_7$  cycloalkyl,

(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,

(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

(g)  $-C_2-C_6$  alkenyl with one or two double bonds,

(h)  $-C_2-C_6$  alkynyl with one or two triple bonds,

(i)  $-C_1-C_6$  alkyl chain with one double bond and one triple bond,

(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,

(8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,

(9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$ ,

(10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$ ,

(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,

(12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined

above,

(13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as

defined above,

(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as

defined above,

(15)  $-(CH_2)_{0-4}-CO-R_{N4}$  where  $R_{N4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of:  $C_1-C_6$  alkyl,

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:

- (a)  $C_1-C_6$  alkyl,
- (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined
- (c)  $C_2-C_6$  alkenyl containing one or two double bonds,
- (d)  $C_2-C_6$  alkynyl containing one or two triple bonds,
- (e)  $C_3-C_7$  cycloalkyl, and
- (f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is -H or  $C_1-C_4$  alkyl,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

[illegible]

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defined above,

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optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

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optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

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$R_{N-2}$  can be the same or different and are as described above, or

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(B)  $-R_{N\text{-heteroaryl}}$  where  $R_{N\text{-heteroaryl}}$  is selected from the group

consisting of:

pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
pryidazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,

[illegible]

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pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyI,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothieryl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl

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Year	1954	1955	1956	1957	1958	1959	1960	1961	1962	1963	1964	1965	1966	1967	1968	1969	1970	1971	1972	1973	1974	1975	1976	1977	1978	1979	1980	1981	1982	1983	1984	1985	1986	1987	1988	1989	1990	1991	1992	1993	1994	1995	1996	1997	1998	1999	2000	2001	2002	2003	2004	2005	2006	2007	2008	2009	2010	2011	2012	2013	2014	2015	2016	2017	2018	2019	2020	2021	2022	2023	2024	2025	2026	2027	2028	2029	2030	2031	2032	2033	2034	2035	2036	2037	2038	2039	2040	2041	2042	2043	2044	2045	2046	2047	2048	2049	2050	2051	2052	2053	2054	2055	2056	2057	2058	2059	2060	2061	2062	2063	2064	2065	2066	2067	2068	2069	2070	2071	2072	2073	2074	2075	2076	2077	2078	2079	2080	2081	2082	2083	2084	2085	2086	2087	2088	2089	2090	2091	2092	2093	2094	2095	2096	2097	2098	2099	2100																										
Population	1,000,000	1,050,000	1,100,000	1,150,000	1,200,000	1,250,000	1,300,000	1,350,000	1,400,000	1,450,000	1,500,000	1,550,000	1,600,000	1,650,000	1,700,000	1,750,000	1,800,000	1,850,000	1,900,000	1,950,000	2,000,000	2,050,000	2,100,000	2,150,000	2,200,000	2,250,000	2,300,000	2,350,000	2,400,000	2,450,000	2,500,000	2,550,000	2,600,000	2,650,000	2,700,000	2,750,000	2,800,000	2,850,000	2,900,000	2,950,000	3,000,000	3,050,000	3,100,000	3,150,000	3,200,000	3,250,000	3,300,000	3,350,000	3,400,000	3,450,000	3,500,000	3,550,000	3,600,000	3,650,000	3,700,000	3,750,000	3,800,000	3,850,000	3,900,000	3,950,000	4,000,000	4,050,000	4,100,000	4,150,000	4,200,000	4,250,000	4,300,000	4,350,000	4,400,000	4,450,000	4,500,000	4,550,000	4,600,000	4,650,000	4,700,000	4,750,000	4,800,000	4,850,000	4,900,000	4,950,000	5,000,000	5,050,000	5,100,000	5,150,000	5,200,000	5,250,000	5,300,000	5,350,000	5,400,000	5,450,000	5,500,000	5,550,000	5,600,000	5,650,000	5,700,000	5,750,000	5,800,000	5,850,000	5,900,000	5,950,000	6,000,000	6,050,000	6,100,000	6,150,000	6,200,000	6,250,000	6,300,000	6,350,000	6,400,000	6,450,000	6,500,000	6,550,000	6,600,000	6,650,000	6,700,000	6,750,000	6,800,000	6,850,000	6,900,000	6,950,000	7,000,000	7,050,000	7,100,000	7,150,000	7,200,000	7,250,000	7,300,000	7,350,000	7,400,000	7,450,000	7,500,000	7,550,000	7,600,000	7,650,000	7,700,000	7,750,000	7,800,000	7,850,000	7,900,000	7,950,000	8,000,000	8,050,000	8,100,000	8,150,000	8,200,000	8,250,000	8,300,000	8,350,000	8,400,000	8,450,000	8,500,000	8,550,000	8,600,000	8,650,000	8,700,000	8,750,000	8,800,000	8,850,000	8,900,000	8,950,000	9,000,000	9,050,000	9,100,000	9,150,000	9,200,000	9,250,000	9,300,000	9,350,000	9,400,000	9,450,000	9,500,000	9,550,000	9,60

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purinyl,  
 benzodioxolyl,  
 triazinyl,  
 phenoxazinyl,  
 phenothiazinyl,  
 pteridinyl,  
 benzothiazolyl,  
 imidazopyridinyl,  
 imidazothiazolyl,  
 dihydrobenzisoaxazinyl,  
 benzisoxazinyl,  
 benzoxazinyl,  
 dihydrobenzisothiazinyl,  
 benzopyranlyl,  
 benzothiopyranlyl,  
 coumarinyl,  
 isocoumarinyl,  
 chromenyl,  
 chromanonyl, and  
 pyridinyl-N-oxide,  
 tetrahydroquinolinyl  
 dihydroquinolinyl  
 dihydroquinolinonyl  
 dihydroisoquinolinonyl  
 dihydrocoumarinyl  
 dihydroisocoumarinyl  
 isoindolinonyl  
 benzodioxanyl  
 benzoxazolinonyl  
 pyrrolyl N-oxide,  
 pyrimidinyl N-oxide,  
 pyridazinyl N-oxide,  
 pyrazinyl N-oxide,  
 quinolinyl N-oxide,

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indolyl N-oxide,  
 indoliny N-oxide,  
 isoquinolyl N-oxide,  
 quinazoliny N-oxide,  
 quinoxaliny N-oxide,  
 phthalaziny N-oxide,  
 imidazolyl N-oxide,  
 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 indoliziny N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide,  
 benzothiopyranyl S,S-dioxide,

where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of  
 the parent  $R_{N\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$   
 group replaces the hydrogen atom and its bond, where heteroaryl is optionally  
 substituted with one, two, three, or four of:

(1)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or  
 three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -  
 OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
 above,

- (2) -OH,  
 (3)  $-NO_2$ ,  
 (4) -F, -Cl, -Br, or -I  
 (5)  $-CO-OH$ ,  
 (6)  $-C\equiv N$ ,



(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii)  $-NH_2$ ,

(c)  $-C_1-C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d)  $-C_3-C_7$  cycloalkyl,

(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,

(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

(g)  $-C_2-C_6$  alkenyl with one or two double

bonds,

(h)  $-C_2-C_6$  alkynyl with one or two triple bonds,

(i)  $-C_1-C_6$  alkyl chain with one double bond and

one triple bond,

(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined

above,

(8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,

(9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three$

double bonds),

(10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or$

three triple bonds),

(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,

(12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined

above,

(13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as

defined above,

(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as

defined above,

(15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the

group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,

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homomorpholiny, homothiomorpholiny, homomorpholiny S-oxide,  
homothiomorpholiny S,S-dioxide, pyrroliny and pyrrolidiny where each group is  
optionally substituted with one, two, three, or four of: C<sub>1</sub>-C<sub>6</sub> alkyl,

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where R<sub>N-5</sub> is selected from

5 the group consisting of:

(a) C<sub>1</sub>-C<sub>6</sub> alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where R<sub>1-aryl</sub> is as defined

above,

(c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double

10 bonds,

(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple

bonds,

(e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where R<sub>1-heteroaryl</sub> is as

15 defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where R<sub>N-2</sub> and R<sub>N-3</sub> are

as defined above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

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(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where R<sub>N-5</sub> can

be the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where R<sub>N-5</sub>

can be the same or different and is as defined above,

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(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where R<sub>N-5</sub> can be the

same or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where R<sub>N-5</sub> and

R<sub>N-2</sub> can be the same or different and are as defined above,

(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where R<sub>N-2</sub> and R<sub>N-3</sub> can be the

30 same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where R<sub>N-4</sub> is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where R<sub>N-aryl-1</sub> is -H

or C<sub>1</sub>-C<sub>4</sub> alkyl,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined

above,

(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined

above,

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(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as

defined above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted}$

10 with one, two, three, four, or five of: -F),

(35)  $C_3-C_7$  cycloalkyl,

(36)  $C_2-C_6$  alkenyl with one or two double bonds

optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

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(37)  $C_2-C_6$  alkynyl with one or two triple bonds

optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, or

(38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and

$R_{N-2}$  can be the same or different and are as described above, or

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(39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(C)  $R_{N-aryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  is defined as above,

(D)  $R_{N-aryl}-W-R_{N-heteroaryl}$ , where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as

defined above,

(E)  $R_{N-aryl}-W-R_{N-1-heterocycle}$ , where  $R_{N-heterocycle}$  is defined as

25  $R_{1-heterocycle}$ , is defined above,

(F)  $R_{N-heteroaryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as

defined above,

(G)  $R_{N-heteroaryl}-W-R_{N-heteroaryl}$ , where  $R_{N-heteroaryl}$  is as defined

above,

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(H)  $R_{N-heteroaryl}-W-R_{N-1-heterocycle}$ , where  $R_{N-1-heterocycle}$  is as

defined as  $R_{1-heterocycle}$  is as defined above, and where  $R_{N-heteroaryl}$  is as defined above,

(I)  $R_{N-heterocycle}-W-R_{N-aryl}$ , where  $R_{N-heterocycle}$  is as defined as  $R_{1-}$

heterocycle is defined and where  $R_{N-aryl}$  are as defined above,

(J)  $R_{N\text{-heterocycle}}\text{-W-R}_{N\text{-heteroaryl}}$ , where  $R_{N\text{-heterocycle}}$  is as defined as  $R_{N\text{-heterocycle}}$  as defined above and  $R_{N\text{-heteroaryl}}$  are as defined above, and

(K)  $R_{N\text{-heterocycle}}\text{-W-R}_{N\text{-1-heterocycle}}$ , where  $R_{N\text{-heterocycle}}$  and  $R_{N\text{-heteroaryl}}$  are as defined above,

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where W is

- (21)  $\text{-(CH}_2\text{)}_{0-4}\text{-}$ ,
- (22)  $\text{-O-}$ ,
- (23)  $\text{-S(O)}_{0-2}\text{-}$ ,
- (24)  $\text{-N(R}_{N-5}\text{)-}$  where  $R_{N-5}$  is as defined above,

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or

- (25)  $\text{-CO-}_1$

(II)  $\text{-CO-(C}_1\text{-C}_{10}\text{ alkyl)}$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

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- (A)  $\text{-OH}$ ,
- (B)  $\text{-C}_1\text{-C}_6\text{ alkoxy}$ ,
- (C)  $\text{-C}_1\text{-C}_6\text{ thioalkoxy}$ ,
- (D)  $\text{-CO-O-R}_{N-8}$  where  $R_{N-8}$  is  $\text{-H}$ ,  $\text{C}_1\text{-C}_6\text{ alkyl}$  or  $\text{-phenyl}$ ,
- (E)  $\text{-CO-NR}_{N-2}\text{R}_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or

different and are as defined above,

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- (F)  $\text{-CO-R}_{N-4}$  where  $R_{N-4}$  is as defined above,
- (G)  $\text{-SO}_2\text{-(C}_1\text{-C}_8\text{ alkyl)}$ ,
- (H)  $\text{-SO}_2\text{-NR}_{N-2}\text{R}_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or

different and are as defined above,

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- (I)  $\text{-NH-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,
- (J)  $\text{-NH-CO-O-R}_{N-8}$  where  $R_{N-8}$  is as defined above,
- (K)  $\text{-NR}_{N-2}\text{R}_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different

and are as defined above,

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- (L)  $\text{-R}_{N-4}$  where  $R_{N-4}$  is as defined above,
- (M)  $\text{-O-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,
- (N)  $\text{-O-CO-NR}_{N-8}\text{R}_{N-8}$  where  $R_{N-8}$  are the same or different and

are as defined above,

- (O)  $\text{-O-(C}_1\text{-C}_5\text{ alkyl)-COOH}$ ,
- (P)  $\text{-O-(C}_1\text{-C}_6\text{ alkyl)}$  optionally substituted with one, two, or

three of:  $\text{-F}$ ,  $\text{-Cl}$ ,  $\text{-Br}$ , or  $\text{-I}$ ,

(Q)  $\text{-NH-SO}_2\text{-(C}_1\text{-C}_6\text{ alkyl)}$ , and

(R)  $\text{-F}$ , or  $\text{-Cl}$

(III)  $\text{-CO-(C}_1\text{-C}_6\text{ alkyl)-O-(C}_1\text{-C}_6\text{ alkyl)}$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

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(A)  $\text{-OH}$ ,

(B)  $\text{-C}_1\text{-C}_6\text{ alkoxy}$ ,

(C)  $\text{-C}_1\text{-C}_6\text{ thioalkoxy}$ ,

(D)  $\text{-CO-O-R}_{N-8}$  where  $R_{N-8}$  is  $\text{-H}$ ,  $\text{C}_1\text{-C}_6\text{ alkyl}$  or  $\phi$ ,

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(E)  $\text{-CO-NR}_{N-2}\text{R}_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(F)  $\text{-CO-R}_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $\text{-SO}_2\text{-(C}_1\text{-C}_8\text{ alkyl)}$ ,

(H)  $\text{-SO}_2\text{-NR}_{N-2}\text{R}_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

15

(I)  $\text{-NH-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,

(J)  $\text{-NH-CO-O-R}_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $\text{-NR}_{N-2}\text{R}_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $\text{-R}_{N-4}$  where  $R_{N-4}$  is as defined above,

20

(M)  $\text{-O-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,

(N)  $\text{-O-CO-NR}_{N-8}\text{R}_{N-8}$  where the  $R_{N-8}$ s are the same or different and are as defined above,

(O)  $\text{-O-(C}_1\text{-C}_5\text{ alkyl)-COOH}$ ,

25

(P)  $\text{-O-(C}_1\text{-C}_6\text{ alkyl)}$  optionally substituted with one, two, or three of:  $\text{-F}$ ,  $\text{-Cl}$ ,  $\text{-Br}$ , or  $\text{-I}$ ,

(Q)  $\text{-NH-SO}_2\text{-(C}_1\text{-C}_6\text{ alkyl)}$ ,

(R)  $\text{-F}$ ,  $\text{-Cl}$ ,

(IV)  $\text{-CO-(C}_1\text{-C}_6\text{ alkyl)-S-(C}_1\text{-C}_6\text{ alkyl)}$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

30

(A)  $\text{-OH}$ ,

(B)  $\text{-C}_1\text{-C}_6\text{ alkoxy}$ ,

(C)  $\text{-C}_1\text{-C}_6\text{ thioalkoxy}$ ,

(D)  $\text{-CO-O-R}_{N-8}$  where  $R_{N-8}$  is as defined above,

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(E)  $-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

5 (H)  $-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is as defined above,

10 (K)  $-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N}-8}\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  are the same or different and are as defined above,

15 (O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of:  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(R)  $-\text{F}$ , or  $-\text{Cl}$ ,

20 (V)  $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N}-10})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-aryl}}$  and  $\text{R}_{\text{N-heteroaryl}}$  are as defined above, where  $\text{R}_{\text{N}-10}$  is selected from the group consisting of:

(A)  $-\text{H}$ ,

(B)  $\text{C}_1-\text{C}_6 \text{ alkyl}$ ,

25 (C)  $\text{C}_3-\text{C}_7 \text{ cycloalkyl}$ ,

(D)  $\text{C}_2-\text{C}_6 \text{ alkenyl}$  with one double bond,

(E)  $\text{C}_2-\text{C}_6 \text{ alkynyl}$  with one triple bond,

(F)  $\text{R}_{1\text{-aryl}}$  where  $\text{R}_{1\text{-aryl}}$  is as defined above, and

(G)  $\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-heteroaryl}}$  is as defined above, or

30 (VI)  $-\text{CO}-(\text{C}_3-\text{C}_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one or two substituents selected from the group consisting of:

(A)  $-(\text{CH}_2)_{0-4}-\text{OH}$ ,

(B)  $-(CH_2)_{0-4}-C_1-C_6$  alkoxy,

(C)  $-(CH_2)_{0-4}-C_1-C_6$  thioalkoxy,

(D)  $-(CH_2)_{0-4}-CO-O-R_{N-8}$  where  $R_{N-8}$  is -H,  $C_1-C_6$  alkyl or -phenyl,

5 (E)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(F)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-(CH_2)_{0-4}-SO_2-(C_1-C_8 \text{ alkyl})$ ,

10 (H)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-(CH_2)_{0-4}-NH-CO-(C_1-C_6 \text{ alkyl})$ ,

(J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

15 (L)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

20 (P)  $-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),

(Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

where  $R_C$  is:

25 (I)  $-C_1-C_{10}$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-OC(=O)NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-S(=O)_{0-2}R_{1-a}$  where  $R_{1-a}$  is as defined above,  $-NR_{1-a}C(=O)NR_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-C(=O)NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, and  $-S(=O)_2NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

30

(II)  $-(CH_2)_{0-3}-(C_3-C_8)$  cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl, -CO-OH,  $-CO-O-(C_1-C_4 \text{ alkyl})$ , and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5 (III)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are  
 -H,  
 $C_1-C_4$  alkyl optionally substituted with one or two -OH,,  
 $C_1-C_4$  alkoxy optionally substituted with one, two, or three of:  
 -F,  
 10  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,  
 $C_2-C_6$  alkenyl containing one or two double bonds,  
 $C_2-C_6$  alkynyl containing one or two triple bonds,  
 phenyl-,

and where  $R_{C-x}$  and  $R_{C-y}$  are taken together with the carbon to which they are  
 15 attached to form a carbocycle of three, four, five, six, or seven carbon atoms,  
 optionally where one carbon atom is replaced by a heteroatom selected from the  
 group consisting of -O-, -S-,  $-SO_2-$ , and  $-NR_{N-2}-$  and  $R_{C-aryl}$  is the same as  $R_{N-aryl}$ ;

(IV)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$  is the same as  $R_{N-}$   
 heteroaryl and  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

20 (V)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-aryl}$  where  $R_{C-aryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as  
 defined above,

(VI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$  where  $R_{C-aryl}$ ,  $R_{C-heteroaryl}$ ,  $R_{C-x}$  and  
 $R_{C-y}$  are as defined above,

(VII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$  where  $R_{C-heteroaryl}$ ,  $R_{C-aryl}$ ,  $R_{C-x}$   
 25 and  $R_{C-y}$  are as defined above,

(VIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$ ,  $R_{C-x}$  and  
 $R_{C-y}$  are as defined above,

(IX)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$  where  $R_{C-aryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are  
 as defined above, and  $R_{C-heterocycle}$  is the same as  $R_{N-heterocycle}$ ,

30 (X)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$  where  $R_{C-heteroaryl}$ ,  $R_{C-heterocycle}$ ,  
 $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$  where  $R_{C-heterocycle}$ ,  $R_{C-aryl}$ ,  $R_{C-x}$   
 and  $R_{C-y}$  are as defined above,



(XII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heterocycle}}-R_{C\text{-heteroaryl}}$  where  $R_{C\text{-heterocycle}}$ ,  $R_{C\text{-heteroaryl}}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heterocycle}}-R_{C\text{-heterocycle}}$  where  $R_{C\text{-heterocycle}}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

5 (XIV)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heterocycle}}$  where  $R_{C\text{-heterocycle}}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XV)  $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N(R_{C-3})_2$  where  $R_{C-1}$  and  $R_{C-2}$  are the same or different and are selected from the group consisting of:

(A) -H,

10 (B)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally  
15 substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally  
20 substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(E)  $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6 \text{ alkyl})$ ,

(F)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one,  
25 two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O- phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(G)  $-(C_1-C_4 \text{ alkyl})-R_{C\text{-aryl}}$  where  $R_{C\text{-aryl}}$  is as defined for  $R_{1\text{-aryl}}$ ,

(H)  $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heteroaryl}}$  where  $R_{C\text{-heteroaryl}}$  is as defined  
above,

30 (I)  $-(C_1-C_4 \text{ alkyl})-R_{C\text{-heterocycle}}$  where  $R_{C\text{-heterocycle}}$  is as defined above,

(J)  $-R_{C\text{-heteroaryl}}$  where  $R_{C\text{-heteroaryl}}$  is as defined above,

(K)  $-R_{C\text{-heterocycle}}$  where  $R_{C\text{-heterocycle}}$  is as defined above,

(M)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'-aryl}$  where  $R_{C-4}$  is -O-, -S- or -NR<sub>C-5</sub>- where  $R_{C-5}$  is C<sub>1</sub>-C<sub>6</sub> alkyl, and where  $R_{C'-aryl}$  is as defined above,

(N)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C-heteroaryl}$  where  $R_{C-4}$  and  $R_{C-heteroaryl}$  are as defined above, and

5 (O)  $-R_{C'-aryl}$  where  $R_{C'-aryl}$  is as defined above, and where  $R_{C-3}$  is the same or different and is:

(A) -H,

(B) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, 10 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and 15 -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, 20 (E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(F)  $-R_{C'-aryl}$  where  $R_{C'-aryl}$  is as defined above,

25 (G)  $-R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$  is as defined above,

(H)  $-R_{C-heterocycle}$  where  $R_{C-heterocycle}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{C'-aryl}$  where  $R_{C'-aryl}$  is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$  is as defined above, or

30 (K)  $-(C_1-C_4 \text{ alkyl})-R_{C-heterocycle}$  where  $R_{C-heterocycle}$  is as defined above,

(XVI)  $-CH(R_{C-aryl})_2$  where  $R_{C-aryl}$  are the same or different and are as defined above,

(XVII)  $-\text{CH}(\text{R}_{\text{C-heteroaryl}})_2$  where  $\text{R}_{\text{C-heteroaryl}}$  are the same or different and are as defined above,

(XVIII)  $-\text{CH}(\text{R}_{\text{C-aryl}})(\text{R}_{\text{C-heteroaryl}})$  where  $\text{R}_{\text{C-aryl}}$  and  $\text{R}_{\text{C-heteroaryl}}$  are as defined above,

5 (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $\text{R}_{\text{C-aryl}}$  or  $\text{R}_{\text{C-heteroaryl}}$  or  $\text{R}_{\text{C-heterocycle}}$  where  $\text{R}_{\text{C-aryl}}$  or  $\text{R}_{\text{C-heteroaryl}}$  or  $\text{R}_{\text{C-heterocycle}}$  are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH,  $\text{NR}_{\text{N-5}}$ , O, or  $\text{S}(=\text{O})_{0-2}$ , and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two  $-\text{C}_1-\text{C}_3$  alkyl, -F, -OH, -SH,   
 10  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy,  $=\text{O}$ , or  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XX)  $\text{C}_2-\text{C}_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O- phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

15 (XXI)  $\text{C}_2-\text{C}_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O- phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XXI)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{\text{C-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{C-aryl}}$  where  $\text{R}_{\text{C-aryl}}$  is as defined   
 20 above and  $\text{R}_{\text{C-6}}$  is  $-(\text{CH}_2)_{0-6}-\text{OH}$ ,

(XXII)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{\text{C-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{C-heteroaryl}}$  where  $\text{R}_{\text{C-heteroaryl}}$  and  $\text{R}_{\text{C-6}}$  is as defined above,

(XXIII)  $-\text{CH}(-\text{R}_{\text{C-aryl}} \text{ or } \text{R}_{\text{C-heteroaryl}})-\text{CO}-\text{O}(\text{C}_1-\text{C}_4 \text{ alkyl})$  where  $\text{R}_{\text{C-aryl}}$  and  $\text{R}_{\text{C-heteroaryl}}$  are as defined above,

25 (XXIV)  $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{phenyl-NO}_2$ ,

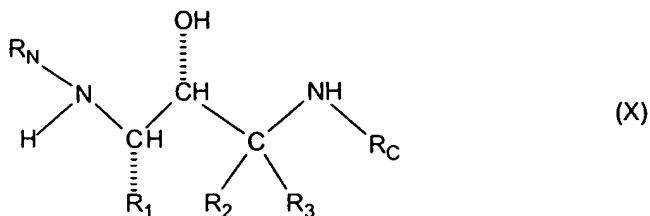
(XXV)  $(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{OH}$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$ ,

(XXVIII) -H, or

(XXIX)  $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}\text{R}_{1-b})$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above;   
 30 or a pharmaceutically acceptable salt thereof, and one or more pharmaceutically acceptable inert carriers.

184. A method for inhibiting beta-secretase activity, comprising exposing said beta-secretase to an effective inhibitory amount of a compound of formula (X)



5 where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_\text{N}$  and  $\text{R}_\text{C}$  are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

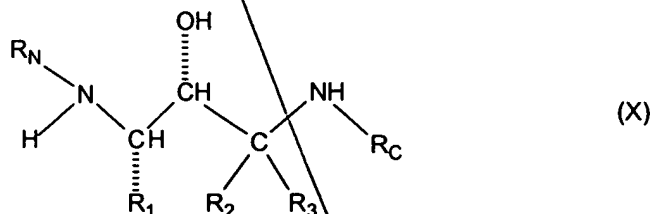
10 185. The method of claim 184, wherein said beta-secretase is exposed to said compound *in vitro*.

186. The method of claim 184, wherein said beta-secretase is exposed to said compound in a cell.

15 187. The method of claim 186, wherein said cell is in an animal.

188. The method of claim 187, wherein said animal is a human.

20 189. A method for inhibiting cleavage of amyloid precursor protein (APP), in a reaction mixture, at a site between Met596 and Asp597, numbered for the APP-695 amino acid isotype; or at a corresponding site of an isotype or mutant thereof, comprising exposing said reaction mixture to an effective inhibitory amount of a compound of formula (X)



25 where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_\text{N}$  and  $\text{R}_\text{C}$  are as defined in claim 1,

or a pharmaceutically acceptable salt thereof.

190. The method of claim 189, wherein said cleavage site is between Met652 and  
 5 Asp653, numbered for the APP-751 isotype; between Met 671 and Asp 672,  
 numbered for the APP-770 isotype; between Leu596 and Asp597 of the APP-695  
 Swedish Mutation; between Leu652 and Asp653 of the APP-751 Swedish Mutation;  
 or between Leu671 and Asp672 of the APP-770 Swedish Mutation.

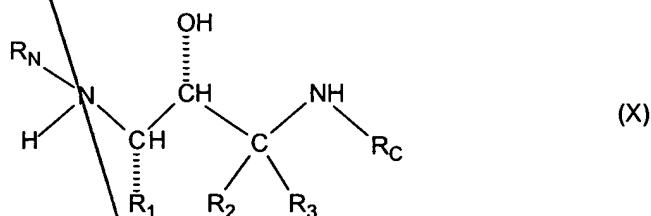
- 10 191. The method of claim 189, wherein said reaction mixture is exposed *in vitro*.

192. The method of claim 189, wherein said reaction mixture is exposed in a cell.

193. The method of claim 192, wherein said cell is an animal cell.

- 15 194. The method of claim 193, wherein said cell is a human cell.

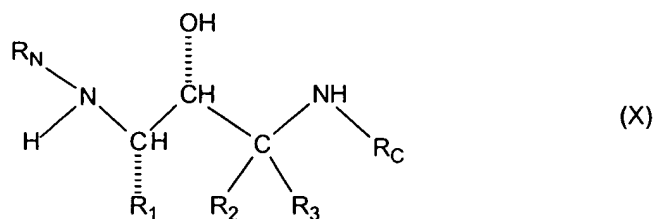
195. A method for inhibiting production of amyloid beta peptide (A beta) in a cell,  
 comprising administering to said cell an effective inhibitory amount of a compound of  
 20 formula (X)



where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,  
 or a pharmaceutically acceptable salt thereof.

- 25 196. The method of claim 195, wherein said administering is to an animal.
197. The method of claim 196, wherein said administering is to a human.

198. A method for inhibiting the production of beta-amyloid plaque in an animal, comprising administering to said animal an effective inhibitory amount of a compound of formula (X)

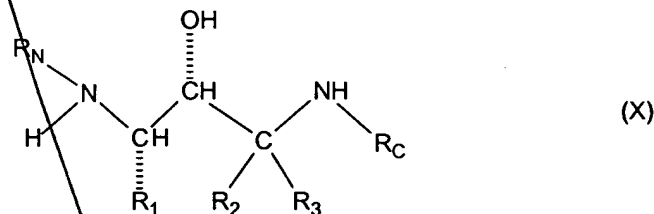


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where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_\text{N}$  and  $\text{R}_\text{C}$  are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

10 199. The method of claim 198, wherein said animal is a human.

200. A method for treating or preventing a disease characterized by beta-amyloid deposits in the brain comprising administering to a patient an effective therapeutic amount of a compound of formula (X)



15

where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_\text{N}$  and  $\text{R}_\text{C}$  are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

20

201. The method of claim 200, wherein said therapeutic amount is in the range of from about 0.1 to about 1000 mg/day.

25 202. The method of claim 200, wherein said therapeutic amount is in the range of from about 15 to about 1500 mg/day.

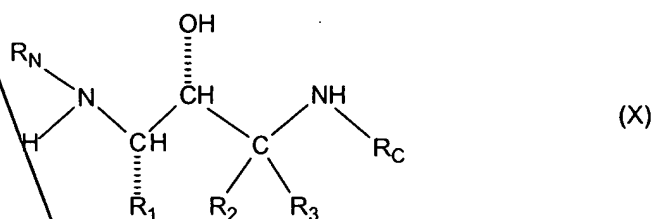
203. The method of claim 202, wherein said thereapeutic amount is in the range of from about 1 to about 100 mg/day.

5 204. The method of claim 203, wherein said thereapeutic amount is in the range of from about 5 to about 50 mg/day.

205. The method of claim 200, wherein said disease is Alzheimer's disease.

10 206. The method of claim 200, wherein said disease is Mild Cognitive Impairment, Down's Syndrome, or Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type.

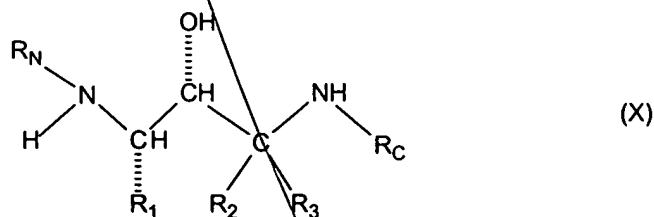
15 207. A composition comprising beta-secretase complexed with a compound of formula (X)



where  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_N$  and  $R_C$  are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

20

208. A method for producing a beta-secretase complex comprising: exposing beta-secretase, in a reaction mixture under conditions suitable for the production of said complex, to a compound of formula (X)



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where  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_N$  and  $R_C$  are as defined in claim 1,

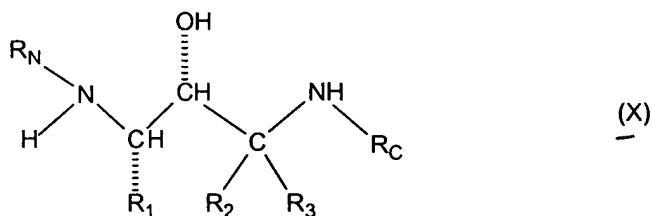
Sub A1

or a pharmaceutically acceptable salt thereof.

209. The method of claim 208, where said exposing is *in vitro*.

5 210. The method of claim 208, wherein said reaction mixture is a cell.

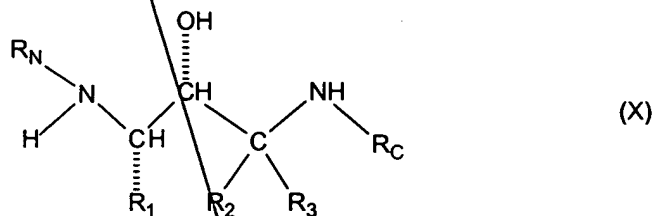
211. A kit comprising component parts capable of being assembled, wherein at least one component part comprises, enclosed in a container, a compound of formula (X)



10 where  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_N$  and  $R_C$  are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

15 212. The kit of claim 211, wherein said compound is lyophilized and at least one further component part comprises a diluent.

213. A kit comprising a plurality of containers, each container comprising one or more unit dose of a compound of formula (X)



20 where  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_N$  and  $R_C$  are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

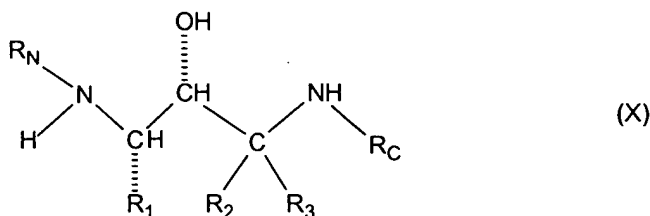
25 214. The kit of claim 213, wherein each container is adapted for oral delivery and comprises a tablet, gel, or capsule.



215. The kit of claim 214, wherein each container is adapted for parenteral delivery and comprises a depot product, syringe, ampoule, or vial.

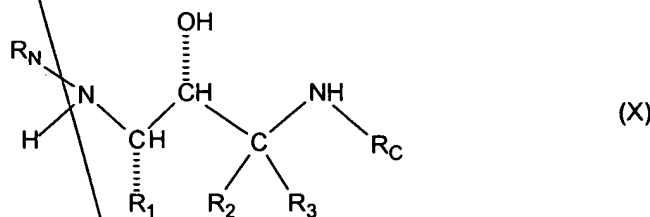
216. The kit of claim 214, wherein each container is adapted for topical delivery and comprises a patch, medipad, ointment, or cream.

217. A kit comprising one or more therapeutic agent selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a neurotrophic agent, an acetylcholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta antibody; and a compound of formula (X)



where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_N$  and  $\text{R}_C$  are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

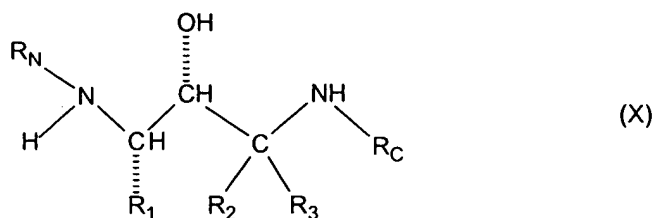
218. A composition comprising an inert diluent or edible carrier; and a compound of formula (X)



where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_N$  and  $\text{R}_C$  are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

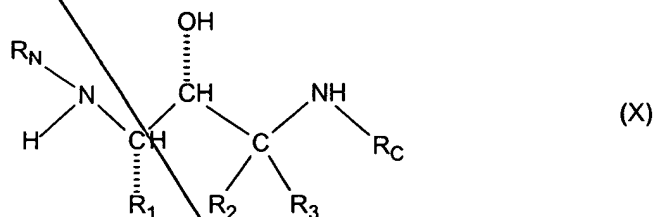
219. The composition of claim 218, wherein said carrier is an oil.

220. A composition comprising a binder, excipient, disintegrating agent, lubricant, or gildant; and  
a compound of formula (X)



where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_\text{N}$  and  $\text{R}_\text{C}$  are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

221. A composition comprising a compound of formula (X)



15

where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_\text{N}$  and  $\text{R}_\text{C}$  are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof,  
and where the compound is disposed in a cream, ointment, or patch.